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：AICAR (phosphate)
产品别名：阿卡地新磷酸盐 ；Acadesine phosphate; AICA Riboside phosphate

生物活性：

Description	AICAR phosphate is an activator of AMP-activated protein kinase (AMPK).		
IC_{50} & Target	AMPK	Autophagy	Mitophagy
In Vitro	HepG2 cells are treated with various concentrations of AICAR (0.1-1.0 mM) for 12, 24, and 48 h, respectively. The expression level of IR-β significantly decreases with 0.25, 0.5, and 1.0 mM of AICAR at 48 h to 50%, 53%, and 46% of the control, respectively[1].		
In Vivo	Fourteen-week-old male, lean (L; 31.3 g body wt) wild-type andob/ob (O; 59.6 g body wt) mice are injected with the AMP-activated kinase (AMPK) activator AICAR (A) at 0.5 mg*g body wt^{-1}*day^{-1} or saline control (C) for 14 days. At 24 h after the last injection (including a 12-h fast), all mice are killed, and the plantar flexor complex muscle (gastrocnemius, soleus, and plantaris) is excised for analysis. Muscle mass is lower in OC (159±12 mg) than LC, LA, and OA (176±10, 178±9, and 166±16 mg, respectively) mice, independent of a body weight change[2]. The kidney weight is significantly higher in the untreated group when compared with both the exercise and AICAR (0.5 mg/g body wt) groups. The heart weight is higher in the exercise group than in the other groups, whereas the liver weight is significantly higher in the AICAR-treated group when compared with the exercise and untreated groups[3].		

Solvent&Solubility

In Vitro:

H_2O : ≥ 180 mg/mL (505.29 mM)

DMSO : ≥ 75 mg/mL (210.54 mM)

* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.8072 mL	14.0359 mL	28.0718 mL
	5 mM	0.5614 mL	2.8072 mL	5.6143 mL
	10 mM	0.2807 mL	1.4036 mL	2.8072 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: ≥ 2.08 mg/mL (5.84 mM); Clear solution

此方案可获得 ≥ 2.08 mg/mL (5.84 mM，饱和度未知) 的澄清溶液。

	以 1 mL 工作液为例，取 100 μL 20.8 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。 2.请依序添加每种溶剂： 10% DMSO→ 90% (20% SBE-β-CD in saline) Solubility: ≥ 2.08 mg/mL (5.84 mM); Clear solution 此方案可获得 ≥ 2.08 mg/mL (5.84 mM，饱和度未知) 的澄清溶液。 以 1 mL 工作液为例，取 100 μL 20.8 mg/mL 的澄清 DMSO 储备液加到 900 μL 20% 的 SBE-β-CD 生理盐水水溶液中，混合均匀。 3.请依序添加每种溶剂： 10% DMSO →90% corn oil Solubility: ≥ 2.08 mg/mL (5.84 mM); Clear solution 此方案可获得 ≥ 2.08 mg/mL (5.84 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 20.8 mg/mL 的澄清 DMSO 储备液加到 900 μL 玉米油中，混合均匀。
References	[1]. Nakamaru K, et al. AICAR, an activator of AMP-activated protein kinase, down-regulates the IR expression in HepG2 cells. Biochem Biophys Res Commun. 2005 Mar 11;328(2):449-54 [2]. Drake JC, et al. AICAR treatment for 14 days normalizes obesity-induced dysregulation of TORC1 signaling and translational capacity in fasted skeletal muscle. Am J Physiol Regul Integr Comp Physiol. 2010 Dec;299(6):R1546-54. [3]. Pold R, et al. Long-term AICAR administration and exercise prevents diabetes in ZDF rats.Diabetes. 2005 Apr;54(4):928-34.

实验参考：

Cell Assay	HepG2 cells (5×10^5 cells) are plated in 6-well culture plate dishes and then are incubated in the serum-free media for 12 h before transfection. One microgram of plasmid is transfected with FuGENE6 Transfection Reagent. After 5 h of transfection, the culture media are removed and then media supplemented with or without AICAR (0.1-1.0 mM) are added to each well. The stimulation media are changed every 24 h[1].
Animal Administration	Mice[2] Fourteen-week-old lean (*Lep$^{ob/+}$ or Lep$^{ob/+}$*) and ob/ob (*Lep^{ob}/Lep^{ob}*) male mice are uesd. After the 14-day experimental treatment (24 h after AICAR injection, including a 12-h fast), the plantar flexor complex muscle is cleanly (tendon-to-tendon) excised from an anesthetized mouse. The muscle is quickly weighed and then processed for histology or frozen in liquid nitrogen and stored at -80°C. The anesthetized mice are killed by transection of the diaphragm and removal of the entire heart, after blood collection via needle puncture directly into the heart. AICAR or saline (control) is injected subcutaneously into the lateral distal portion of the back. AICAR is administered at 0.5 mg*g body wt^{-1}*day^{-1} one time per day for 14 days. Saline (control) is injected in volumes identical to those used for AICAR treatment in a manner identical to that of AICAR treatment. Body weight is measured prior to death. Rats[3] Male 5-week-old ZDF rats are either subcutaneously injected with a single dose of AICAR (0.5 mg/g

	body wt) or underwent a single bout of treadmill running (60 min, speed of 25 m/min at a 5% incline). Untreated ZDF rats serve as controls (n=5 in each group). One hour after the subcutaneous AICAR injection or immediately after treadmill running, rats are killed by cervical dislocation. To avoid any effect of muscle spasm and hypoxia, red and white gastrocnemius muscles are removed within seconds and immediately freeze clamped for later determination of AMPK activity.
References	[1]. Nakamaru K, et al. AICAR, an activator of AMP-activated protein kinase, down-regulates the IR expression in HepG2 cells. Biochem Biophys Res Commun. 2005 Mar 11;328(2):449-54 [2]. Drake JC, et al. AICAR treatment for 14 days normalizes obesity-induced dysregulation of TORC1 signaling and translational capacity in fasted skeletal muscle. Am J Physiol Regul Integr Comp Physiol. 2010 Dec;299(6):R1546-54. [3]. Pold R, et al. Long-term AICAR administration and exercise prevents diabetes in ZDF rats.Diabetes. 2005 Apr;54(4):928-34.