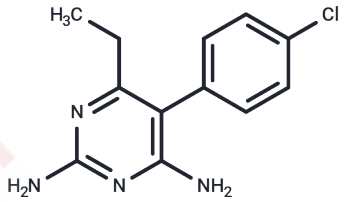
Data Sheet (Cat.No.T0849)

TargetMol

Pyrimethamine

Chemical Properties



CAS No. : 58-14-0

Formula: C12H13ClN4

Molecular Weight: 248.71

Appearance: no data available

Storage: Powder: -20°C for 3 years | In solvent: -80°C for 1 year

Biological Description

Description	Pyrimethamine (Pirimecidan) is a competitive inhibitor of dihydrofolate reductase (DHFR), used as an antimalarial drug.
Targets(IC50)	Antifolate,Parasite,DHFR
In vitro	Pyrimethamine has an IC50 of 5-13 μM for the Hex isozymes at pH 4.3. Pyrimethamine increases the enzyme activity and protein level of the α and β subunits of Hex A in the βR505Q/Δ16kb cell line. [1] Pyrimethamine-sulfadoxine is an inhibitor of dihydrofolate reductase(DHFR) that has been widely used to treat chloroquine-resistant Plasmodium falciparum malaria. [2] Pyrimethamine is a potent inhibitor of mouse (m)Mate1 (K(i) = 145 nM) among renal organic cation transporters mOctn1 and mOctn2 (K(i) > 30 mM), mOct1 (K(i) = 3.6 mM), and mOct2 (K(i) = 6.0 mM). Pyrimethamine inhibits the uptake of metformin by kidney brush-border membrane vesicles (BBMVs) (K(i) = 41 nM) and canalicular membrane vesicles in the presence of outward gradient of H+. Pyrimethamine treatment significantly increases the kidney-to-plasma ratio of tetraethylammonium, and both the liver- and kidney-to-plasma ratios of metformin in mice, whereas it does not affect their plasma concentrations and urinary excretion rates. Pyrimethamine is a potent inhibitor of human (h)MATE1 and hMATE2-K (K(i) = 77 and 46 nM, respectively) and H+ and organic cation exchanger in human kidney BBMVs (K(i) = 31 nM) in the presence of outward gradient of H+. [3]

Solubility Information

Solubility	Ethanol: 2.5 mg/mL (10.05 mM),Sonication is recommended. DMSO: 25 mg/mL (100.52 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	4.0207 mL	20.1037 mL	40.2075 mL
5 mM	0.8041 mL	4.0207 mL	8.0415 mL
10 mM	0.4021 mL	2.0104 mL	4.0207 mL
50 mM	0.0804 mL	0.4021 mL	0.8041 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.

Reference

Maegawa GH, et al. J Biol Chem, 2007, 282(12), 9150-9161.
Akao M, et al. J Am Coll Cardiol, 2002, 40(4), 803-810.
Ito S, et al. J Pharmacol Exp Ther, 2010, 333(1), 341-350.