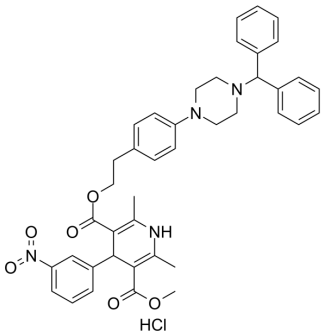
AE0047 Hydrochloride

Cat. No.:	HY-U00284
CAS No.:	116308-56-6
Molecular Formula:	$C_{41}H_{43}ClN_4O_6$
Molecular Weight:	723.26
Target:	Calcium Channel
Pathway:	Membrane Transporter/Ion Channel; Neuronal Signaling
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description	AE0047 Hydrochloride is a calcium blocker, used in the research of hypertensive disease.
IC_{50} & Target	Calcium Channel[1]
In Vitro	AE0047 Hydrochloride (4e) is a calcium antagonist[1]. AE0047 inhibits [^{3}H]nimodipine binding to rat cardiac membrane homogenate with an IC_{50} of 0.26 nM[2]. AE0047 (1 μM) inhibits the high K^+-evoked vascular smooth muscle contraction, and also inhibits [^{3}H]PN200-110 binding with a K_i of 40.9 nM[3]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	AE0047 (3 mg/kg) shows antihypertensive effect, and reduces systolic blood pressure with ED_{30} 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]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

PROTOCOL

Cell Assay [3]	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]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
Animal Administration [4]	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]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

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.

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