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产品名称: **GSK 4716**
产品别名: **GSK-4716**

生物活性:

Description	GSK-4716 is a selective ERRβ/γ agonist.				
IC ₅₀ & Target	ERRβ/γ[1]				
In Vitro	Treatment of differentiated C2C12 cells with the ERRβ/γ agonist (relative to vehicle) over a 2 to 4 h time period reveals a reproducible and robust increase in the immunoreactivity of the GRα-D isoform. It is observed that MAO-A mRNA expression is significantly increased by treatment with the ERRβ/γ agonist, GSK4716. Furthermore, it is observed that GSK4716 induces the expression of the mRNAs encoding peroxisome proliferator-activated receptor-γcoactivator 1α (PGC-1α) and PGC-1β (key regulator of many metabolic genes) identified as direct coactivators for the ERR family. GSK4716 induces the expression of PGC-1 and genes involved in fatty acid oxidation in concordance with the characterized role of ERRγ in cardiac metabolism[1]. Treatment of primary mouse myotubes with GSK4716, an ERRβ/γ agonist, results in a concerted increase in the expression levels of Ppargc1a, Ppargc1b, and the Esrr genes. Furthermore, Cpt1b, Atp5b, and Idh3, genes in key mitochondrial pathways, are also induced by GSK4716. Additionally, GSK4716 increases citrate synthase activity and cytochrome c protein levels[2].				
Solvent&Solubility	In Vitro: DMSO : ≥ 100 mg/mL (354.18 mM) * "≥" means soluble, but saturation unknown.				
	Preparing Stock Solutions	Solvent Mass Concentration	1 mg	5 mg	10 mg
		1 mM	3.5418 mL	17.7091 mL	35.4183 mL
		5 mM	0.7084 mL	3.5418 mL	7.0837 mL
		10 mM	0.3542 mL	1.7709 mL	3.5418 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 (8.85 mM); Clear solution 此方案可获得 ≥ 2.5 mg/mL (8.85 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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	2.请依序添加每种溶剂: 10% DMSO→ 90% (20% SBE-β-CD in saline) Solubility: ≥ 2.5 mg/mL (8.85 mM); Clear solution 此方案可获得 ≥ 2.5 mg/mL (8.85 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 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: ≥ 2.5 mg/mL (8.85 mM); Clear solution 此方案可获得 ≥ 2.5 mg/mL (8.85 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]. Wang SC, et al. An ERRbeta/gamma agonist modulates GRalpha expression, and glucocorticoid responsive gene expression in skeletal muscle cells. Mol Cell Endocrinol. 2010 Feb 5;315(1-2):146-52. [2]. Rangwala SM, et al. Estrogen-related receptor gamma is a key regulator of muscle mitochondrial activity and oxidative capacity. J Biol Chem. 2010 Jul 16;285(29):22619-29.
实验参考:	
Cell Assay	Proliferating mouse C2C12 myoblast are cultured and maintained in DMEM supplemented with 10% heat-inactivated serum supreme. Differentiation of myoblasts into post-mitotic, multi-nucleated myotubes are induced by mitogen withdrawal (DMEM supplemented with 2% horse serum) for 4 days. C2C12 myotubes are treated with either vehicle (DMSO) or ERRβ/γ agonist GSK4716 for 1 day and RNA collected and processed[1].
References	[1]. Wang SC, et al. An ERRbeta/gamma agonist modulates GRalpha expression, and glucocorticoid responsive gene expression in skeletal muscle cells. Mol Cell Endocrinol. 2010 Feb 5;315(1-2):146-52. [2]. Rangwala SM, et al. Estrogen-related receptor gamma is a key regulator of muscle mitochondrial activity and oxidative capacity. J Biol Chem. 2010 Jul 16;285(29):22619-29.