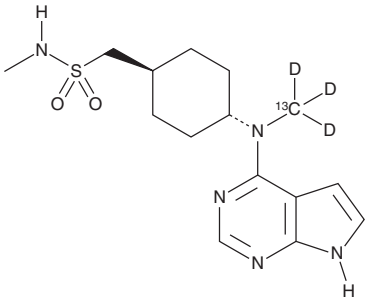
PRODUCT INFORMATION

Oclacitinib-^{13}C-d_3

Item No. 28808

CAS Registry No.:	2750534-84-8
Formal Name:	*trans*-N-methyl-4-(methyl-^{13}C-d_3-7H-pyrrolo[2,3-d]pyrimidin-4-ylamino)-cyclohexanemethanesulfonamide
MF:	$C_{14}[^{13}C]H_{20}D_3N_5O_2S$
FW:	341.5
Chemical Purity:	≥95% (Oclacitinib)
Deuterium Incorporation:	≥99% deuterated forms (d_1-d_3); ≤1% d_0
Supplied as:	A 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-^{13}C-d_3 is intended for use as an internal standard for the quantification of oclacitinib (Item No. 18722) by GC- or LC-MS. The accuracy of the sample weight in this vial is between 5% over and 2% under the amount shown on the vial. If better precision is required, the deuterated standard should be quantitated against a more precisely weighed unlabeled standard by constructing a standard curve of peak intensity ratios (deuterated *versus* unlabeled).

Oclacitinib-^{13}C-d_3 is supplied as a solid. A stock solution may be made by dissolving the oclacitinib-^{13}C-d_3 in the solvent of choice, which should be purged with an inert gas. Oclacitinib-^{13}C-d_3 is soluble in organic solvents such as methanol and DMSO.

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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