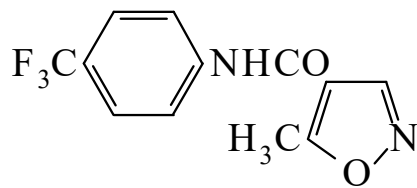




>>Entry Name: Leflunomide, INN

Synonym(s): 5-Methyl-*N*-[4-(trifluoromethyl)phenyl]-4-isoxazolecarboxamide, 9Cl. α,α,α -Trifluoro-5-methyl-4-isoxazolecarboxy-*p*-toluidide. Arava. HWA 486. SU 101



CAS Registry Number: 75706-12-6

Molecular Formula: C₁₂H₉F₃N₂O₂

Molecular Weight: 270.21

Accurate Mass: 270.061612

Percentage Composition: C 53.34%; H 3.36%; F 21.09%; N 10.37%; O 11.84%

Biological Use/Importance: Immunosuppressant, effective in treatment of animal models of systemic autoimmune diseases and organ transplants. Potentially useful in the treatment of rheumatoid arthritis. Analgesic, antipyretic, antiinflammatory agent

Physical Description: Cryst. (toluene)

Development Status: Launched in the US (1998) and Switzerland (1999)

Melting Point: Mp 166.5°

Calculated Log P Data: Log P 2.23 (calc)

References:

Ger. Pat., 1980, *Hoechst*, 2 854 439; *CA*, **93**, 239392m, (*synth, pharmacol*)

Bartlett, R.R. *et al.*, *Int. J. Immunopharmacol.*, 1985, **7**, 7, (*pharmacol*)

Fossa, P. *et al.*, *Farmaco*, 1991, **46**, 789

Williams, J.W. *et al.*, *New Immunosuppressive Modalities and Anti-Rejection Approaches in Organ Transplantation*, (Ed. Kupiec-Weglinski, J.W.), 1994, 65, (*rev*)

Nonsteroidal Anti-Inflammatory Drugs, (Eds. Lewis, A.J. *et al*), 2nd edn., Marcel Dekker, 1994

Xiao, F. *et al.*, *Transplant. Proc.*, 1994, **26**, 1263

Cherwinski, H.M. *et al.*, *J. Pharmacol. Exp. Ther.*, 1995, **272**, 460, (*pharmacol*)

Dias, V.C. *et al.*, *Ther. Drug Monit.*, 1995, **17**, 84, (*hplc*)

Morris, R.E. *et al.*, *Transplant. Proc.*, 1995, **27**, 445, (*pharmacol*)

Silva, H.T. *et al.*, *Expert Opin. Invest. Drugs*, 1997, **6**, 51-64, (*rev*)

Graul, A. *et al.*, *Drugs of the Future*, 1998, **23**, 827-837, (*rev*)