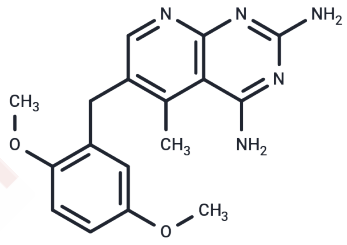
Data Sheet (Cat.No.T24644)

TargetMol

Piritrexim

Chemical Properties



CAS No. : 72732-56-0

Formula: C17H19N5O2

Molecular Weight: 325.37

Storage: Powder: -20°C for 3 years | In solvent: -80°C for 1 year
Actual storage temperature shall be subject to the COA.

Biological Description

Description	Piritrexim (BW 301U) is an orally available fat-soluble dihydrofolate reductase inhibitor with pulmonary toxicity used in the study of uroepithelial carcinoma and metastatic breast cancer.
Targets(IC50)	DHFR
In vitro	Piritrexim (0.1 to 1.0 microM) was able to inhibit the replication of T.gondii in a mouse peritoneal macrophage model. The addition of sulfadiazine, which alone was ineffective, to piritrexim allowed inhibition of T.gondii replication at lower concentrations of piritrexim than when piritrexim was used alone.[5]
In vivo	Piritrexim (25-mg/m2/dose; oral) occurred the myelosuppression and mucositis in 4 of 4 patients but in none of the patients treated at the 15- and 20-mg/m2/dose levels.[6] Piritrexim (20 mg/m2/dose; oral) was rapidly absorbed, with the median time to peak level occurring 1.5 h after an oral dose, and the area under the concentration-time curve (AUC) was linearly related to the dose administered. Trough plasma piritrexim concentration strongly correlated with DLT (P = 0.0016). A trough plasma piritrexim concentration greater than 0.5 microM appeared to be predictive of toxicity. Eleven of 15 patients with trough concentrations exceeding this threshold experienced DLTs.[6]

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	3.0734 mL	15.3671 mL	30.7342 mL
5 mM	0.6147 mL	3.0734 mL	6.1468 mL
10 mM	0.3073 mL	1.5367 mL	3.0734 mL
50 mM	0.0615 mL	0.3073 mL	0.6147 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Note: The dilution table applies only to solid products. For liquid products, please calculate the stock solution based on the stated concentration and/or density.

Reference

Sigel CW, et al. Preclinical biochemical pharmacology and toxicology of piritrexim, a lipophilic inhibitor of dihydrofolate reductase. NCI Monogr. 1987;(5):111-120.
Rosowsky A, et al. 2,4-Diamino-5-chloroquinazoline analogues of trimetrexate and piritrexim: synthesis and antifolate activity. J Med Chem. 1994;37(26):4522-4528.
de Vries EG, et al. A phase II and pharmacokinetic study with oral piritrexim for metastatic breast cancer. Br J Cancer. 1993;68(3):641-644.
Lassiter LK, et al. Phase II open-label study of oral piritrexim in patients with advanced carcinoma of the urothelium who have experienced failure with standard chemotherapy. Clin Genitourin Cancer. 2008;6(1):31-35.
Kovacs JA, et al. Potent antipneumocystis and antitoxoplasma activities of piritrexim, a lipid-soluble antifolate. Antimicrob Agents Chemother. 1988;32(4):430-433.
Adamson PC, et al. Pediatric phase I trial, pharmacokinetic study, and limited sampling strategy for piritrexim administered on a low-dose, intermittent schedule. Cancer Res. 1992;52(3):521-524.
Grivsky EM, et al. Synthesis and antitumor activity of 2,4-diamino-6-(2,5-dimethoxybenzyl)-5-methylpyrido[2,3-d] pyrimidine. J Med Chem. 1980;23(3):327-329.