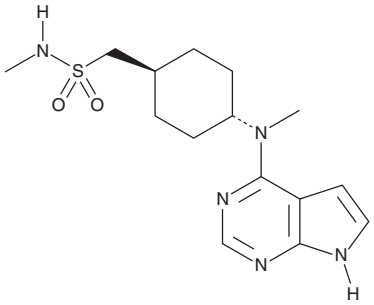
PRODUCT INFORMATION

Oclacitinib

Item No. 18722

CAS Registry No.:	1208319-26-9
Formal Name:	*trans*-N-methyl-4-(methyl-7H-pyrrolo[2,3-d]pyrimidin-4-ylamino)-cyclohexanemethanesulfonamide
Synonym:	PF-03394197
MF:	$C_{15}H_{23}N_5O_2S$
FW:	337.4
Purity:	≥98%
UV/Vis.:	λ_{max}: 217, 286 nm
Supplied as:	A crystalline solid
Storage:	-20°C
Stability:	≥4 years



Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.

Laboratory Procedures

Oclacitinib is supplied as a crystalline solid. A stock solution may be made by dissolving the oclacitinib in the solvent of choice, which should be purged with an inert gas. Oclacitinib is soluble in organic solvents such as DMSO and dimethyl formamide (DMF). The solubility of oclacitinib in these solvents is approximately 12 and 14 mg/ml, respectively.

Oclacitinib is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, oclacitinib should first be dissolved in DMF and then diluted with the aqueous buffer of choice. Oclacitinib has a solubility of approximately 0.5 mg/ml in a 1:1 solution of DMF:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

Oclacitinib is an inhibitor of the JAK family kinases JAK1, JAK2, JAK3, and TYK2 (IC_{50}s = 10, 18, 99, and 84 nM, respectively).[1] It is selective for JAK kinases over a panel of 38 additional kinases at 1 µM. Oclacitinib inhibits LPS-induced increases in IL-12 and TNF-α levels in murine bone marrow-derived dendritic cells (BMDCs) in a concentration-dependent manner.[2] Topical administration of oclacitinib (0.1, 0.25, and 0.5%) reduces scratching behavior and ear edema, as well as decreases levels of IL-1β, IL-4, and IL-6 in ear skin, in a mouse model of allergic dermatitis induced by toluene-2,3-diisocyanate (TDI). Formulations containing oclacitinib have been used in the treatment of pruritus associated with allergic dermatitis and the control of atopic dermatitis in dogs.

References

1. Gonzales, A.J., Bowman, J.W., Fici, G.J., *et al.* Oclacitinib (APOQUEL®) is a novel Janus kinase inhibitor with activity against cytokines involved in allergy. *J. Vet. Pharmacol. Ther.* **37(4)**, 317-324 (2014).
2. Fukuyama, T., Ehling, S., Cook, E., *et al.* Topically administered Janus-kinase inhibitors ofacitinib and olacitinib display impressive antipruritic and anti-inflammatory responses in a model of allergic dermatitis. *J. Pharmacol. Exp. Ther.* **354(3)**, 394-405 (2015).

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