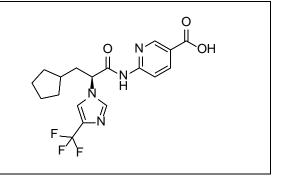
Product data sheet



| MedKoo Cat#: 522525 | | | | |
|---|--|--|--|--|
| Name: PF-04991532 | | | | |
| CAS: 1215197-37-7 | | | | |
| Chemical Formula: $C_{18}H_{19}F_3N_4O_3$ | | | | |
| Exact Mass: 396.1409 | | | | |
| Molecular Weight: 396.3702 | | | | |
| Product supplied as: | Powder | | | |
| Purity (by HPLC): | $\geq 98\%$ | | | |
| Shipping conditions | Ambient temperature | | | |
| Storage conditions: | Powder: -20°C 3 years; 4°C 2 years. | | | |
| | In solvent: -80°C 3 months; -20°C 2 weeks. | | | |



1. Product description:

PF-04991532 is a potent and selective hepatoselective glucokinase activator. PF-04991532 ameliorates hyperglycemia without causing hepatic steatosis in diabetic rats. F-04991532 reduced plasma glucose concentrations independent of changes in insulin concentrations in a dose-dependent manner both acutely and after 28 days of sub-chronic treatment. PF-04991532 may offer glycemic control without inducing hepatic steatosis supporting the evaluation of tissue specific activators in clinical trials.

2. CoA, QC data, SDS, and handling instruction

SDS and handling instruction, CoA with copies of QC data (NMR, HPLC and MS analytical spectra) can be downloaded from the product web page under "QC And Documents" section. Note: copies of analytical spectra may not be available if the product is being supplied by MedKoo partners. Whether the product was made by MedKoo or provided by its partners, the quality is 100% guaranteed.

3. Solubility data

| Solvent | Max Conc. mg/mL | Max Conc. mM | | |
|---------|-----------------|--------------|--|--|
| DMSO | 125.0 | 315.36 | | |

4. Stock solution preparation table:

| Concentration / Solvent Volume / Mass | 1 mg | 5 mg | 10 mg |
|---------------------------------------|---------|----------|----------|
| 1 mM | 2.52 mL | 12.61 mL | 25.23 mL |
| 5 mM | 0.50 mL | 2.52 mL | 5.05 mL |
| 10 mM | 0.25 mL | 1.26 mL | 2.52 mL |
| 50 mM | 0.05 mL | 0.25 mL | 0.50 mL |

5. Molarity Calculator, Reconstitution Calculator, Dilution Calculator

Please refer the product web page under section of "Calculator"

6. Recommended literature which reported protocols for in vitro and in vivo study

In vitro study

TBD

In vivo study

Erion DM, Lapworth A, Amor PA, Bai G, Vera NB, Clark RW, Yan Q, Zhu Y, Ross TT, Purkal J, Gorgoglione M, Zhang G, Bonato V, Baker L, Barucci N, D'Aquila T, Robertson A, Aiello RJ, Yan J, Trimmer J, Rolph TP, Pfefferkorn JA. The hepatoselective glucokinase activator PF-04991532 ameliorates hyperglycemia without causing hepatic steatosis in diabetic rats. PLoS One. 2014 May 23;9(5):e97139. doi: 10.1371/journal.pone.0097139. PMID: 24858947; PMCID: PMC4032240.

7. Bioactivity

Biological target:

PF-04991532 is a potent, hepatoselective glucokinase activator with EC50s of 80 and 100 nM in human and rat, respectively.

In vitro activity

TBD

Product data sheet



In vivo activity

In these studies, PF-04991532 reduced plasma glucose concentrations independent of changes in insulin concentrations in a dosedependent manner both acutely and after 28 days of sub-chronic treatment. During a hyperglycemic clamp in Goto-Kakizaki rats, the glucose infusion rate was increased approximately 5-fold with PF-04991532. This increase in glucose infusion can be partially attributed to the 60% reduction in endogenous glucose production. While PF-04991532 induced dose-dependent increases in plasma triglyceride concentrations it had no effect on hepatic triglyceride concentrations in Goto-Kakizaki rats. Interestingly, PF-04991532 decreased intracellular AMP concentrations and increased hepatic futile cycling.

Reference: PLoS One. 2014 May 23;9(5):e97139. https://pubmed.ncbi.nlm.nih.gov/24858947/

Note: The information listed here was extracted from literature. MedKoo has not independently retested and confirmed the accuracy of these methods. Customer should use it just for a reference only.