

## Certificate of Analysis

**Product Name:** Leflunomide

**Catalog No.:** 2228

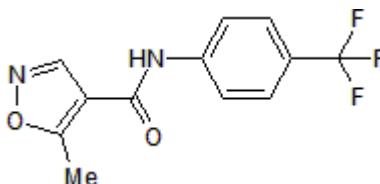
**Batch No.:** 1

CAS Number: 75706-12-6

IUPAC Name: 5-Methyl-N-[4-(trifluoromethyl)phenyl]-4-isoxazolecarboxamide

### 1. PHYSICAL AND CHEMICAL PROPERTIES

**Batch Molecular Formula:** C<sub>12</sub>H<sub>9</sub>F<sub>3</sub>N<sub>2</sub>O<sub>2</sub>  
**Batch Molecular Weight:** 270.21  
**Physical Appearance:** White crystalline solid  
**Solubility:** ethanol to 100 mM  
 DMSO to 100 mM  
**Storage:** Store at +4°C  
**Batch Molecular Structure:**



### 2. ANALYTICAL DATA

**TLC:** R<sub>f</sub> = 0.61 (Ethyl acetate:Petroleum ether [1:2])  
**Melting Point:** Between 166 - 167°C  
**HPLC:** Shows 100% purity  
<sup>1</sup>H NMR: Consistent with structure  
**Microanalysis:**

	Carbon	Hydrogen	Nitrogen
Theoretical	53.34	3.36	10.37
Found	53.44	3.31	10.13

Caution - Not Fully Tested • Research Use Only • Not For Human or Veterinary Use

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**Description:**

Inhibitor of dihydroorotate dehydrogenase ( $IC_{50} = 2.5 \mu M$ ). Inhibits de novo pyrimidine synthesis in human T cells in vitro; also inhibits lymphocyte proliferation. Exhibits efficacy in several animal models of autoimmune disease, arthritis and graft rejection. Active metabolite, teriflunomide (A77 1726, Cat. No. 5069), also available.

**Physical and Chemical Properties:**

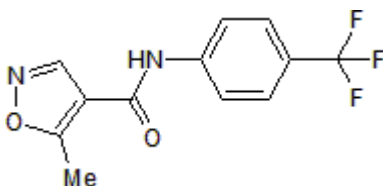
Batch Molecular Formula:  $C_{12}H_9F_3N_2O_2$

Batch Molecular Weight: 270.21

Physical Appearance: White crystalline solid

**Minimum Purity:** >99%

**Batch Molecular Structure:**



**References:**

**Greene et al** (1995) Inhibition of dihydroorotate dehydrogenase by the immunosuppressive agent leflunomide. *Biochem.Pharmacol.* **50** 861. PMID: 7575649.

**Cherwinski et al** (1995) The immunosuppressant leflunomide inhibits lymphocyte proliferation by inhibiting pyrimidine biosynthesis. *J.Pharmacol.Exp.Ther.* **275** 1043. PMID: 7473131.

**Brazelton and Morris** (1996) Molecular mechanisms of action of new xenobiotic immunosuppressive drugs: tacrolimus (FK506), sirolimus (rapamycin), mycophenolate mofetil and leflunomide. *Curr.Opin.Immunol.* **8** 710. PMID: 8902398.

**Storage:** Store at +4°C

**Solubility & Usage Info:**

ethanol to 100 mM

DMSO to 100 mM

**Stability and Solubility Advice:**

Some solutions can be difficult to obtain and can be encouraged by rapid stirring, sonication or gentle warming (in a 45-60°C water bath).

Information concerning product stability, particularly in solution, has rarely been reported and in most cases we can only offer a general guide. Our standard recommendations are:

**SOLIDS:** Provided storage is as stated on the product label and the vial is kept tightly sealed, the product can be stored for up to 6 months from date of receipt.

**SOLUTIONS:** We recommend that stock solutions, once prepared, are stored aliquoted in tightly sealed vials at -20°C or below and used within 1 month. Wherever possible solutions should be made up and used on the same day.

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