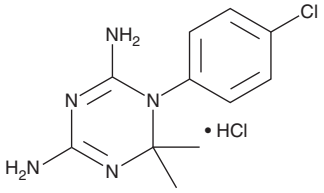
PRODUCT INFORMATION

Cycloguanil (hydrochloride)

Item No. 16861

CAS Registry No.:	152-53-4
Formal Name:	1-(4-chlorophenyl)-1,6-dihydro-6,6-dimethyl-1,3,5-triazine-2,4-diamine, monohydrochloride
Synonyms:	Chloroguanide Triazine, NSC 3074
MF:	$C_{11}H_{14}ClN_5 \bullet HCl$
FW:	288.2
Purity:	≥95%
UV/Vis.:	λ_{max}: 207, 246 nm
Supplied as:	A crystalline 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

Cycloguanil (hydrochloride) is supplied as a crystalline solid. A stock solution may be made by dissolving the cycloguanil (hydrochloride) in the solvent of choice, which should be purged with an inert gas. Cycloguanil (hydrochloride) is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF). The solubility of cycloguanil (hydrochloride) in ethanol and DMF is approximately 5 mg/ml and approximately 20 mg/ml in DMSO.

Further dilutions of the stock solution into aqueous buffers or isotonic saline should be made prior to performing biological experiments. Ensure that the residual amount of organic solvent is insignificant, since organic solvents may have physiological effects at low concentrations. Organic solvent-free aqueous solutions of cycloguanil (hydrochloride) can be prepared by directly dissolving the crystalline solid in aqueous buffers. The solubility of cycloguanil (hydrochloride) in PBS, pH 7.2, is approximately 5 mg/ml. We do not recommend storing the aqueous solution for more than one day.

Description

Cycloguanil is the active metabolite of the antimalarial prodrug proguanil.[1] Cycloguanil is formed from proguanil by the cytochrome P450 (CYP) isoforms CYP2C19 and CYP3A in human liver microsomes. It is an inhibitor of dihydrofolate reductase (DHFR; K_is = 1.5 and 0.79 nM for the *P. falciparum* and *P. berghei* enzymes, respectively).[2,3] It is active against ten *P. falciparum* field isolates (IC_{50}s = 0.12-1,400 µg/ml).[2] Cycloguanil reduces parasitemia in a mouse model of *P. berghei* infection (ED_{50} = 2 mg/kg).[4] It also reduces parasitemia in a rhesus monkey model of *P. cynomolgi* infection when administered at a dose of 0.3 mg/kg.[5]

References

1. Birkett, D.J., Rees, D., Anderson, T., *et al. Br. J. Clin. Pharmacol.* **37(5)**, 413-420 (1994).
2. Foote, S.J., Galatis, D., and Cowman, A.F. *Proc. Natl. Acad. Sci. USA* **87(8)**, 3014-3017 (1990).
3. Yuthavong, Y., Vilaivan, T., Chareonsethakul, N., *et al. J. Med. Chem.* **43(14)**, 2738-2744 (2000).
4. Knight, D.J. and Peters, W. *Ann. Trop. Med. Parasitol.* **74(4)**, 393-404 (1980).
5. Schmidt, L.H., Loo, T.L., Fradkin, R., *et al. Proc. Soc. Exp. Biol. Med.* **80(2)**, 367-370 (1952).

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