Aranidipine

Cat. No.: HY-U00212

CAS No.: 86780-90-7

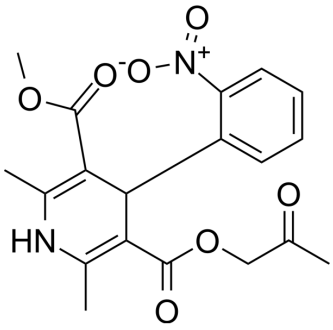
Molecular Formula: $C_{19}H_{20}N_2O_7$

Molecular Weight: 388.37

Target: Calcium Channel

Pathway: Membrane Transporter/Ion Channel; Neuronal Signaling

Storage: Powder -20°C 3 years
4°C 2 years
* The compound is unstable in solutions, freshly prepared is recommended.



SOLVENT & SOLUBILITY

In Vitro

DMSO : 125 mg/mL (321.86 mM; Need ultrasonic)

Preparing Stock Solutions	Mass / Solvent / Concentration	1 mg	5 mg	10 mg
	1 mM	2.5749 mL	12.8743 mL	25.7486 mL
	5 mM	0.5150 mL	2.5749 mL	5.1497 mL
	10 mM	0.2575 mL	1.2874 mL	2.5749 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: ≥ 2.08 mg/mL (5.36 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.08 mg/mL (5.36 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.08 mg/mL (5.36 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Aranidipine (MPC1304) is a Ca^{2+} channel antagonist with potent and long-lasting antihypertensive effects.

IC_{50} & Target

Ca^{2+} Channel [1]

In Vivo

Aranidipine (MPC-1304) is a new Ca^{2+} channel antagonist in spontaneously hypertensive rats. Following oral administration of Aranidipine at doses of 3 and 10 mg/kg to spontaneously hypertensive rats (SHR), there are significant decreases in B_{max} values for specific [^{3}H](+)-PN 200-110 binding to myocardial membranes compared to the control values. The B_{max} values at 1 h (3 mg/kg), 1 and 6 h (10 mg/kg) are significantly decreased (47.7, 48.9 and 25.8%, respectively) compared to the control

values. The effect is greatest at 1 h and decreases with time. The B_{max} values at 6 h (3 mg/kg) and 12 or 24 h (10 mg/kg) after the oral administration of Aranidipine are not significantly different from the control values, suggesting the disappearance of the effect of Aranidipine. The K_d values for myocardial [^{3}H](+)-PN 200-110 binding are unaltered by oral administration of Aranidipine[1].

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

PROTOCOL

Animal Administration [1]

Rats[1]

Male SHR (11-15 weeks) are used. They are fasted for 16 h before the administration of drugs, and given Aranidipine (3, 10 mg/kg) orally through a gastric tube. Control animals are given the vehicle. At 1-24 h after drug administration, the SHR are killed by bleeding from the descending aorta under light anesthesia with ethyl ether, and the myocardium and brain are perfused with 0.9% saline from the aorta. Then, both tissues are removed, and blood vessels are trimmed away. Plasma from rat blood is isolated by centrifugation, and stored at -80°C until the concentration of Aranidipine is determined.

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

REFERENCES

[1]. Nozawa Y, et al. Receptor occupation and pharmacokinetics of MPC-1304, a new Ca^{2+} channel antagonist, in spontaneously hypertensive rats. Eur J Pharmacol. 1995 Dec 12;287(2):191-6.

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