Almitrine mesylate

Cat. No.: HY-107319

CAS No.: 29608-49-9

Molecular Formula: $C_{28}H_{37}F_2N_7O_6S_2$

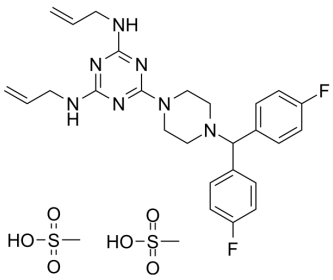
Molecular Weight: 669.76

Target: Potassium Channel

Pathway: Membrane Transporter/Ion Channel

Storage: 4°C, sealed storage, away from moisture

* In solvent : -80°C, 6 months; -20°C, 1 month (sealed storage, away from moisture)



SOLVENT & SOLUBILITY

In Vitro

DMSO : 100 mg/mL (149.31 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	1.4931 mL	7.4654 mL	14.9307 mL
	5 mM	0.2986 mL	1.4931 mL	2.9861 mL
	10 mM	0.1493 mL	0.7465 mL	1.4931 mL

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

In Vivo

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.5 mg/mL (3.73 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (3.73 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Almitrine mesylate, a peripheral chemoreceptor agonist, inhibits selectively the Ca^{2+}-dependent K^+ channel.

IC_{50} & Target

K^+ channel[1]

In Vitro

Almitrine inhibits the activity of a high-conductance (152±13 pS), Ca^{2+}-dependent K^+ channel by decreasing its open probability. The IC_{50} value of the effect is 0.22 μM. The inhibitory effect of Almitrine on Ca^{2+}-dependent K^+ channels also is observed in GH3 cells. Almitrine at concentrations up to 10 μM does not affect whole-cell voltage-dependent K^+, Ca^{2+}, or Na^+ currents in rat or rabbit cells. However, this concentration of Almitrine significantly inhibits the Ca^{2+}-dependent component of K^+ currents in rat chemoreceptor cells[1].

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

In Vivo	Almitrine acts via the peripheral arterial chemoreceptors raising carotid sinus nerve output and minute ventilation. Almitrine also has a pulmonary vascular action causing a dose-dependent constriction and dilatation. At low doses Almitrine enhances hypoxic pulmonary vasoconstriction and may improve the overall ventilation/perfusion ratio[2]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

PROTOCOL

Animal Administration [2]	Rats[2] 8-week-old male spf Wistar rats are used. Rats are anaesthetized with Thiobarbiturate inactin (BYK, 100 mg/kg, i.p.). The interaction of the ventilatory response to hypoxia and an intermittent (2 min on, 1 min break) low-dose (10 μg/kg per min) and high-dose (80 μg/kg per min) infusion of S9581 or Almitrine is tested in control and chronically hypoxic rats. S9581 or Almitrine is infused intravenously (100 μg/ mL). Inspired oxygen levels were controlled by passing oxygen-nitrogen mixtures across the tracheal port at a flow rate of 3-41 min^{-1}[2]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

REFERENCES

[1]. López-López JR, et al. Effects of Almitrine bismesylate on the ionic currents of chemoreceptor cells from the carotid body. Mol Pharmacol. 1998 Feb;53(2):330-9.

[2]. Bee D, et al. An analysis of the action of an analogue of Almitrine bismesylate in the rat model of hypoxic lung disease. Exp Physiol. 1992 Nov;77(6):819-28.

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