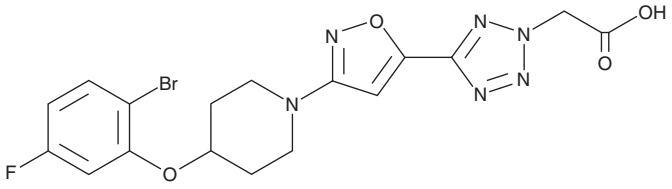
PRODUCT INFORMATION

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
MF:	$C_{17}H_{16}BrFN_6O_4$
FW:	467.3
Purity:	≥98%
UV/Vis.:	λ_{max}: 229 nm
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

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 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).

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