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产品名称: **Ampkinone**
产品别名: **Ampkinone**

生物活性:					
Description	Ampkinone is an indirect AMP-activated protein kinase (AMPK) activator.				
IC ₅₀ & Target	AMPK				
In Vitro	Ampkinone stimulates the phosphorylation of AMPK via the indirect activation of AMPK in various cell lines. Ampkinone-mediated activation of AMPK requires the activity of LKB1 and results in increased glucose uptake in muscle cells[1].				
In Vivo	Ampkinone-treated DIO mice significantly reduce total body weight and overall fat mass. Histological examination and measurement of lipid parameters show that Ampkinone effectively improves metabolic abnormalities in the DIO mice model[1].				
Solvent&Solubility	In Vitro: DMSO : 50 mg/mL (98.91 mM; Need ultrasonic)				
	Preparing Stock Solutions	Solvent / Mass Concentration	1 mg	5 mg	10 mg
		1 mM	1.9782 mL	9.8908 mL	19.7816 mL
		5 mM	0.3956 mL	1.9782 mL	3.9563 mL
		10 mM	0.1978 mL	0.9891 mL	1.9782 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 (4.95 mM); Suspended solution; Need ultrasonic 此方案可获得 2.5 mg/mL (4.95 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 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]. Oh S, et al. Antidiabetic and antiobesity effects of Ampkinone (6f), a novel small molecule activator of AMP-activated protein kinase. J Med Chem. 2010 Oct 28;53(20):7405-13.				
	实验参考:				
		Mice[1] C57BL/6J mice are used and housed individually in a room maintained at 25°C on a 12/12 h light/dark schedule. For dietinduced obesity (DIO) mice, 4-week-old male C57BL/6J mice are fed a			



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Animal Administration	high-fat diet (HFD, 60% calories from fat) ad libitum for 8 weeks. Ampkinone in polyethylene glycol (PEG400) or vehicle is administered subcutaneously at 10 mg/kg body weight per day for 1 month. Body weight and food intake are measured every 3 days. All animals are given an insulin tolerance test (ITT) and sacrificed at 30 days. Liver weight and fat masses are measured, sectioned, and stained with Oil-red O and H&E.
Kinase Assay	Total AMPK activity is measured using a synthetic SAMS peptide substrate and [γ - 32 P]ATP. Briefly, 500 μ g of protein extract is incubated with anti-AMPK- α 1 and α 2 antibodies for 2 h at 4°C. Protein A/G sepharose and agarose are added, and the mixtures are incubated for 3 h at 4°C. After the samples are washed three times with RIPA buffer, the activity is assessed in AMPK reaction buffer containing 20 mM HEPES-NaOH (pH 7.0), 0.4 mM Dithiothreitol, and 0.01% Brij-35, with or without 300 μ M AMP. The immune complexes are added to 23 μ L of reaction buffer per assay, and then 7 μ L of SAMS substrate peptide (HMRSAMSGHLVKRR, 100 μ M final concentration) and 10 μ L of ATP mixture (an aliquot of 1 μ Ci/ μ L: 90 μ L of 75 mM magnesium chloride, 500 μ M unlabeled ATP in 20 mM MOPS, pH7.2, 25 mM β -glycerophosphate, 5 mM EGTA, 1 mM sodium orthovanadate, and 1 mM Dithiothreitol) are added, and the mixture is incubated at 30°C for 15 min. After 35 μ L is spotted onto the center of P81 paper, the paper is washed three times with 0.75% phosphoric acid and once with acetone for 5 min. The samples are read using a scintillation counter ^[1] .
References	[1]. Oh S, et al. Antidiabetic and antiobesity effects of Ampkinone (6f), a novel small molecule activator of AMP-activated protein kinase. J Med Chem. 2010 Oct 28;53(20):7405-13.

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