

Pyrimethamine

Catalog No: tcsc1717



Available Sizes

Size: 100mg

Size: 500mg



Specifications

CAS No:

58-14-0

Formula:

$C_{12}H_{13}ClN_4$

Pathway:

Cell Cycle/DNA Damage;Anti-infection

Target:

Antifolate;Parasite

Purity / Grade:

>98%

Solubility:

DMSO : 25 mg/mL (100.52 mM; Need ultrasonic)

Alternative Names:

Pirimecidan;Pirimetamin;RP 4753

Observed Molecular Weight:

248.71

Product Description

Pyrimethamine(RP4753) is a medication used for protozoal infections; interferes with tetrahydrofolic acid synthesis from folic acid by inhibiting the enzyme dihydrofolate reductase (DHFR).

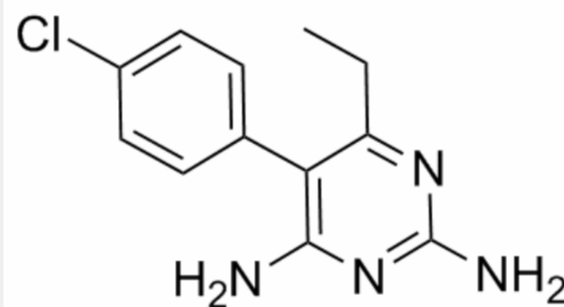
IC50 Value: 15.4 nM (Plasmodium falciparum) [1]

Target: DHFR; antifolate

in vitro: Three susceptibility levels (susceptible, intermediate, and resistant) were observed in the response of culture-adapted clones and strains to pyrimethamine (50% inhibitory concentration [IC50] 2,000 nM) and cycloguanil (IC50 500 nM). Based on these susceptibility levels, 73 and 68 of 96 fresh clinical isolates were susceptible to pyrimethamine (mean IC50 15.4 nM) and cycloguanil (mean IC50 11.1 nM), respectively [1]. We tested pyrimethamine (previously reported to suppress SOD1 expression), several compounds currently in trials in human and murine ALS, and a set of 1040 FDA-approved compounds. In a PC12 cell-based assay, no compounds reduced SOD1 promoter activity without concomitant cytotoxicity. Additionally, pyrimethamine failed to repress levels of SOD1 protein in HeLa cells or homogenates of liver, spinal cord and brain of wild-type mice [3].

in vivo: (131)I-Pyrimethamine (specific activity: 7.08 MBq/mol) was injected intravenously into the tail vein of the control and infected rats. Static whole body images of the rats were acquired under the gamma camera at 5 min, 45 min, 2 h, 6 h, and 24 h following the intravenous administration of the radioactivity (3.7 MBq/rat) [2]. The 10-day treatment with 10mg/kg/day of fluconazole combined with 40/1mg/kg/day sulfadiazine and pyrimethamine resulted in 93% survival of CF1 mice acutely infected with the highly virulent T. gondii RH strain, versus 36% of mice treated with just sulfadiazine and pyrimethamine [4].

Toxicity: Sulfadoxine/pyrimethamine is well tolerated as treatment and when used as intermittent preventive treatment in pregnant African women. Sulfadoxine/pyrimethamine is no longer used as prophylaxis because it may cause toxic epidermal necrolysis and Stevens Johnson syndrome [5].



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