Product Data Sheet

Product Name: AE0047 Hydrochloride
Cat. No.: GC32672

Chemical Properties

Cas. No.	116308-56-6		
SMILES	O=C(C1=C(C)NC(C)=C(C(OC)=O)C1C2=CC(N(=O)=O)=CC=C2)OCCC3=CC=C(N4CCN(C(C5=CC=CC=C5)C6=CC=CC=C6)CC4)C=C		
Formula	$C_{41}H_{43}ClN_4O_6$	M.Wt	723.26
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	AE0047 Hydrochloride		

Protocol

Cell experiment:

K+ (30 mM) is applied to the bath every 15 min, followed by rinsing with fresh KH solution and a 15-min recovery. As a control response of the preparation to the vasoconstrictive stimulus, second and third contractile responses are averaged. AE0047 (1 μM), nifedipine (1 μM), manidipine (1 μM) or DMSO (0.1% v/v as vehicle) is added. After 1 hr, cumulative concentration-response curves to the K+ (10-90 mM) are obtained within 20 min, the tissues are then washed twice with fresh KH solution and additional K+ responses (0.5, 1.0, 2.0 and 4.0 h) are recorded for another 4 hr to monitor recovery after drug removal[3].

Animal experiment:

Rats[4]Male stroke-prone spontaneously hypertensive rats are given free access to water and fed stroke-prone (SP) diet from 8 weeks of age. They are randomly assigned to one of five study groups: a control group, two groups receiving AE0047 (1 or 3 mg/25g SP diet), and two groups receiving benidipine (1 or 3 mg/25 g SP diet). Each drug is administered as an admixture of powdered SP diet for 10 weeks from 9 weeks of age. The drug dose ingested by the animals is calculated from the amount of diet consumed over 24 h. The results are approximately 3 and 10 mg/kg/day[4].

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References:

[1]. Ashimori A, et al. Novel 1,4-dihydropyridine calcium antagonists. II. Synthesis and antihypertensive activity of 3-[4-(substituted amino)phenylalkyl]ester derivatives. Chem Pharm Bull (Tokyo). 1991 Jan;39(1):91-9.
[2]. Ashimori A, et al. Synthesis and pharmacological effects of optically active 2-[4-(4-benzhydryl-1-piperazinyl)phenyl]-ethyl methyl 1,4-dihydro-2,6-dimethyl-4-(3-nitrophenyl)-3,5-pyridinedicarboxylate hydrochloride. Chem Pharm Bull (Tokyo). 1991 Jan;39(1):108-11.
[3]. Yamanaga K, et al. AE0047-mediated calcium channel blocking in vascular smooth muscles. Gen Pharmacol. 1997 Sep;29(3):337-43.
[4]. Nishikawa M, et al. Protection against endothelial abnormalities by a novel calcium channel blocker, AE0047, in stroke-prone spontaneously hypertensive rats. Gen Pharmacol. 1999 Mar;32(3):299-305.

Background

AE0047 Hydrochloride is a calcium blocker, used in the research of hypertensive disease.

AE0047 Hydrochloride (4e) is a calcium antagonist[1]. AE0047 inhibits [3H]nimodipine binding to rat cardiac membrane homogenate with an IC50 of 0.26 nM[2]. AE0047 (1 μM) inhibits the high K+-evoked vascular smooth muscle contraction, and also inhibits [3H]PN200-110 binding with a Ki of 40.9 nM[3].

AE0047 (3 mg/kg) shows antihypertensive effect, and reduces systolic blood pressure with ED30 of 1.1 mg/kg[2]. AE0047 (1 or 3 mg/25g SP diet) inhibits blood pressure elevation and improves endothelium-dependent relaxation in response to acetylcholine in aorta isolated from stroke-prone spontaneously hypertensive rats (SHRSP)[4].

[1]. Ashimori A, et al. Novel 1,4-dihydropyridine calcium antagonists. II. Synthesis and antihypertensive activity of 3-[4-(substituted amino)phenylalkyl]ester derivatives. Chem Pharm Bull (Tokyo). 1991 Jan;39(1):91-9. [2]. Ashimori A, et al. Synthesis and pharmacological effects of optically active 2-[4-(4-benzhydryl-1-piperazinyl)phenyl]-ethyl methyl 1,4-dihydro-2,6-dimethyl-4-(3-nitrophenyl)-3,5-pyridinedicarboxylate hydrochloride. Chem Pharm Bull (Tokyo). 1991 Jan;39(1):108-11. [3]. Yamanaga K, et al. AE0047-mediated calcium channel blocking in vascular smooth muscles. Gen Pharmacol. 1997 Sep;29(3):337-43. [4]. Nishikawa M, et al. Protection against endothelial abnormalities by a novel calcium channel blocker, AE0047, in stroke-prone spontaneously hypertensive rats. Gen Pharmacol. 1999 Mar;32(3):299-305.

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