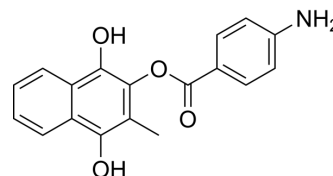


Aminaftone

Cat. No.:	HY-19890
CAS No.:	14748-94-8
Molecular Formula:	C ₁₈ H ₁₅ NO ₄
Molecular Weight:	309.32
Target:	Endothelin Receptor
Pathway:	GPCR/G Protein
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description	Aminaftone, a derivative of 4-aminobenzoic acid, downregulates endothelin-1 (ET-1) production in vitro by interfering with the transcription of the pre-pro-ET-1 gene.
IC₅₀ & Target	endothelin-1 (ET-1) ^[1]
In Vitro	<p>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].</p> <p>MCE has not independently confirmed the accuracy of these methods. They are for reference only.</p>
In Vivo	<p>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].</p> <p>MCE has not independently confirmed the accuracy of these methods. They are for reference only.</p>

PROTOCOL

Cell Assay ^[1]	<p>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 supernatants 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].</p> <p>MCE has not independently confirmed the accuracy of these methods. They are for reference only.</p>
Animal Administration ^[2]	<p>Rats^[2]</p> <p>Male Wistar rats weighing 300±30 g are randomly assigned to one of the following four experimental groups: 1) control</p>

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. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

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.
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Caution: Product has not been fully validated for medical applications. For research use only.

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