

EMD638683

Chemical Properties

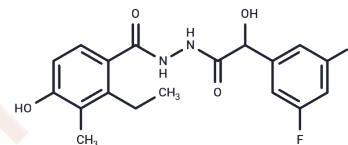
CAS No. : 1181770-72-8

Formula: C₁₈H₁₈F₂N₂O₄

Molecular Weight: 364.34

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	EMD638683, a novel SGK inhibitor with antihypertensive potency (with an IC ₅₀ of 3 μM).
Targets (IC ₅₀)	SGK
In vitro	EMD638683 treatment significantly augmented the radiation-induced decrease of forward scatter, increase of phosphatidylserine exposure, decrease of mitochondrial potential, increase of caspase 3 activity, increase of DNA fragmentation and increase of late apoptosis. The in vivo development of tumors following chemical carcinogenesis was significantly blunted by treatment with EMD638683 [1].
In vivo	Within 24 hours in vivo EMD638683 treatment significantly decreased blood pressure in fructose/saline-treated mice but not in control animals or in SGK1 knockout mice. EMD638683 failed to alter the blood pressure in SGK1 knockout mice. Following chronic (4 weeks) fructose/high salt treatment, additional EMD638683 treatment again decreased blood pressure. EMD638683 thus abrogates the salt sensitivity of blood pressure in hyperinsulinism without appreciably affecting blood pressure in the absence of hyperinsulinism. EMD638683 tended to increase fluid intake and urinary excretion of Na(+), significantly increased urinary flow rate and significantly decreased body weight [2].
Cell Research	Colon carcinoma (Caco-2) cells were exposed to EMD638683 with or without exposure to radiation (3 Gray) and cell volume was estimated from forward scatter, phosphatidylserine exposure from annexin V binding, mitochondrial potential from JC-9 fluorescence, caspase 3 activity from CaspGlow Fluorescein staining, DNA degradation from propidium iodide staining as well as late apoptosis from annexin-V FITC and propidium iodide double staining. In vivo tumor growth was determined in wild type mice subjected to chemical carcinogenesis (intraperitoneal injection of 20 mg/kg 1,2-dimethylhydrazine followed by three cycles of 30 g/L synthetic dextran sulfate sodium in drinking water for 7 days) [1].

Solubility Information

Solubility	DMSO: 50 mg/mL (137.23 mM), Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)
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A DRUG SCREENING EXPERT

In vivo Formulation	10% DMSO+40% PEG300+5% Tween 80+45% Saline: 2 mg/mL (5.49 mM),Sonication is recommended. <i>Please add the solvents sequentially, clarifying the solution as much as possible before adding the next one. Dissolve by heating and/or sonication if necessary. Working solution is recommended to be prepared and used immediately. The formulation provided above is for reference purposes only. In vivo formulations may vary and should be modified based on specific experimental conditions.</i>
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Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.7447 mL	13.7234 mL	27.4469 mL
5 mM	0.5489 mL	2.7447 mL	5.4894 mL
10 mM	0.2745 mL	1.3723 mL	2.7447 mL
50 mM	0.0549 mL	0.2745 mL	0.5489 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

Towhid S T , Liu G L , Ackermann T F , et al. Inhibition of Colonic Tumor Growth by the Selective SGK Inhibitor EMD638683[J]. Cellular Physiology and Biochemistry, 2013, 32(4):838-848.

Ackermann TF, Boini KM, Beier N, et al. EMD638683, a novel SGK inhibitor with antihypertensive potency[J]. Cell Physiol Biochem. 2011;28(1):137-46.

Inhibitor · Natural Compounds · Compound Libraries · Recombinant Proteins

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