Product Data Sheet

Chemical Properties

Product Name: PF-04620110
Cas No.: 1109276-89-2
M.Wt: 396.44
Formula: C21H24N4O4
Synonyms: PF 04620110, PF04620110
Chemical Name: 2-[(4-{[4-amino-5-oxo-7,8-dihydropyrimido[5,4-f][1,4]oxazepin-6-yl]phenyl}cyclohexyl)acetic acid
Canonical SMILES: C1CC(CCC1CC(=O)O)C2=CC=C(C=C2)N3CCOC4=NC=NC(=C4C3=O)N
Solubility: ≥16.9mg/mL in DMSO, <2.58 mg/mL in EtOH, <2.04 mg/mL in H2O
Storage: Store at -20°C
General tips: For obtaining a higher solubility, please warm the tube at 37°C and shake it in the ultrasonic bath for a while. Stock solution can be stored below -20°C for several months.
Shopping Condition: Evaluation sample solution: ship with blue ice
All other available size: ship with RT, or blue ice upon request

Biological Activity

Targets: Metabolism
Pathways: Transferase
Description:

PF-04620110 is a potent, selective and orally-bioavailable inhibitor of diacylglycerol acyltransferase 1 (DGAT-1), an enzyme catalyzing the final committed step in the biosynthesis of triglycerides, that inhibits DGAT-1 with values of 50% inhibition concentration IC50 of 19 nM and 8 nM in human and HT-29 cells respectively. PF-04620110 displays a highly selective, more than 100 fold, inhibition against DGAT-1 rather than a range of lipid processing enzymes, including human DGAT-2, human acyl-CoA:cholesterol acyltransferase 1, human acyl-CoA:wax alcohol...

**Reference:**


**Protocol**

**Cell experiment:**

**Cell lines**
Human intestinal epithelial cells

**Preparation method**
Soluble in DMSO > 10 mM. General tips for obtaining a higher concentration: Please warm the tube at 37 °C for 10 minutes and/or shake it in the ultrasonic bath for a while. Stock solution can be stored below -20 °C for several months.

**Reacting conditions**
5 hours at 37°C

**Applications**
PF-04620110 (IC50 39 nM) inhibits the incorporation of 3H-glycerol into TG.

**Animal experiment [3]:**

**Animal models**
C57BL/6J and B6.129S4-Dgat1tm1Far (DGAT1 knockout mice) male mice (7–12 wk of age)

**Dosage form**
Oral dose of 1, 0.3, 0.1, and 0.01 mg/kg (TG/retinyl palmitate tolerance test)

**Applications**
Administration of a single dose of a DGAT1 inhibitor, PF-04620110, reduces postprandial plasma TG and retinyl palmitate excursions in mice.

**Other notes**
Please test the solubility of all compounds indoor, and the actual solubility may slightly differ with the theoretical value. This is caused
Reference:

Caution

FOR RESEARCH PURPOSES ONLY.

NOT FOR HUMAN, VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

Specific storage and handling information for each product is indicated on the product datasheet. Most ApexBio products are stable under the recommended conditions. Products are sometimes shipped at a temperature that differs from the recommended storage temperature. Short-term storage of many products are stable in the short-term at temperatures that differ from that required for long-term storage. We ensure that the product is shipped under conditions that will maintain the quality of the reagents. Upon receipt of the product, follow the storage recommendations on the product data sheet.

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