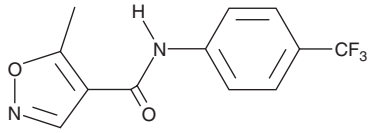
PRODUCT INFORMATION

Leflunomide

Item No. 14860

CAS Registry No.:	75706-12-6
Formal Name:	5-methyl-N-[4-(trifluoromethyl) phenyl]-4-isoxazolecarboxamide
Synonyms:	HW 486, SU 101
MF:	$C_{12}H_9F_3N_2O_2$
FW:	270.2
Purity:	≥98%
UV/Vis.:	λ_{max}: 206, 262 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

Leflunomide is supplied as a crystalline solid. A stock solution may be made by dissolving the leflunomide in the solvent of choice. Leflunomide is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF), which should be purged with an inert gas. The solubility of leflunomide in these solvents is approximately 20, 16.7, and 25 mg/ml, respectively.

Leflunomide is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, leflunomide should first be dissolved in DMF and then diluted with the aqueous buffer of choice. Leflunomide 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

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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