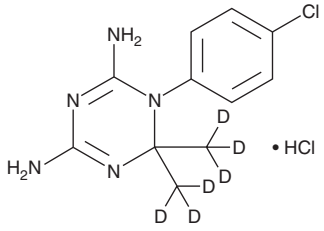
# PRODUCT INFORMATION

## Cycloguanil-$d_6$ (hydrochloride)

*Item No. 31496*

**CAS Registry No.:** 2712364-69-5
**Formal Name:** 1-(4-chlorophenyl)-1,6-dihydro-6,6-dimethyl-$d_3$-1,3,5-triazine-2,4-diamine, monohydrochloride
**Synonym:** Chloroguanide Triazine-$d_6$
**MF:** $C_{11}H_8ClD_6N_5 \bullet HCl$
**FW:** 294.2
**Chemical Purity:** ≥98% (Cycloguanil)
**Deuterium Incorporation:** ≥99% deuterated forms ($d_1$-$d_6$); ≤1% $d_0$
**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

Cycloguanil-$d_6$ (hydrochloride) is intended for use as an internal standard for the quantification of cycloguanil (Item No. 16861) by GC- or LC-MS. The accuracy of the sample weight in this vial is between 5% over and 2% under the amount shown on the vial. If better precision is required, the deuterated standard should be quantitated against a more precisely weighed unlabeled standard by constructing a standard curve of peak intensity ratios (deuterated *versus* unlabeled).

Cycloguanil-$d_6$ (hydrochloride) is supplied as a solid. A stock solution may be made by dissolving the cycloguanil-$d_6$ (hydrochloride) in the solvent of choice, which should be purged with an inert gas. Cycloguanil-$d_6$ (hydrochloride) is soluble in DMSO.

### 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; $K_i$s = 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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