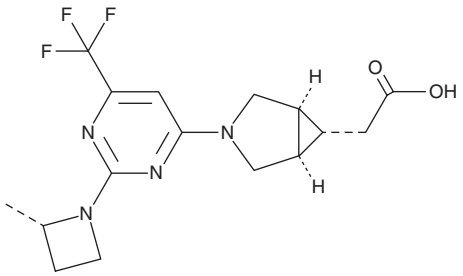
PRODUCT INFORMATION

PF-06835919

Item No. 41842

CAS Registry No.:	2102501-84-6
Formal Name:	(1α,5α,6α)-3-[2-[(2S)-2-methyl-1-azetidinyl]-6-(trifluoromethyl)-4-pyrimidinyl]-3-azabicyclo[3.1.0]hexane-6-acetic acid
Synonym:	Ketohexokinase Inhibitor 1
MF:	$C_{16}H_{19}F_3N_4O_2$
FW:	356.3
Purity:	≥98%
Supplied as:	A 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-06835919 is supplied as a solid. A stock solution may be made by dissolving the PF-06835919 in the solvent of choice, which should be purged with an inert gas. PF-06835919 is sparingly soluble (1-10 mg/ml) in DMSO and slightly soluble (0.1-1 mg/ml) in acetonitrile.

Description

PF-06835919 is an inhibitor of ketohexokinase C (KHK-C; IC_{50} = 10 nM).[1] It is selective for KHK-C over KHK-A (IC_{50} = 170 nM) and a panel of 89 kinases, phosphatases, and receptors at 10 µM. PF-06835919 decreases the levels of fructose-1-phosphate in primary human- and rat hepatocytes (IC_{50}s = 0.232 and 2.801 µM, respectively).[1] It inhibits glucose- and fructose-induced nuclear translocation of carbohydrate-responsive element-binding protein (ChREBP) in a reporter assay using primary rat hepatocytes when used at a concentration of 30 µM. PF-06835919 (10 or 30 mg/kg twice per day) reduces the weight of epididymal adipose tissue, fasting plasma levels of insulin, hepatic levels of triglycerides, and fed- and fasting plasma levels of triglycerides and increases urinary levels of fructose in rats fed a high-fructose diet. It decreases plasma levels of apolipoprotein C3 (ApoC3) and increases plasma levels of adiponectin in rats fed a Western diet when administered at doses of 20 or 60 mg/kg per day.

References

1. Futatsugi, K., Smith, A., Tu, M., *et al.* Discovery of PF-06835919: A potent inhibitor of ketohexokinase (KHK) for the treatment of metabolic disorders driven by the overconsumption of fructose. *J. Med. Chem.* **63(22)**, 13546-13560 (2020).
2. Gutierrez, J.A., Liu, W., Perez, S., *et al.* Pharmacologic inhibition of ketohexokinase prevents fructose-induced metabolic dysfunction. *Mol. Metab.* **48**, 101196 (2021).

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
Buyer agrees to purchase the material subject to Cayman's Terms and Conditions. Complete Terms and Conditions including Warranty and Limitation of Liability information can be found on our website.

Copyright Cayman Chemical Company, 10/09/2024

CAYMAN CHEMICAL
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