

Product data sheet



MedKoo Cat#: 522473 Name: PF-06282999 CAS#: 1435467-37-0 Chemical Formula: C ₁₃ H ₁₂ ClN ₃ O ₃ S Exact Mass: 325.0288 Molecular Weight: 325.77	 <chem>NC(=O)CN(C(=O)C=C1C=C(C=C1)OC)C2=CS2</chem>
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-06282999 is a potent and selective myeloperoxidase Inhibitor which is potential useful for the Treatment of Cardiovascular Diseases. PF-06282999 displayed excellent oral pharmacokinetics in preclinical species and robust irreversible inhibition of plasma MPO activity both in human blood stimulated exogenously and in plasma collected after oral (po) administration to lipopolysaccharide (LPS)-treated cynomolgus monkeys. PF-06282999 has been advanced into first-in-human pharmacokinetics and safety studies.

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	30.0	92.1

4. Stock solution preparation table:

Concentration / Solvent Volume / Mass	1 mg	5 mg	10 mg
1 mM	3.07 mL	15.35 mL	30.70 mL
5 mM	0.61 mL	3.07 mL	6.14 mL
10 mM	0.31 mL	1.53 mL	3.07 mL
50 mM	0.06 mL	0.31 mL	0.61 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. Dong JQ, Gosset JR, Fahmi OA, Lin Z, Chabot JR, Terra SG, Le V, Chidsey K, Nouri P, Kim A, Buckbinder L, Kalgutkar AS. Examination of the Human Cytochrome P4503A4 Induction Potential of PF-06282999, an Irreversible Myeloperoxidase Inactivator: Integration of Preclinical, In Silico, and Biomarker Methodologies in the Prediction of the Clinical Outcome. Drug Metab Dispos. 2017 May;45(5):501-511. doi: 10.1124/dmd.116.074476. Epub 2017 Mar 2. PMID: 28254951.

In vivo study

TBD

7. Bioactivity

Biological target: PF-06282999 is a myeloperoxidase inhibitor.

In vitro activity

Product data sheet



The potential for induction of CYP3A4 mRNA and enzyme activity was examined in cryopreserved human hepatocytes treated with PF-06282999 at several concentrations (0.3–300 μ M). PF-06282999 demonstrated moderate induction of CYP3A4 mRNA levels and midazolam-1'-hydroxylase activity in a dose-dependent fashion in all three donor hepatocytes (Fig. 1).

Reference: Drug Metab Dispos. 2017 May;45(5):501-511. <https://dmd.aspetjournals.org/content/45/5/501.long>

In vivo activity

TBD

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.