**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_{9}F_{3}N_{2}O_{2}
- **FW:** 270.2
- **Purity:** ≥98%
- **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 naive 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**