

Leflunomide

Catalog No: tcsc1781

Available Sizes

Size: 100mg

Size: 500mg

Specifications

CAS No:

75706-12-6

Formula:

 $\mathsf{C}_{12}\mathsf{H}_9\mathsf{F}_3\mathsf{N}_2\mathsf{O}_2$

Pathway:

Others

Target:

Others

Purity / Grade:

>98%

Solubility:

Methanol : 2 mg/mL (7.40 mM; Need ultrasonic and warming); DMSO : \geq 50 mg/mL (185.04 mM)

Alternative Names: HWA486;RS-34821;SU101

Observed Molecular Weight: 270.21

Product Description

Leflunomide is a pyrimidine synthesis inhibitor, inhibiting dihydroorotate dehydrogenase, and acts as a disease-modifying antirheumatic drug.

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In Vitro: Leflunomide is actually a prodrug that has been shown to inhibit proliferation of mononuclear and T-cells. Leflunomide is an inhibitor of several protein tyrosine kinases, with IC₅₀ values between 30 mM and 100 mM in vitro cellular and enzymatic assays^[1]. Leflunomide is capable of inhibiting anti-CD3- and interleukin-2 (IL-2)-stimulated T cell proliferation. Leflunomide is able to inhibit p59fyn and p56lck activity in in vitro tyrosine kinase assays. Leflunomide also inhibits Ca²⁺ mobilization in Jurkat cells stimulated by anti-CD3 antibody but not in those stimulated by ionomycin. Leflunomide also inhibits distal events of anti-CD3 monoclonal antibody stimulation, namely, IL-2 production and IL-2 receptor expression on human T lymphocytes. Leflunomide also inhibits tyrosine phosphorylation in CTLL-4 cells stimulated by IL-2^[2]. Leflunomide is an immunomodulatory drug that may exert its effects by inhibiting the mitochondrial enzyme dihydroorotate dehydrogenase (DHODH), which plays a key role in the de novo synthesis of the pyrimidine ribonucleotide uridine monophosphate (rUMP). Leflunomide prevents the expansion of activated and autoimmune lymphocytes by interfering with the cell cycle progression due to inadequate production of rUMP and utilizing mechanisms involving p53^[3].



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