Product data sheet



MedKoo Cat#: 555328				
Name: PF-06651600 free base				
CAS#: 1792180-81-4 (free base)				
Chemical Formula: C ₁₅ H ₁₉ N ₅ O				
Exact Mass: 285.1590				
Molecular Weight: 285.35				
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:

Ritlecitinib, also known as PF-06651600, is a potent and selective JAK3 inhibitor. PF-06651600 is a potent and low clearance compound with demonstrated in vivo efficacy. The favorable efficacy and safety profile of this JAK3-specific inhibitor PF-06651600 led to its evaluation in several human clinical studies. JAK3 was among the first of the JAKs targeted for therapeutic intervention due to the strong validation provided by human SCID patients displaying JAK3 deficiencies.

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	100.0	350.4
Water	5.0	17.5

4. Stock solution preparation table:

Concentration / Solvent Volume / Mass	1 mg	5 mg	10 mg
1 mM	3.50 mL	17.52 mL	35.04 mL
5 mM	0.70 mL	3.50 mL	7.01 mL
10 mM	0.35 mL	1.75 mL	3.50 mL
50 mM	0.07 mL	0.35 mL	0.70 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. Telliez JB, Dowty ME, Wang L, Jussif J, Lin T, Li L, Moy E, Balbo P, Li W, Zhao Y, Crouse K, Dickinson C, Symanowicz P, Hegen M, Banker ME, Vincent F, Unwalla R, Liang S, Gilbert AM, Brown MF, Hayward M, Montgomery J, Yang X, Bauman J, Trujillo JI, Casimiro-Garcia A, Vajdos FF, Leung L, Geoghegan KF, Quazi A, Xuan D, Jones L, Hett E, Wright K, Clark JD, Thorarensen A. Discovery of a JAK3-Selective Inhibitor: Functional Differentiation of JAK3-Selective Inhibition over pan-JAK or JAK1-Selective Inhibition. ACS Chem Biol. 2016 Dec 16;11(12):3442-3451. doi: 10.1021/acschembio.6b00677. Epub 2016 Nov 10. PMID: 27791347.

In vivo study

1. Telliez JB, Dowty ME, Wang L, Jussif J, Lin T, Li L, Moy E, Balbo P, Li W, Zhao Y, Crouse K, Dickinson C, Symanowicz P, Hegen M, Banker ME, Vincent F, Unwalla R, Liang S, Gilbert AM, Brown MF, Hayward M, Montgomery J, Yang X, Bauman J, Trujillo JI, Casimiro-Garcia A, Vajdos FF, Leung L, Geoghegan KF, Quazi A, Xuan D, Jones L, Hett E, Wright K, Clark JD, Thorarensen A. Discovery of a JAK3-Selective Inhibitor: Functional Differentiation of JAK3-Selective Inhibition over pan-JAK or JAK1-Selective Inhibition. ACS Chem Biol. 2016 Dec 16;11(12):3442-3451. doi: 10.1021/acschembio.6b00677. Epub 2016 Nov 10. PMID: 27791347.

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7. Bioactivity

Biological target: Ritlecitinib (PF-06651600) is a JAK3 inhibitor with an IC50 of 33.1 nM.

In vitro activity

In vitro, PF-06651600 inhibited Th1 and Th17 cell differentiation and function. Importantly, by sparing JAK1 function, PF-06651600 selectively targeted γc cytokine pathways while preserving JAK1-dependent anti-inflammatory signaling such as the IL-10 suppressive functions following LPS treatment in macrophages and the suppression of TNF α and IL-1 β production in IL-27-primed macrophages.

Reference: ACS Chem Biol. 2016 Dec 16;11(12):3442-3451. https://pubs.acs.org/doi/10.1021/acschembio.6b00677

In vivo activity

In vivo, PF-06651600 reduced disease pathology in rat adjuvant-induced arthritis as well as in mouse experimental autoimmune encephalomyelitis models.

Reference: ACS Chem Biol. 2016 Dec 16;11(12):3442-3451. https://pubs.acs.org/doi/10.1021/acschembio.6b00677

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.