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Produktinformation

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- Diagnostik & molekulare Diagnostik
- Laborgeräte & Service

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Lieferung & Zahlungsart

siehe unsere Liefer- und Versandbedingungen

Zuschläge

- Mindermengenzuschlag
- Trockeneiszuschlag
- Gefahrgutzuschlag
- Expressversand

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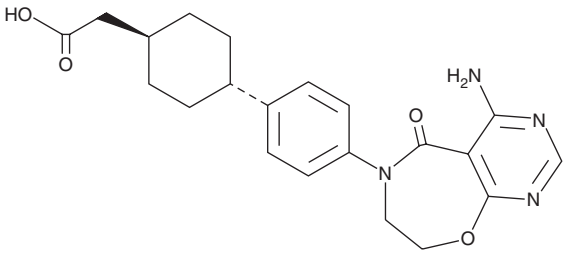
PRODUCT INFORMATION

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:	$C_{21}H_{24}N_4O_4$
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 (IC_{50} = 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).

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