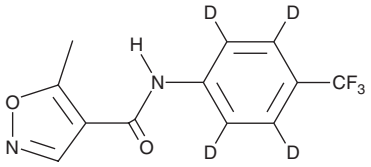
PRODUCT INFORMATION

Leflunomide-d_4

Item No. 26448

CAS Registry No.: 1189987-23-2
Formal Name: 5-methyl-N-(4-(trifluoromethyl)phenyl-2,3,5,6-d_4)isoxazole-4-carboxamide
MF: $C_{12}H_5D_4F_3N_2O_2$
FW: 274.2
Chemical Purity: ≥98% (Leflunomide)
Deuterium Incorporation: ≥99% deuterated forms (d_1-d_4); ≤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

Leflunomide-d_4 is intended for use as an internal standard for the quantification of leflunomide (Item No. 14860) 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).

Leflunomide-d_4 is supplied as a solid. A stock solution may be made by dissolving the leflunomide-d_4 in the solvent of choice, which should be purged with an inert gas. Leflunomide-d_4 is soluble in methanol and DMSO.

Description

Leflunomide is a synthetic isoxazol and a prodrug form of A-771726 (Item No. 14404), a dihydroorotate dehydrogenase inhibitor.[1] Leflunomide inhibits *de novo* pyrimidine synthesis to regulate T lymphocyte progression through the cell cycle. It inhibits proliferation and activation of T cells when used at concentrations of 25 and 100 µM, respectively, for naïve and memory $CD4^+$ T cells.[2] It also reduces the production of Th1 effector cells and increases differentiation of Th2 cells *in vitro* and in splenocytes isolated from KLH-immunized mice. Leflunomide (35 mg/kg per day) reduces and prevents inflammation in a proteoglycan-induced mouse model of rheumatoid arthritis.[3] Formulations containing leflunomide have been used in the treatment of active rheumatoid arthritis.

References

1. Breedveld, F.C. and Dayer, J.-M. Leflunomide: Mode of action in the treatment of rheumatoid arthritis. *Ann. Rheum. Dis.* **59(11)**, 841-849 (2000).
2. Dimitrova, P., Skapenko, A., Herrmann, M.L., *et al.* Restriction of *de novo* pyrimidine biosynthesis inhibits Th1 cell activation and promotes Th2 cell differentiation. *J. Immunol.* **169(6)**, 3392-3399 (2002).
3. Glant, T.T., Mikecz, K., Bartlett, R.R., *et al.* Immunomodulation of proteoglycan-induced progressive polyarthritis by leflunomide. *Immunopharmacology* **23(2)**, 105-116 (1992).

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