

Product Data Sheet

Product Name: Amiloride HCl

Cat. No.: GC17853

Chemical Properties

Cas. No. 2016-88-8

Chemical Name 3,5-diamino-6-chloro-N-(diaminomethylidene)pyrazine-2-carboxamide;hydrochloride

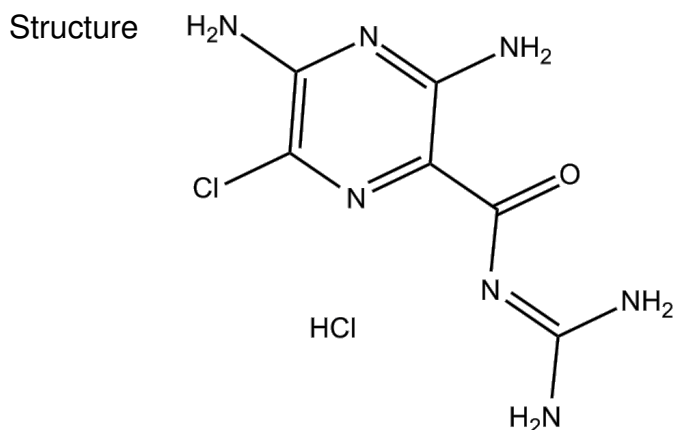
SMILES C1(=C(N=C(C(=N1)Cl)N)N)C(=O)N=C(N)N.Cl

Formula $C_6H_8ClN_7O \cdot HCl$ M.Wt 266.09

Solubility $\geq 13.3\text{mg/mL}$ in DMSO, 6mg/mL in water. Storage Store at -20°C

General tips For obtaining a higher solubility, please warm the tube at 37°C and shake it in the ultrasonic bath for a while. Stock solution can be stored below -20°C for several months.

Shipping Condition Evaluation sample solution: ship with blue ice All other available size: ship with RT, or blue ice upon request.



Background

The epithelial sodium channel (ENaC) is a membrane-bound ion-channel that is permeable to protons, Li^+ -ions, and especially Na^+ -ions. It is a constitutively active ion-channel. It can be argued that it is the most selective ion channel. In vertebrates, the channels control reabsorption of sodium in kidney, colon, lung and sweat glands. They also play a role in taste perception. Amiloride is a relatively selective inhibitor of the epithelial sodium channel (ENaC).

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

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In vitro: Amiloride is a relatively poor inhibitor of the the Na^+/H^+ exchanger (NHE) with an IC_{50} of 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 completely inhibits Na^+ influx in doses of 1.5 μM in vascular smooth muscle cells [1].

In vivo: Amiloride at 1 mg/kg/day is found to reverse the initial increases in collagen deposition and prevent further increases in the DOCA-salt hypertensive rat. Amiloride delays the onset of proteinuria and improved brain and kidney histologic scores in the stroke-prone spontaneously hypertensive rats. Amiloride antagonizes or prevents actions of aldosterone in cardiovascular and renal tissues in animals with salt-dependent forms of hypertension [1].

Clinical trial: Amiloride is a potassium-sparing diuretic, first approved for use in 1967, used in the management of hypertension and congestive heart failure. Amiloride was also tested as treatment of cystic fibrosis, but it was revealed inefficient in vivo due to its short time of action.

Reference:

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

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