Product Data Sheet

Product Name: Aminaftone (Aminaftone)
Cat. No.: GC32548

Chemical Properties

Cas. No.	14748-94-8		
SMILES	OC1=C2C=CC=CC2=C(O)C(C)=C1OC(C3=CC=C(N)C=C3)=O		
Formula	$C_{18}H_{15}NO_4$	M.Wt	309.32
Solubility	Soluble in DMSO	Storage	Store at -20°C
General tips	For obtaining a higher solubility , please warm the tube at 37 ℃ and shake it in the ultrasonic bath for a while.Stock solution can be stored below -20℃ for several months.		
Shipping Condition	Evaluation sample solution : ship with blue ice All other available size: ship with RT , or blue ice upon request.		
Structure	Aminaftone (Aminaftone)		

Protocol

Cell experiment:

Human ECV304 endothelial cells are incubated with interleukin-1beta (IL-1beta) 100 IU/mL with or without the addition of increasing concentrations of Aminaftone (2, 4 or 6 μg/mL). ET-1 concentrations in surnatants are quantified by enzyme immunoassay kit at 3, 6 and 12 hours. PPET-1 gene expressions are also analysed by real-time polymerase chain reaction (RT-PCR) at the same time points. ECE activity is also determined[1].

Caution: Product has not been fully validated for medical applications. For research use only.
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Animal experiment:	Rats[2] Male Wistar rats weighing 300±30 g are randomly assigned to one of the following four experimental groups: 1) control healthy rats (n=11); 2) only injection of Monocrotaline (n=12); 3) injection of Monocrotaline followed by Aminaftone 30 mg/kg treatment (Aminaftone30) (n=8); and 4) injection of Monocrotaline followed by Aminaftone 150 mg/kg treatment (Aminaftone150) (n=8). Aminaftone is administered as food admix. Rats are weighed twice a week.

References:

[1]. Scorza R, et al. Aminaftone, a derivative of 4-aminobenzoic acid, downregulates endothelin-1 production in ECV304 Cells: an in vitro Study. Drugs R D. 2008;9(4):251-7.
[2]. Zambelli V, et al. Efficacy of aminaftone in a rat model of monocrotaline-induced pulmonary hypertension. Eur J Pharmacol. 2011 Sep 30;667(1-3):287-91.

Background

Aminaftone, a derivative of 4-aminobenzoic acid, downregulates endothelin-1 (ET-1)

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production in vitro by interfering with the transcription of the pre-pro-ET-1 gene.

Aminaftone inhibits Endothelin-1 (ET-1) production in cell cultures by interfering with transcription of the pre-pro-endothelin-1 (PPET-1) gene. Incubation with IL-1beta increases concentrations of ET-1 and PPET-1 relative gene expression. Incubation with Aminaftone significantly reduces production of ET-1 in a concentration-dependent manner. A strong direct correlation is found between ET-1 concentrations and PPET-1 relative gene expression, but Aminaftone does not influence endothelin-converting enzyme (ECE) activity[1].

The rats are randomly assigned to the following experimental groups: Control; Monocrotaline; Aminaftone 30 mg/kg/day; Aminaftone 150 mg/kg/day. After 5 weeks, mortality is significantly lower in the animals treated with Aminaftone at both doses compared to Monocrotaline alone. Aminaftone reduces plasma concentration of ET-1 and seems to reduce right heart hypertrophy and the wall thickness of the pulmonary arteries at the highest dose. At the end of the experiment, no rats die in the control and Aminaftone 150 groups, while mortality is 38% in the Monocrotaline group and 13% in the Aminaftone 30 group. Overall, Aminaftone treated rats have a significantly lower mortality compared to rats in the Monocrotaline group (P=0.044)[2].

[1]. Scorza R, et al. Aminaftone, a derivative of 4-aminobenzoic acid, downregulates endothelin-1 production in ECV304 Cells: an in vitro Study. Drugs R D. 2008;9(4):251-7.
[2]. Zambelli V, et al. Efficacy of aminaftone in a rat model of monocrotaline-induced pulmonary hypertension. Eur J Pharmacol. 2011 Sep 30;667(1-3):287-91.