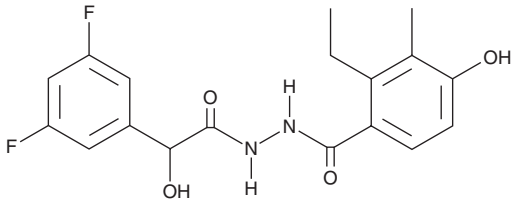
PRODUCT INFORMATION

EMD 638683

Item No. 31117

CAS Registry No.: 1181770-72-8
Formal Name: 3,5-difluoro-α-hydroxy-benzeneacetic acid, 2-(2-ethyl-4-hydroxy-3-methylbenzoyl)hydrazide
MF: $C_{18}H_{18}F_2N_2O_4$
FW: 364.3
Purity: ≥98%
Supplied as: A crystalline solid
Storage: -20°C
Stability: ≥4 years



Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.

Laboratory Procedures

EMD 638683 is supplied as a crystalline solid. A stock solution may be made by dissolving the EMD 638683 in the solvent of choice, which should be purged with an inert gas. EMD 638683 is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF). The solubility of EMD 638683 is approximately 25 mg/ml in ethanol and approximately 30 mg/ml in DMSO and DMF.

EMD 638683 is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, EMD 638683 should first be dissolved in DMSO and then diluted with the aqueous buffer of choice. EMD 638683 has a solubility of approximately 0.14 mg/ml in a 1:6 solution of DMSO:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

EMD 638683 is an inhibitor of serum- and glucocorticoid-regulated kinase 1 (SGK1) that inhibits SGK1 by 85% when used at a concentration of 1 µM.[1] It is greater than 27-fold selective for SGK1 over a panel of 11 kinases but does inhibit SGK2, SGK3, PRK2, and MSK1 by greater than 50% at 1 µM. EMD 638683 inhibits phosphorylation of the SGK1 target NDRG1 in HeLa cells (IC_{50} = 3.35 µM). It increases radiation-induced apoptosis of Caco-2 colon carcinoma cells when used at a concentration of 50 µM.[2] Dietary administration of EMD 638683 (600 mg/kg) reduces the number of tumors in a mouse model of chemical carcinogenesis. It prevents fructose and saline consumption-induced increases in systolic blood pressure in mice.[1] EMD 638683 decreases body weight, fasting blood glucose and hemoglobin A1c (HbA1C) levels, and food intake in *db/db* diabetic mice.[3] It also reduces angiotensin II-induced collagen deposition and cardiac fibrosis in mice.[4]

References

1. Ackermann, T.F., Boini, K.M., Beier, N., *et al.* EMD638683, a novel SGK inhibitor with antihypertensive potency. *Cell. Physiol. Biochem.* **28(1)**, 137-146 (2011).
2. Towhid, S.T., Liu, G.-L., Ackermann, T.F., *et al.* Inhibition of colonic tumor growth by the selective SGK inhibitor EMD638683. *Cell. Physiol. Biochem.* **32(4)**, 838-848 (2013).
3. Li, P., Hao, Y., Pan, F.-H., *et al.* SGK1 inhibitor reverses hyperglycemia partly through decreasing glucose absorption. *J. Mol. Endocrinol.* **56(4)**, 301-309 (2016).
4. Gan, W., Ren, J., Li, T., *et al.* The SGK1 inhibitor EMD638683, prevents angiotensin II-induced cardiac inflammation and fibrosis by blocking NLRP3 inflammasome activation. *Biochim. Biophys. Acta Mol. Basis Dis.* **1864(1)**, 1-10 (2018).

CAYMAN CHEMICAL
1180 EAST ELLSWORTH RD
ANN ARBOR, MI 48108 · USA
PHONE: [800] 364-9897
[734] 971-3335
FAX: [734] 971-3640
CUSTSERV@CAYMANCHEM.COM
WWW.**CAYMANCHEM**.COM