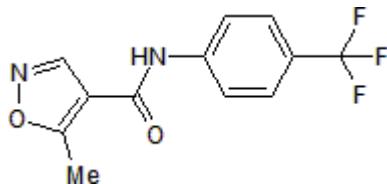


Certificate of Analysiswww.tocris.com**Product Name:** Leflunomide**Catalog No.:** 2228**Batch No.:** 1

CAS Number: 75706-12-6

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

1. PHYSICAL AND CHEMICAL PROPERTIES**Batch Molecular Formula:** C₁₂H₉F₃N₂O₂**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_f = 0.61 (Ethyl acetate:Petroleum ether [1:2])**Melting Point:** Between 166 - 167°C**HPLC:** Shows 100% purity**¹H 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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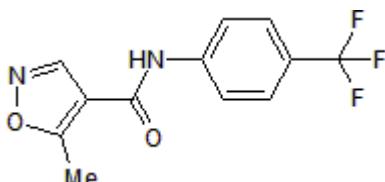
Description:

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:****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.

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.

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