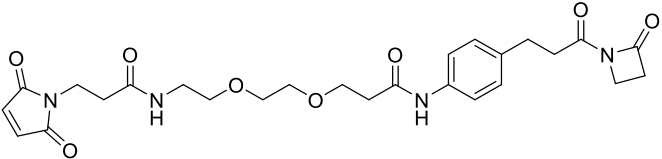


Product data sheet



MedKoo Cat#: 530533 Name: PF-05231023 CAS#: 1037589-69-7 Chemical Formula: C ₂₆ H ₃₂ N ₄ O ₈ Exact Mass: 528.222 Molecular Weight: 528.56	
Product supplied as:	Powder
Purity (by HPLC):	≥ 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-05231023 is a long-acting FGF21 mimetic. PF-05231023 decreases body weight and improves lipid profile in non-human primates and in type 2 diabetic subjects.

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	236.49

4. Stock solution preparation table:

Concentration / Solvent Volume / Mass	1 mg	5 mg	10 mg
1 mM	1.89 mL	9.46 mL	18.92 mL
5 mM	0.38 mL	1.89 mL	3.78 mL
10 mM	0.19 mL	0.95 mL	1.89 mL
50 mM	0.04 mL	0.19 mL	0.38 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

1. Giragossian C, Vage C, Li J, Pelletier K, Piché-Nicholas N, Rajadhyaksha M, Liras J, Logan A, Calle RA, Weng Y. Mechanistic investigation of the preclinical pharmacokinetics and interspecies scaling of PF-05231023, a fibroblast growth factor 21-antibody protein conjugate. *Drug Metab Dispos.* 2015 Jun;43(6):803-11. doi: 10.1124/dmd.114.061713. Epub 2015 Mar 24. PMID: 25805881.

In vivo study

1. Fu Z, Wang Z, Liu CH, Gong Y, Cakir B, Liegl R, Sun Y, Meng SS, Burnim SB, Arellano I, Moran E, Duran R, Poblete A, Cho SS, Talukdar S, Akula JD, Hellström A, Smith LEH. Fibroblast Growth Factor 21 Protects Photoreceptor Function in Type 1 Diabetic Mice. *Diabetes.* 2018 May;67(5):974-985. doi: 10.2337/db17-0830. Epub 2018 Feb 27. PMID: 29487115; PMCID: PMC5909994.
2. Thompson WC, Zhou Y, Talukdar S, Musante CJ. PF-05231023, a long-acting FGF21 analogue, decreases body weight by reduction of food intake in non-human primates. *J Pharmacokinet Pharmacodyn.* 2016 Aug;43(4):411-25. doi: 10.1007/s10928-016-9481-1. Epub 2016 Jul 12. PMID: 27405817; PMCID: PMC4954843.

7. Bioactivity

Biological target:

PF-05231023, a long-acting fibroblast growth factor 21 (FGF21) analog, is a FGF21-receptor agonist, suitable for development as a potential treatment for T2DM.

Product data sheet



In vitro activity

PF-05231023, a long-acting fibroblast growth factor 21 (FGF21) analog, was generated by covalently conjugating two engineered [des-His1, Ala129Cys]FGF21 molecules to a nontargeting human IgG1 κ scaffold. In vitro serum stability studies in monkeys and humans suggested that the principal CL mechanism for PF-05231023 was degradation by serum proteases. Mechanistic physiologically based pharmacokinetic models of this nature may be highly valuable for predicting human PK of fusion proteins, synthetically conjugated proteins, and other complex biologics.

Reference: Drug Metab Dispos. 2015 Jun;43(6):803-11. <https://pubmed.ncbi.nlm.nih.gov/25805881/>

In vivo activity

To test whether APN mediated the protective effects of PF-05231023, diabetes was induced with an injection of STZ in 6- to 8-week-old WT and *Apn*^{-/-} mice. Retinal function was then examined by ERG at 7–8 months of age. Again, PF-05231023 administration did not change body weight, blood glucose levels, or serum triglyceride levels (Supplementary Fig. 5). Furthermore, neither the amplitude nor sensitivity of the a-wave, b-wave, or the OPs differed significantly between STZ-treated mice (Fig. 6A–C), but Sm was significantly attenuated after STZ treatment ($F = 12.2$, $df = 1,6.0$, $P = 0.013$) (Fig. 6D). PF-05231023, administered as described above, again improved log Sm values ($F = 45.2$, $df = 1,5.9$, $P = 0.001$) in the STZ-treated mice to levels that were supranormal (Fig. 6D and E). The protective effects of PF-05231023 on retinal sensitivity (log Sm) in STZ-induced WT diabetic mice were again found in *Apn*^{-/-} diabetic mice ($F = 23.8$, $df = 1,2$, $P = 0.040$) (Fig. 6F–H), suggesting that the rescue was partly independent of APN. In the STZ-induced diabetic mice, PF-05231023 decreased IL-1 β expression in diabetic WT and *Apn*^{-/-} retinas (Fig. 6I), suggesting that PF-05231023-induced reduction in IL-1 β was independent of APN.

Reference: Diabetes. 2018 May; 67(5): 974–985. <https://www.ncbi.nlm.nih.gov/pmc/articles/PMC5909994/>

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.