# Pyrimethamine

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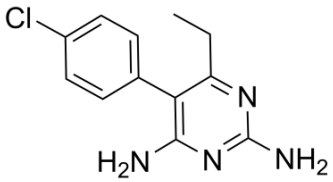
|---|---|
| **Cat. No.:** | HY-18062 |
| **CAS No.:** | 58-14-0 |
| **Molecular Formula:** | $C_{12}H_{13}ClN_4$ |
| **Molecular Weight:** | 248.71 |
| **Target:** | Antifolate; Parasite |
| **Pathway:** | Cell Cycle/DNA Damage; Anti-infection |
| **Storage:** | Powder -20°C 3 years<br>4°C 2 years<br>In solvent -80°C 6 months<br>-20°C 1 month |




## SOLVENT & SOLUBILITY

**In Vitro**

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

| Preparing Stock Solutions | Mass / Solvent / Concentration | 1 mg | 5 mg | 10 mg |
|---|---|---|---|---|
| | 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 |

Please refer to the solubility information to select the appropriate solvent.

**In Vivo**

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.5 mg/mL (10.05 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (10.05 mM); Clear solution

## BIOLOGICAL ACTIVITY

**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; antifolatein 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]) < 100, 100-2,000, and > 2,000 nM) and cycloguanil (IC50 < 50, 50-500, and > 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].

## CUSTOMER VALIDATION

- Int J Antimicrob Agents. 2019 Dec;54(6):814-819.
- J Mol Med (Berl). 2019 Aug;97(8):1183-1193.
- PLoS Negl Trop Dis. 2019 Aug 20;13(8):e0007681.

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## REFERENCES


[1]. Basco LK, et al. In vitro activity of pyrimethamine, cycloguanil, and other antimalarial drugs against African isolates and clones of Plasmodium falciparum. Am J Trop Med Hyg. 1994 Feb; 50(2):193-9.

[2]. Inceboz T, et al. Preparation of (131)I-Pyrimethamine and evaluation for scintigraphy of experimentally Toxoplasma gondii-infectedrats. J Drug Target. 2013 Feb;21(2):175-9.

[3]. Wright PD, et al. Screening for inhibitors of the SOD1 gene promoter: pyrimethamine does not reduce SOD1 levels in cell and animal models. Neurosci Lett. 2010 Oct 4;482(3):188-92.

[4]. Martins-Duarte ES, et al. Toxoplasma gondii: the effect of fluconazole combined with sulfadiazine and pyrimethamine against acute toxoplasmosis in murine model. Exp Parasitol. 2013 Mar;133(3):294-9.

[5]. Taylor WR, et al. Antimalarial drug toxicity: a review. Drug Saf. 2004;27(1):25-61.





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