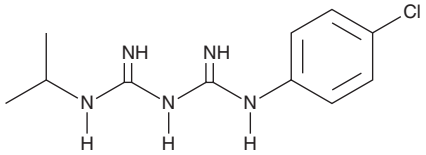
PRODUCT INFORMATION

Proguanil

Item No. 30675

CAS Registry No.:	500-92-5
Formal Name:	N-(4-chlorophenyl)-N′-(1-methylethyl)-imidodicarbonimidic diamide
Synonyms:	Chlorguanide, Chloroguanide
MF:	$C_{11}H_{16}ClN_5$
FW:	253.7
Purity:	≥98%
UV/Vis.:	λ_{max}: 259 nm
Supplied as:	A solid
Storage:	-20°C
Stability:	≥4 years



Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.

Laboratory Procedures

Proguanil is supplied as a solid. A stock solution may be made by dissolving the proguanil in the solvent of choice, which should be purged with an inert gas. Proguanil is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide. The solubility of proguanil in these solvents is approximately 1, 3, and 2 mg/ml, respectively.

Proguanil is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, proguanil should first be dissolved in DMSO and then diluted with the aqueous buffer of choice. Proguanil has a solubility of approximately 0.09 mg/ml in a 1:10 solution of DMSO:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

Proguanil is a prodrug form of the antimalarial agent cycloguanil (Item No. 16861).[1] Proguanil is metabolized by the cytochrome P450 (CYP) isoforms CYP2C19 and CYP3A to form cycloguanil in human liver microsomes. It is active against chloroquine- and quinine-resistant strains of *P. falciparum* alone or in combination with atovaquone (Item No. 23802) with EC_{50} values ranging from 0.22 to 2.67 and 0.37 to 1.6 µM, respectively.[2] It reduces parasitemia in a mouse model of *P. berghei* infection with a minimum effective dose (MED) of 32 mg/kg.[3] Formulations containing proguanil have been used in combination with atovaquone for the prevention and treatment of malaria.

References

1. Birkett, D.J., Rees, D., Anderson, T., *et al*. *In vitro* proguanil activation to cycloguanil by human liver microsomes is mediated by CYP3A isoforms as well as by S-mephenytoin hydroxylase. *Br. J. Clin. Pharmacol*. **37(5)**, 413-420 (1994).
2. Thapar, M.M., Gupta, S., Spindler, C., *et al*. Pharmacodynamic interactions among atovaquone, proguanil and cycloguanil against *Plasmodium falciparum in vitro*. *Trans. R. Soc. Trop. Med. Hyg*. **97(3)**, 331-337 (2003).
3. Black, R.H. and Ray, A.P. Experimental studies of the potentiation of proguanil and pyrimethamine by dapsone using Plasmodium berghei in white mice. *Ann. Trop. Med. Parasitol*. **71(2)**, 131-139 (1977).

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