Benzamil hydrochloride

Cat. No.: HY-B1546A

CAS No.: 161804-20-2

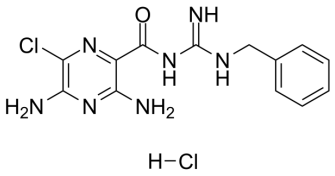
Molecular Formula: $C_{13}H_{15}Cl_2N_7O$

Molecular Weight: 356

Target: Sodium Channel; Na+/Ca2+ Exchanger

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)



H−Cl

SOLVENT & SOLUBILITY

In Vitro

DMSO : ≥ 100 mg/mL (280.90 mM)
H_2O : < 0.1 mg/mL (insoluble)
* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions	Concentration / Solvent / Mass	1 mg	5 mg	10 mg
	1 mM	2.8090 mL	14.0449 mL	28.0899 mL
	5 mM	0.5618 mL	2.8090 mL	5.6180 mL
	10 mM	0.2809 mL	1.4045 mL	2.8090 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 (7.02 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (7.02 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (7.02 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Benzamil hydrochloride (Benzylamiloride hydrochloride), an Amiloride analogue, is a Na^+/Ca^{2+} exchanger (NCX) inhibitor (IC_{50}~100 nM). Benzamil hydrochloride also is a non-selective Deg/epithelial sodium channels (ENaC) blocker, and can potentiate myogenic vasoconstriction. Benzamil hydrochloride inhibits TRPP3-mediated Ca^{2+}-activated currents, with an IC_{50} of 1.1 μM[1][2][3].

In Vitro

Benzamil hydrochloride (Benzylamiloride hydrochloride) inhibits neuronal and heterologously expressed small conductance Ca^{2+}-activated K^{2+} channels[4].

	MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	Benzamil hydrochloride (Benzylamiloride hydrochloride) (0.7 mg/kg/day; s.c.) treated stroke-prone spontaneously hypertensive rats (SHRSP) survived, on average, until 16.1 weeks of age in SHRSP rats[5]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

PROTOCOL

Cell Assay [2]	Individual CCD segments are dissected from rabbit and mouse kidney.The measurements of $[Na^+]_i$ are used as a reflection of Na^+ transport across the apical membrane. EnaC-specific activity is assessed by applying 10^{-6} M of the ENaC blocker Benzamil hydrochloride, a concentration that does not affect Na^+:H^+ exchange. Experiments are performed in the presence/absence of luminal Benzamil hydrochloride or the Na:H exchanger blocker HOE694 administers with/without the AT_1 receptor blocker candesartan. Final DMSO concentrations are below 0.1% [2]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
Animal Administration [1]	For the acute intracerebroventricular injection in anesthetized rats. A guide cannula is inserted into the right lateral cerebral ventricle, and Benzamil hydrochloride (0.1 nmol/kg, n=6; 1 nmol/kg, n=6; 10 nmol/kg,n=7) or vehicle (n=6) is injected into the right lateral ventricle through a cannula connected to a microsyringe 15 min before the start of intracerebroventricular infusion of hypertonic NaCl. Each injection consists of a volume of 10 μL deliver manually over a period of 30 s. To investigate the effects of Benzamil hydrochloride on the pressor response induced by intracerebroventricular injection of the pressor agent other than hypertonic NaCl, endothelin-1, which has a potent pressor action in the brain of rats, is intracerebroventricularly injected (1 nmol/10 μL) 15 min after the intracerebroventricular preinjection of Benzamil hydrochloride (10 nmol/kg, n=6) or the vehicle (n=6), and mean arterial pressure, heart rate, and abdominal sympathetic nerve firings are recorded for 20 min. Catheters are implanted into both the femoral artery and vein of 12-wk-old male rats anesthetized with urethan. Both catheters are filled with heparinized saline (50 U/mL). Fifteen minutes before the start of intracerebroventricular infusion of hypertonic NaCl, Benzamil hydrochloride (10 nmol/kg, n=6) or vehicle (10 μL, n=6) is injected into a tube connects to the femoral venous catheter by directly inserting the microsyringe, and 0.1 ml of isotonic saline solution is injected through the catheter to deliver the contents into the venous circulation[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

REFERENCES

[1]. Fischer KG, et al. Characterization of a Na(+)-Ca(2+) exchanger in podocytes. Nephrol Dial Transplant. 2002 Oct;17(10):1742-50.

[2]. Wang X, et al. Effects of amiloride, benzamil, and alterations in extracellular Na+ on the rat afferent arteriole and its myogenic response. Am J Physiol Renal Physiol. 2008 Jul;295(1):F272-82.

[3]. Dai XQ, et al. Inhibition of TRPP3 channel by amiloride and analogs. Mol Pharmacol. 2007 Dec;72(6):1576-85.

[4]. Castañeda MS, et al. Benzamil inhibits neuronal and heterologously expressed small conductance Ca2+-activated K+channels. Neuropharmacology. 2019 Nov 1;158:107738.

[5]. Teiwes J, et al. Epithelial sodium channel inhibition in cardiovascular disease. A potential role for amiloride. Am J Hypertens. 2007 Jan;20(1):109-17.

Tel: 609-228-6898 Fax: 609-228-5909 E-mail: tech@MedChemExpress.com

Address: 1 Deer Park Dr, Suite Q, Monmouth Junction, NJ 08852, USA