

Phenamil methanesulfonate

Chemical Properties

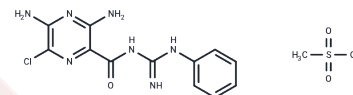
CAS No. : 1161-94-0

Formula: C13H16ClN7O4S

Molecular Weight: 401.83

Storage: Powder: -20°C for 3 years | In solvent: -80°C for 1 year

Actual storage temperature shall be subject to the COA.



Biological Description

Description	Phenamil methanesulfonate is a potent analog of Amiloride that functions as a strong and less reversible epithelial sodium channel (ENaC) blocker with an IC50 of 400 nM, Phenamil methanesulfonate also acts as a competitive inhibitor of TRPP3 and suppressing TRPP3-mediated calcium transport with an IC50 of 140 nM in Ca ²⁺ uptake assays. Phenamil methanesulfonate robustly activates BMP signaling to promote bone repair, supporting its use in cystic fibrosis lung disease, ion channel biology, and regenerative medicine research.
Targets(IC50)	TRP/TRPV Channel
In vitro	Beyond channel blockade, in C3H10T1/2 mesenchymal cells, Phenamil methanesulfonate regulated adipogenesis in a concentration-dependent manner (0-20 μM) by elevating the expression of adipogenic genes such as PPARγ and Fabp4 [4]. Additionally, in MC3T3-E1 osteoblastic cells, it promoted osteoblastic differentiation, evidenced by a concentration-dependent increase in Alkaline Phosphatase (ALP) activity [4].
In vivo	In a rat model of chronic-hypoxia-induced pulmonary artery hypertension (PAH), Phenamil methanesulfonate demonstrated significant therapeutic efficacy. Administration via continuous subcutaneous infusion (15 or 30 mg/kg/day) for 21 days effectively reduced the severity of PAH. Mechanistically, the compound downregulated the mRNA expression of smooth muscle markers in lung tissues [4].

Solubility Information

Solubility	DMSO: 20 mg/mL (49.77 mM), Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)
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Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.4886 mL	12.4431 mL	24.8861 mL
5 mM	0.4977 mL	2.4886 mL	4.9772 mL
10 mM	0.2489 mL	1.2443 mL	2.4886 mL
50 mM	0.0498 mL	0.2489 mL	0.4977 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Note: The dilution table applies only to solid products. For liquid products, please calculate the stock solution based on the stated concentration and/or density.

Reference

Xiao-Qing Dai , et al. Inhibition of TRPP3 channel by amiloride and analogs. *Mol Pharmacol.* . 2007 Dec;72(6): 1576-85.

Andrew J Hirsh, et al. Design, synthesis, and structure-activity relationships of novel 2-substituted pyrazinoylguanidine epithelial sodium channel blockers: drugs for cystic fibrosis and chronic bronchitis. *J Med Chem.* 2006 Jul 13;49(14):4098-115.

Andrew J Hirsh, et al. Evaluation of second generation amiloride analogs as therapy for cystic fibrosis lung disease. *J Pharmacol Exp Ther.* 2004 Dec;311(3):929-38.

Mun Chun Chan, et al. The amiloride derivative phenamil attenuates pulmonary vascular remodeling by activating NFAT and the bone morphogenetic protein signaling pathway. *Mol Cell Biol*

Inhibitor · Natural Compounds · Compound Libraries · Recombinant Proteins

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