# Product data sheet

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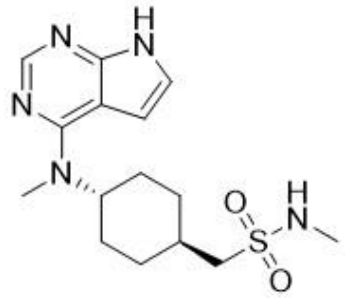
|---|---|
| MedKoo Cat#: 510286<br>Name: Oclacitinib<br>CAS#: 1208319-26-9<br>Chemical Formula: $C_{15}H_{23}N_5O_2S$<br>Exact Mass: 337.15725<br>Molecular Weight: 337.44 |  |
| Product supplied as: | Powder |
| Purity (by HPLC): | ≥ 98% |
| Shipping conditions | Ambient temperature |
| Storage conditions: | Powder: -20°C 3 years; 4°C 2 years. |
| | In solvent: -80°C 3 months; -20°C 2 weeks. |

**1. Product description:**

Oclacitinib, also known as PF03394197, is a novel Janus kinase inhibitor with activity against cytokines involved in allergy. Oclacitinib inhibited JAK family members by 50% at concentrations (IC50 's) ranging from 10 to 99 nM and did not inhibit a panel of 38 non-JAK kinases (IC50 's > 1000 nm). Oclacitinib was most potent at inhibiting JAK1 (IC50 = 10 nm). Oclacitinib also inhibited the function of JAK1-dependent cytokines involved in allergy and inflammation (IL-2, IL-4, IL-6, and IL-13) as well as pruritus (IL-31) at IC50 's ranging from 36 to 249 nM.

**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 | 12.0 | 35.6 |

**4. Stock solution preparation table:**

| Concentration / Solvent Volume / Mass | 1 mg | 5 mg | 10 mg |
|---|---|---|---|
| 1 mM | 2.96 | 14.82 | 29.63 |
| 5 mM | 0.59 | 2.96 | 5.93 |
| 10 mM | 0.30 | 1.48 | 2.96 |
| 50 mM | 0.06 | 0.30 | 0.59 |

**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. Gonzales AJ, Bowman JW, Fici GJ, Zhang M, Mann DW, Mitton-Fry M. Oclacitinib (APOQUEL(®)) is a novel Janus kinase inhibitor with activity against cytokines involved in allergy. J Vet Pharmacol Ther. 2014 Aug;37(4):317-24. doi: 10.1111/jvp.12101. Epub 2014 Feb 5. PMID: 24495176; PMCID: PMC4265276.
2. Fukuyama T, Ehling S, Cook E, Bäumer W. Topically Administered Janus-Kinase Inhibitors Tofacitinib and Oclacitinib Display Impressive Antipruritic and Anti-Inflammatory Responses in a Model of Allergic Dermatitis. J Pharmacol Exp Ther. 2015 Sep;354(3):394-405. doi: 10.1124/jpet.115.223784. Epub 2015 Jul 9. PMID: 26159873.

In vivo study

1. Lopes NL, Campos DR, Machado MA, Alves MSR, de Souza MSG, da Veiga CCP, Merlo A, Scott FB, Fernandes JI. A blinded, randomized, placebo-controlled trial of the safety of oclacitinib in cats. BMC Vet Res. 2019 May 8;15(1):137. doi: 10.1186/s12917-019-1893-x. PMID: 31068210; PMCID: PMC6506962.
2. Cosgrove SB, Wren JA, Cleaver DM, Martin DD, Walsh KF, Harfst JA, Follis SL, King VL, Boucher JF, Stegemann MR. Efficacy and safety of oclacitinib for the control of pruritus and associated skin lesions in dogs with canine allergic dermatitis. Vet Dermatol. 2013 Oct;24(5):479-e114. doi: 10.1111/vde.12047. Epub 2013 Jul 5. PMID: 23829933; PMCID: PMC4282347.

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**7. Bioactivity**

Biological target:

Oclacitinib, also known as PF03394197, is a JAK family inhibitor by 50% at concentrations (IC50 's) ranging from 10 to 99 nM and has activity against cytokines involved in allergy.

In vitro activity

The objective of this study was to determine whether the novel JAK inhibitor oclacitinib could reduce the activity of cytokines implicated in canine allergic skin disease. Using isolated enzyme systems and in vitro human or canine cell models, potency and selectivity of oclacitinib was determined against JAK family members and cytokines that trigger JAK activation in cells. Oclacitinib inhibited JAK family members by 50% at concentrations (IC50's) ranging from 10 to 99 nm and did not inhibit a panel of 38 non-JAK kinases (IC50's > 1000 nm). Oclacitinib was most potent at inhibiting JAK1 (IC50 = 10 nm). Oclacitinib also inhibited the function of JAK1-dependent cytokines involved in allergy and inflammation (IL-2, IL-4, IL-6, and IL-13) as well as pruritus (IL-31) at IC50's ranging from 36 to 249 nm. Oclacitinib had minimal effects on cytokines that did not activate the JAK1 enzyme in cells (erythropoietin, granulocyte/macrophage colony-stimulating factor, IL-12, IL-23; IC50's > 1000 nm). These results demonstrate that oclacitinib is a targeted therapy that selectively inhibits JAK1-dependent cytokines involved in allergy, inflammation, and pruritus and suggests these are the mechanisms by which oclacitinib effectively controls clinical signs associated with allergic skin disease in dogs.

J Vet Pharmacol Ther. 2014 Aug; 37(4): 317–324. https://www.ncbi.nlm.nih.gov/pmc/articles/PMC4265276/

In vivo activity

The aim of this study was to evaluate the safety and clinical effects of oral oclacitinib maleate in healthy cats. Thirty mixed-breed cats weighing from 2.1 to 5.3 kg each were randomly allocated to three treatment groups of 10 animals each. Cats in two groups received oclacitinib at 1 mg/kg or 2 mg/kg q 12 h orally for 28 days. Cats in the third group were given placebo tablets (cornstarch) q 12 h orally for 28 days. There were no significant differences in white blood cell counts between groups at any sampling time. Neutrophil mean values also were within the reference range, but there was a transient significant increase on day 21 ($p = 0.007$) for both treated groups compared with the placebo group. Also on day 21, mean monocyte counts were significantly higher in 1 mg/kg group than in the placebo group ($p = 0.03$) and significantly lower in 2 mg/kg ($p = 0.03$); however, values were within the normal reference range. The mean lymphocyte count was within the normal reference range at all samplings for cats in all groups, with no significant differences between placebo and treated cats. A decrease in the mean eosinophil count was observed in both oclacitinib groups, and this decrease was significant between the placebo and treated groups on day 14 ($p = 0.04$), but the mean value remained within the normal reference range for all days.Oclacitinib was well tolerated by cats at 1 mg/kg and 2 mg/kg and appeared to be a safe medication for this species to be treated twice daily for up to 28 days.

BMC Vet Res. 2019; 15: 137. https://www.ncbi.nlm.nih.gov/pmc/articles/PMC6506962/