

# Amiloride (hydrochloride)

Catalog No: tcsc2298



## Available Sizes

**Size:** 100mg

**Size:** 500mg



## Specifications

**CAS No:**

2016-88-8

**Formula:**

$C_6H_9Cl_2N_7O$

**Pathway:**

Membrane Transporter/Ion Channel

**Target:**

Sodium Channel

**Purity / Grade:**

>98%

**Solubility:**

DMSO :  $\geq 90$  mg/mL (338.23 mM)

**Alternative Names:**

MK-870 hydrochloride

**Observed Molecular Weight:**

266.09

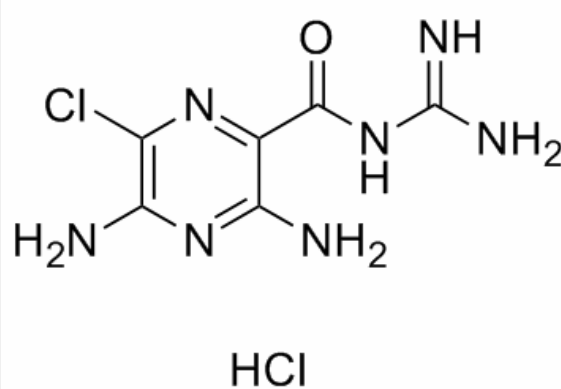
## Product Description

Amiloride (hydrochloride) is an **epithelial sodium channel (ENaC)** inhibitor and a competitive inhibitor of Urokinase-type plasminogen activator (**uPA**).

IC<sub>50</sub> & Target: ENaC, uPA<sup>[1]</sup>

**In Vitro:** Amiloride blocks  $\delta\beta\gamma$  channels with an IC<sub>50</sub> of 2.6  $\mu\text{M}$ . The  $K_i$  of amiloride for  $\delta\beta\gamma$  ENaC is 26-fold that of  $\alpha\beta\gamma$  channels (0.1  $\mu\text{M}$  for  $\alpha\beta\gamma$  ENaC). Amiloride blockade of  $\delta\beta\gamma$  ENaC is much more voltage dependent compared with the  $\alpha\beta\gamma$  channel. The  $K_i$  of amiloride for  $\delta\alpha\beta\gamma$  channels is 920 and 13.7  $\mu\text{M}$  at  $-120$  and  $+80$  mV, respectively, which significantly differs from that of both  $\alpha\beta\gamma$  and  $\delta\beta\gamma$  channels<sup>[1]</sup>. Amiloride is a relatively selective inhibitor of the epithelial sodium channel (ENaC) with an IC<sub>50</sub> (the concentration required to reach 50% inhibition of an ion channel) in the concentration range of 0.1 to 0.5  $\mu\text{M}$ . Amiloride is a relatively poor inhibitor of the the  $\text{Na}^+/\text{H}^+$  exchanger (NHE) with an IC<sub>50</sub> as low as 3  $\mu\text{M}$  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<sub>50</sub> of 1 mM. Amiloride (1  $\mu\text{M}$ ) 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  $\text{Na}^+$  influx in doses known to be relatively specific for ENaC (1.5  $\mu\text{M}$ ) in vascular smooth muscle cells (VSMC)<sup>[2]</sup>.

**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<sup>[2]</sup>.



All products are for RESEARCH USE ONLY. Not for diagnostic & therapeutic purposes!