

PRODUCT INFORMATION

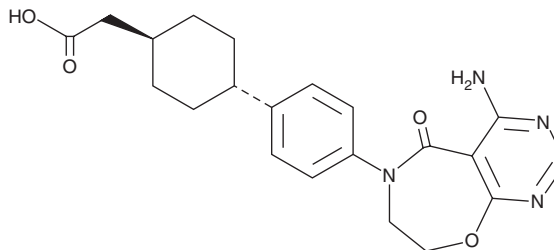


PF-04620110

Item No. 16425

CAS Registry No.: 1109276-89-2
Formal Name: *trans*-4-[4-(4-amino-7,8-dihydro-5-oxopyrimido[5,4-f][1,4]oxazepin-6(5H)-yl)phenyl]-cyclohexaneacetic acid

MF: C₂₁H₂₄N₄O₄
FW: 396.4
Purity: ≥98%
UV/Vis.: λ_{max}: 220, 244, 293 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

PF-04620110 is supplied as a crystalline solid. A stock solution may be made by dissolving the PF-04620110 in the solvent of choice, which should be purged with an inert gas. PF-04620110 is soluble in organic solvents such as DMSO and dimethyl formamide. The solubility of PF-04620110 in these solvents is approximately 1 and 0.2 mg/ml, respectively.

PF-04620110 is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, PF-04620110 should first be dissolved in DMSO and then diluted with the aqueous buffer of choice. PF-04620110 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

Acyl-CoA:diacylglycerol acyltransferase-1 (DGAT-1) catalyzes the final committed step in the biosynthesis of triglycerides and has potential roles in obesity, diabetes, and atherosclerosis.¹⁻³ PF-04620110 is a potent inhibitor of DGAT-1 (IC₅₀ = 19 nM) that is without effect on DGAT-2.⁴ It has high oral bioavailability (100%) in rats, with a moderate half-life of 6.8 hours.⁴ PF-04620110 significantly blocks an increase in plasma triglyceride levels following a corn oil bolus in rats.⁴

References

1. Shi, Y. and Burn, P. Lipid metabolic enzymes: Emerging drug targets for the treatment of obesity. *Nat. Rev. Drug Discov.* **3**(8), 695-710 (2004).
2. Zhao, G., Souers, A.J., Voorbach, M., et al. Validation of diacyl glycerolacyltransferase I as a novel target for the treatment of obesity and dyslipidemia using a potent and selective small molecule inhibitor. *J. Med. Chem.* **51**(3), 380-383 (2008).
3. Rudel, L.L., Lee, R.G., and Cockman, T.L. Acyl coenzyme A: Cholesterol acyltransferase types 1 and 2: Structure and function in atherosclerosis. *Curr. Opin. Lipidol.* **12**(2), 121-127 (2001).
4. Dow, R.L., Li, J.C., Pence, M.P., et al. Discovery of PF-04620110, a potent, selective, and orally bioavailable inhibitor of DGAT-1. *ACS Med. Chem. Lett.* **2**(5), 407-412 (2011).

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.

WARRANTY AND LIMITATION OF REMEDY

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