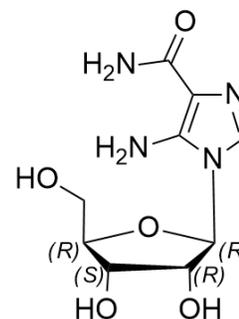


AICAR

Cat. No.:	HY-13417		
CAS No.:	2627-69-2		
Molecular Formula:	C ₉ H ₁₄ N ₄ O ₅		
Molecular Weight:	258.23		
Target:	AMPK; Autophagy; Mitophagy		
Pathway:	Epigenetics; PI3K/Akt/mTOR; Autophagy		
Storage:	Powder	-20°C	3 years
		4°C	2 years
	In solvent	-80°C	6 months
		-20°C	1 month



Solvent & Solubility

In Vitro

H₂O : 65 mg/mL (251.71 mM; Need ultrasonic and warming)

DMSO : ≥ 30 mg/mL (116.18 mM)

* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions	Concentration	Mass		
		1 mg	5 mg	10 mg
	1 mM	3.8725 mL	19.3626 mL	38.7252 mL
	5 mM	0.7745 mL	3.8725 mL	7.7450 mL
	10 mM	0.3873 mL	1.9363 mL	3.8725 mL

Please refer to the solubility information to select the appropriate solvent.

In Vivo

1. Add each solvent one by one: **10% DMSO >> 90% (20% SBE-β-CD in saline)**

Solubility: ≥ 2.5 mg/mL (9.68 mM); Clear solution

2. Add each solvent one by one: **10% DMSO >> 90% corn oil**

Solubility: ≥ 2.5 mg/mL (9.68 mM); Clear solution

3. Add each solvent one by one: **10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline**

Solubility: ≥ 2.5 mg/mL (9.68 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

AICAR is a cell-permeable AMP-activated protein kinase (AMPK) activator.

IC₅₀ & Target

AMPK^[1]

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 and ob/ob (O; 59.6 g body wt) mice are injected with the AMP-activated kinase (AMPK) activator AICAR (A) at 0.5 mg/g per day 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 \pm 12 mg) than LC, LA, and OA (176 \pm 10, 178 \pm 9, and 166 \pm 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] .

PROTOCOL

Cell Assay ^[1]	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] . MCE has not independently confirmed the accuracy of these methods. They are for reference only.
Animal Administration ^{[2][3]}	Mice ^[2] Fourteen-week-old lean (Lep ^{ob/+} or Lep ^{ob/+}) and ob/ob (Lep ^{ob} /Lep ^{ob}) male mice are used. 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 breathing 4% isoflurane. 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, while breathing 4% isoflurane. AICAR or saline (control) is injected subcutaneously into the lateral distal portion of the back. AICAR is administered at 0.5 mg/g per day one time 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. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

CUSTOMER VALIDATION

- Cell Death Dis. 2018 May 1;9(5):515.
- Biochim Biophys Acta Mol Basis Dis. 2018 Nov;1864(11):3723-3738.
- J Cell Physiol. 2018 Dec;233(12):9701-9715.
- Front Pharmacol. 2018 Jul 16;9:761.

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- **Front Pharmacol.** 2018 Apr 16;9:345.

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REFERENCES

- [1]. Nakamaru K, et al. AICAR, an activator of AMP-activated protein kinase, down-regulates the insulin receptor 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.
- [4]. Chen L, et al. Activating AMPK to Restore Tight Junction Assembly in Intestinal Epithelium and to Attenuate Experimental Colitis by Metformin. *Front Pharmacol.* 2018 Jul 16;9:761.
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Caution: Product has not been fully validated for medical applications. For research use only.

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