

EMD638683

Catalog No: tcsc1344



Available Sizes

Size: 5mg

Size: 10mg

Size: 50mg

Size: 100mg



Specifications

CAS No:

1181770-72-8

Formula:

$C_{18}H_{18}F_2N_2O_4$

Pathway:

Metabolic Enzyme/Protease

Target:

SGK

Purity / Grade:

>98%

Solubility:

DMSO : ≥ 50 mg/mL (137.23 mM)

Observed Molecular Weight:

364.34

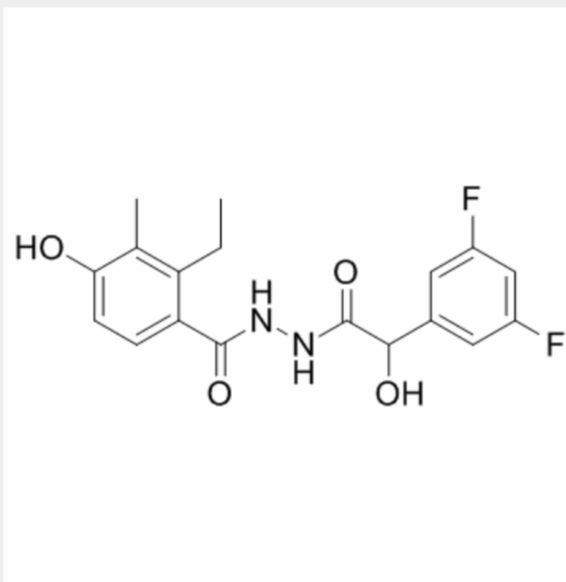
Product Description

EMD638683 is a highly selective **SGK1** inhibitor with **IC₅₀** of 3 μ M.

IC50 & Target: IC50: 3 μ M (SGK1)^[1]

In Vitro: EMD638683 is a SGK1 inhibitor. EMD638683 inhibits the NDRG1 (N-Myc downstream-regulated gene 1) phosphorylation, an effect requiring 3.35 ± 0.32 μ M EMD638683 in the cell culture medium for half maximal effect (IC_{50}). EMD638683 has also an inhibitory effect on cAMP-dependent protein kinase (PKA), mitogen- and stress-activated protein kinase 1 (MSK1), protein kinase C-related kinase 2 (PKR2), and the SGK isoforms SGK2 and SGK3^[1]. In both, control and EMD638683 (50 μ M)-treated CaCo-2 cells, radiation significantly increases the percentage of CaCo-2 cells undergoing late apoptosis. EMD638683 treatment alone tends to enhance the percentage of apoptotic CaCo-2 cells. Following radiation the percentage of apoptotic EMD638683-treated CaCo-2 cells is significantly higher than the percentage of apoptotic control cells. Thus, EMD638683 treatment significantly augments the apoptosis following radiation^[2].

In Vivo: The colon is significantly longer and the colon weight significantly lower in EMD638683-treated mice than in placebo-treated mice, a finding pointing to an influence of EMD638683 on tumor growth following chemical carcinogenesis. In addition, the stomach weight is significantly lower in the EMD treated group. Most importantly, the number of developing tumors following carcinogenic treatment is significantly blunted by EMD638683 treatment^[2]. EMD638683 (20 mg/kg, intragastrically) prevents progression of monocrotaline (MCT)-induced pulmonary vascular remodeling in rats. Hemodynamic characteristics show that EMD638683 treatment attenuates right ventricular systolic pressure (RVSP) (15.8 ± 2.5 vs. 28.2 ± 3.1 mmHg; P[3]).



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