PRODUCT INFORMATION



MK-8245

Item No. 29421

CAS Registry No.:	1030612-90-8	
Formal Name:	5-[3-[4-(2-bromo-5-fluorophenoxy)-	
	1-piperidinyl]-5-isoxazolyl]-2H-	
	tetrazole-2-acetic acid	N N N
MF:	C ₁₇ H ₁₆ BrFN ₆ O ₄	
FW:	467.3	Br N
Purity:	≥98%	
UV/Vis.:	λ _{max} : 229 nm	
Supplied as:	A crystalline solid	F V 0 V
Storage:	-20°C	
Stability:	≥4 years	
Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis		

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Laboratory Procedures

MK-8245 is supplied as a crystalline solid. A stock solution may be made by dissolving the MK-8245 in the solvent of choice, which should be purged with an inert gas. MK-8245 is soluble in organic solvents such as DMSO and dimethyl formamide. The solubility of MK-8245 in these solvents is approximately 30 mg/ml.

MK-8245 is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, MK-8245 should first be dissolved in DMSO and then diluted with the aqueous buffer of choice. MK-8245 has a solubility of approximately 0.5 mg/ml in a 1:1 solution of DMSO:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

MK-8245 is a liver-targeted inhibitor of stearoyl-CoA desaturase (SCD; $IC_{50}s = 3$, 3, and 1 nM for rat, mouse, and human SCD1, respectively).¹ It is selective for SCD1 over Δ^{5} - and Δ^{6} -desaturases $(IC_{50}s = >100,000 \text{ nM})$. MK-8245 (20-60 mg/kg) reduces the hepatic, but not Harderian gland, ratio of oleic acid to stearic acid, a marker of chronic SCD activity, and blood glucose levels, without inducing the formation of skin lesions or eye squinting behavior, in a mouse model of high-fat diet-induced obesity. It also inhibits hepatitis C virus (HCV) replication without inducing cytotoxicity in LucNeo2 cells (IC_{50} = 39.8 nM).²

References

- 1. Oballa, R.M., Belair, L., Black, W.C., et al. Development of a liver-targeted stearoyl-CoA desaturase (SCD) inhibitor (MK-8245) to establish a therapeutic window for the treatment of diabetes and dyslipidemia. J. Med. Chem. 54(14), 5082-5096 (2011).
- 2. Nio, Y., Hasegawa, H., Okamura, H., et al. Liver-specific mono-unsaturated fatty acid synthase-1 inhibitor for anti-hepatitis C treatment. Antiviral Res. 132, 262-267 (2016).

WARNING THIS PRODUCT IS FOR RESEARCH ONLY - NOT FOR HUMAN OR VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

SAFETY DATA

This material should be considered hazardous until further information becomes available. Do not ingest, inhale, get in eyes, on skin, or on clothing. Wash thoroughly after handling. Before use, the user must review the complete Safety Data Sheet, which has been sent via email to your institution.

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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