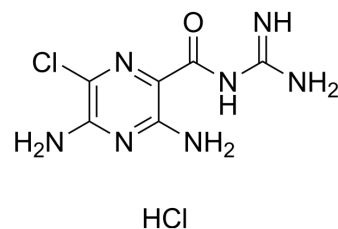


Amiloride hydrochloride

Cat. No.:	HY-B0285A
CAS No.:	2016-88-8
Molecular Formula:	C ₆ H ₉ Cl ₂ N ₇ O
Molecular Weight:	266.09
Target:	Sodium Channel; Apoptosis; TRP Channel
Pathway:	Membrane Transporter/Ion Channel; Apoptosis; Neuronal Signaling
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 (375.81 mM)
 H₂O : 7.14 mg/mL (26.83 mM; Need ultrasonic)
 * "≥" means soluble, but saturation unknown.

Preparing Stock Solutions	Solvent Concentration	Mass		
		1 mg	5 mg	10 mg
	1 mM	3.7581 mL	18.7906 mL	37.5813 mL
	5 mM	0.7516 mL	3.7581 mL	7.5163 mL
	10 mM	0.3758 mL	1.8791 mL	3.7581 mL

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

In Vivo

- Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
Solubility: ≥ 2.5 mg/mL (9.40 mM); Clear solution
- Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
Solubility: ≥ 2.5 mg/mL (9.40 mM); Clear solution
- Add each solvent one by one: 10% DMSO >> 90% corn oil
Solubility: ≥ 2.5 mg/mL (9.40 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Amiloride hydrochloride (MK-870 hydrochloride) is an inhibitor of both epithelial sodium channel (ENaC^[1]) and urokinase-type plasminogen activator receptor (uTPA^[2]). Amiloride hydrochloride is a blocker of polycystin-2 (PC2; TRPP2^[3]) channel.

IC₅₀ & Target

ENaC^[1]; uTPA^[2]; polycystin-2(TRPP2)^[3]

In Vitro

Amiloride blocks δβγ channels with an IC₅₀ of 2.6 μM. The K_i of amiloride for δβγ ENaC is 26-fold that of αβγ channels (0.1 μM for αβγ ENaC). Amiloride blockade of δβγ ENaC is much more voltage dependent compared with the αβγ channel. The K_i of

amiloride for $\delta\alpha\beta\gamma$ channels is 920 and 13.7 μM at -120 and $+80$ mV, respectively, which significantly differs from that of both $\alpha\beta\gamma$ and $\delta\beta\gamma$ channels^[1].

Amiloride is a relatively selective inhibitor of the epithelial sodium channel (ENaC) with an IC_{50} (the concentration required to reach 50% inhibition of an ion channel) in the concentration range of 0.1 to 0.5 μM . Amiloride is a relatively poor inhibitor of the the Na^+/H^+ exchanger (NHE) with an IC_{50} as low as 3 μM in the presence of a low external $[\text{Na}^+]$ but as high as 1 mM in the presence of a high $[\text{Na}^+]$. Amiloride is an even weaker inhibitor of the $\text{Na}^+/\text{Ca}^{2+}$ exchanger (NCX), with an IC_{50} of 1 mM. Amiloride (1 μM) and submicromolar doses of Benzamil (30 nM), doses known to inhibit the ENaC, inhibit the myogenic vasoconstriction response to increasing perfusion pressure by blocking the activity of ENaC proteins. Amiloride completely inhibits Na^+ influx in doses known to be relatively specific for ENaC (1.5 μM) in vascular smooth muscle cells (VSMC)^[2]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

In Vivo

Amiloride (1 mg/kg/day) subcutaneously is found to reverse the initial increases in collagen deposition and prevent any further increases in the DOCA-salt hypertensive rat. Amiloride delays the onset of proteinuria and improved brain and kidney histologic scores in the saline-drinking, stroke-prone spontaneously hypertensive rats (SHRSP) compared with controls. Amiloride antagonizes or prevents actions of aldosterone in these cells and in cardiovascular and renal tissues in animals with salt-dependent forms of hypertension^[2]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

CUSTOMER VALIDATION

- Cell Metab. 2022 Dec 6;34(12):2018-2035.e8.
- ACS Nano. 2023 Apr 14.
- Adv Sci (Weinh). 2023 Dec 14:e2307880.
- J Am Chem Soc. 2018 Dec 12;140(49):17234-17240.
- Biomaterials. 2022 May;284:121529.

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REFERENCES

- [1]. Ji, H.L., et al. delta ENaC: a novel divergent amiloride-inhibitable sodium channel. Am J Physiol Lung Cell Mol Physiol, 2012. 303(12): p. L1013-26.
- [2]. 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.
- [3]. Giamarchi A, et al. A polycystin-2 (TRPP2) dimerization domain essential for the function of heteromeric polycystin complexes. EMBO J. 2010 Apr 7;29(7):1176-91.

Caution: Product has not been fully validated for medical applications. For research use only.

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