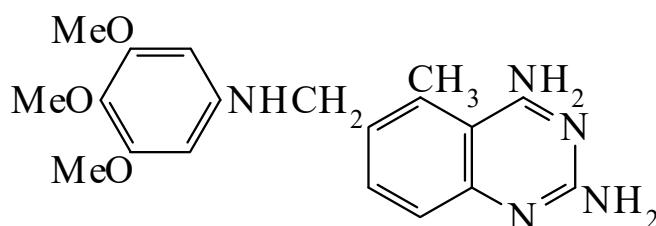




>>Entry Name: Trimetrexate, BAN, INN, USAN

Synonym(s): 5-Methyl-6-[[[(3,4,5-trimethoxyphenyl)amino]methyl]-2,4-quinazolinediamine, 9Cl. 2,4-Diamino-5-methyl-6[(3,4,5-trimethoxyanilino)methyl]quinazoline. CI 898. JB 11. NSC 249008. TMQ. TMTX



CAS Registry Number: 52128-35-5

Related CAS Registry Numbers(s): 52128-36-6

Molecular Formula: C₁₉H₂₃N₅O₃

Molecular Weight: 369.422

Accurate Mass: 369.18009

Percentage Composition: C 61.77%; H 6.28%; N 18.96%; O 12.99%

Use/Importance: Dihydrofolate reductase inhibitor; antineoplastic agent. Also suggested for the treatment of *Pneumocystis carinii* pneumonia in AIDS patients

Development Status: Launched 1994 (US)

Calculated Log P Data: Log P 1.34 (calc)

>>Derivative: Acetate

Physical Description: Cryst. (H₂O)

Melting Point: Mp 215-217° (as hydrate)

>>Derivative: Mono-D-glucuronate

Synonym(s): Trimetrexate glucuronate, USAN. NeuTrexin. Oncotrex

CAS Registry Number: 82952-64-5

Molecular Formula: C₂₅H₃₃N₅O₁₀

Molecular Weight: 563.563

Accurate Mass: 563.222745

Percentage Composition: C 53.28%; H 5.90%; N 12.43%; O 28.39%

Development Status: Launched 1994 (US, Canada)

References:

- U.K. Pat., 1974, Parke-Davis, 1 345 502; CA, **80**, 133461z, (synth, pharmacol)
Fry, D.W. et al., Cancer Metastasis Rev., 1987, **5**, 251, (rev)
Cody, V. et al., J. Med. Chem., 1987, **30**, 1843, (cryst struct)
Hempel, A. et al., Cancer Biochem. Biophys., 1988, **10**, 25, (cryst struct)
Lin, J.T. et al., Cancer Invest., 1991, **9**, 159, (activity, rev)
Graziano, M.J. et al., Fundam. Appl. Toxicol., 1992, **18**, 115, (tox)
Dethloff, L.A. et al., Fundam. Appl. Toxicol., 1992, **19**, 6, (tox, rat)
McDonald, J.R. et al., Fundam. Appl. Toxicol., 1993, **21**, 244, (tox)
Martindale, The Extra Pharmacopoeia, 30th edn., Pharmaceutical Press, 1993, 504
Grem, J.L. et al., Br. J. Cancer, 1994, **70**, 1075, (pharmacol)
Seitz, D.E., Cancer Invest., 1994, **12**, 657, (clin trial, rev)
Marshall, J.L. et al., Clin. Pharmacokinet., 1994, **26**, 190, (rev, pharmacokinet, pharmacol)
Rosowsky, A. et al., J. Med. Chem., 1994, **37**, 4522, (sar)
Fulton, B. et al., Drugs, 1995, **49**, 563, (rev)