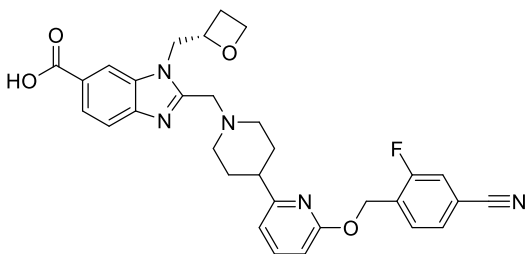


# Product data sheet



MedKoo Cat#: 555705 Name: Danuglipron free acid CAS#: 2230198-02-2 (free acid) Chemical Formula: C <sub>31</sub> H <sub>30</sub> FN <sub>5</sub> O <sub>4</sub> Exact Mass: 555.2282 Molecular Weight: 555.6104		
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:

Danuglipron, also known as PF-06882961 is a potent, orally bioavailable agonist of the glucagon-like peptide-1 receptor agonist (GLP-1R).

## 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	10.0	18.0
Ethanol	100.0	179.98

## 4. Stock solution preparation table:

Concentration / Solvent Volume / Mass	1 mg	5 mg	10 mg
1 mM	1.80 mL	9.00 mL	18.00 mL
5 mM	0.36 mL	1.80 mL	3.60 mL
10 mM	0.18 mL	0.90 mL	1.80 mL
50 mM	0.04 mL	0.18 mL	0.36 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. Griffith DA, Edmonds DJ, Fortin JP, Kalgutkar AS, Kuzmiski JB, Loria PM, Saxena AR, Bagley SW, Buckeridge C, Curto JM, Derksen DR, Dias JM, Griffor MC, Han S, Jackson VM, Landis MS, Lettiere D, Limberakis C, Liu Y, Mathiowetz AM, Patel JC, Piotrowski DW, Price DA, Ruggeri RB, Tess DA. A Small-Molecule Oral Agonist of the Human Glucagon-like Peptide-1 Receptor. *J Med Chem.* 2022 Jun 23;65(12):8208-8226. doi: 10.1021/acs.jmedchem.1c01856. Epub 2022 Jun 1. PMID: 35647711; PMCID: PMC9234956.

### In vivo study

1. Griffith DA, Edmonds DJ, Fortin JP, Kalgutkar AS, Kuzmiski JB, Loria PM, Saxena AR, Bagley SW, Buckeridge C, Curto JM, Derksen DR, Dias JM, Griffor MC, Han S, Jackson VM, Landis MS, Lettiere D, Limberakis C, Liu Y, Mathiowetz AM, Patel JC, Piotrowski DW, Price DA, Ruggeri RB, Tess DA. A Small-Molecule Oral Agonist of the Human Glucagon-like Peptide-1 Receptor. *J Med Chem.* 2022 Jun 23;65(12):8208-8226. doi: 10.1021/acs.jmedchem.1c01856. Epub 2022 Jun 1. PMID: 35647711; PMCID: PMC9234956.

## 7. Bioactivity

Biological target:

# Product data sheet



Danuglipron (PF-06882961), a non-peptide agonist, activates the canonical G protein signaling activity only in the Glucagon-like peptide-1 (GLP-1) receptor with Trp33ECD.

## In vitro activity

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For example, a methylene-linked oxetane increased potency ~100-fold relative to the methyl substituent of 5, leading to the identification of PF-06882961, which is a full agonist ( $EC_{50} = 13$  nM) in the CS cAMP assay (Fig. 3A, Table S2). PF-06882961 also incorporates a nitrile replacement for the chloride in the benzyl ether region, which served to reduce  $CL_{int}$  in HLM as well as in human hepatocytes (Table S4).

Reference: J Med Chem. 2022 Jun 23;65(12):8208-8226. <https://pubmed.ncbi.nlm.nih.gov/35647711/>

## In vivo activity

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Intravenous infusion of PF-06882961 during the IVGTT led to an increase in insulin secretion and the rate of glucose disappearance (K-value) (Fig. 5B–D). Enhancement of glucose-stimulated insulin secretion by PF-06882961 was concentration-dependent and was also observed following oral dosing with similar efficacy when compared to administration by IV infusion (Fig. 5E). Once-daily administration of PF-06882961 for 2 days also inhibited food intake compared to vehicle-treated monkeys (Fig. 5F).

Reference: J Med Chem. 2022 Jun 23;65(12):8208-8226. <https://pubmed.ncbi.nlm.nih.gov/35647711/>

*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.*