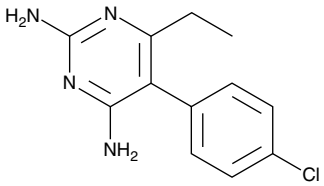
Product Information

Pyrimethamine

Item No. 16472

CAS Registry No.: 58-14-0
Formal Name: 5,4-chlorophenyl-6-ethyl-2,4-pyrimidinediamine
Synonyms: Darapram, Daraprim®, Khloridin, NSC 3061
MF: $C_{12}H_{13}ClN_4$
FW: 248.7
Purity: ≥98%
Stability: ≥2 years at -20°C
Supplied as: A crystalline solid
UV/Vis.: λ_{max}: 285 nm



Laboratory Procedures

For long term storage, we suggest that pyrimethamine be stored as supplied at -20°C. It should be stable for at least two years.

Pyrimethamine is supplied as a crystalline solid. A stock solution may be made by dissolving the pyrimethamine in the solvent of choice. Pyrimethamine is soluble in organic solvents such as DMSO and dimethyl formamide, which should be purged with an inert gas. The solubility of pyrimethamine in these solvents is approximately 10 and 2.5 mg/ml, respectively.

Pyrimethamine is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, pyrimethamine should first be dissolved in DMSO and then diluted with the aqueous buffer of choice. Pyrimethamine has a solubility of approximately 0.5 mg/ml in a 1:1 solution of DMSO:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Pyrimethamine is an antiprotozoal agent that is primarily active against *P. falciparum*, inhibiting the protozoal enzyme dihydrofolate reductase (DHFR).[1] By blocking DHFR activity, pyrimethamine prevents the production of tetrahydrofolic acid, an essential coenzyme involved in DNA and RNA synthesis. In *in vivo* antimalarial mouse models, pyrimethamine displays a prophylactic effect at an ED_{50} value of 0.5 mg/kg.[2] Sulphonamides act synergistically with pyrimethamine by arresting production of dihydrofolic acid, resulting in the sequential blockade of the folate pathway of protozoa.[3] Pyrimethamine has also been found to limit the expression of the superoxide dismutase 1 gene, a protein involved in amyotrophic lateral sclerosis.[4]

References

1. Peters, W. Chemoprophylaxis and chemotherapy. *Brit. Med. J.* **2**, 95-98 (1971).
2. Adebajo, A.C., Odediran, S.A., Aliyu, F.A., *et al. In vivo* antiplasmodial potentials of the combinations of four nigerian antimalarial plants. *Molecules* **19(9)**, 13136-13146 (2014).
3. Hwang, J., Bitarakwate, E., Pai, M., *et al.* Chloroquine or amodiaquine combined with sulfadoxine-pyrimethamine for uncomplicated malaria: A systematic review. *Trop. Med. Int. Health* **11(6)**, 789-799 (2006).
4. Limpert, A.S., Mattmann, M.E., and Cosford, N.D.P. Recent progress in the discovery of small molecules for the treatment of amyotrophic lateral sclerosis (ALS). *Beilstein J. Org. Chem.* **9**, 717-732 (2013).

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