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PRODUCT INFORMATION



Cycloguanil-d₆ (hydrochloride)

Item No. 31496

Formal Name: 1-(4-chlorophenyl)-1,6-dihydro-6,6-dimethyl-d₃-1,3,5-triazine-2,4-diamine, monohydrochloride

Synonym: Chloroguanide Triazine-d₆

MF: C₁₁H₈ClD₆N₅ • HCl

FW: 294.2

Chemical Purity: ≥98% (Cycloguanil)

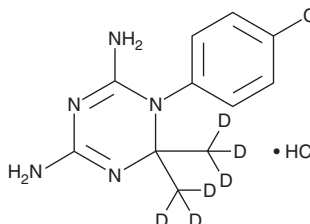
Deuterium

Incorporation: ≥99% deuterated forms (d₁-d₆); ≤1% d₀

Supplied as: A solid

Storage: -20°C

Stability: ≥2 years



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

Laboratory Procedures

Cycloguanil-d₆ (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-d₆ (hydrochloride) is supplied as a solid. A stock solution may be made by dissolving the cycloguanil-d₆ (hydrochloride) in the solvent of choice, which should be purged with an inert gas. Cycloguanil-d₆ (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_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 (IC₅₀s = 0.12-1,400 µg/ml).² Cycloguanil reduces parasitemia in a mouse model of *P. berghei* infection (ED₅₀ = 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).

WARNING

THIS PRODUCT IS FOR RESEARCH ONLY - NOT FOR HUMAN OR VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

SAFETY DATA

This material should be considered hazardous until further information becomes available. Do not ingest, inhale, get in eyes, on skin, or on clothing. Wash thoroughly after handling. Before use, the user must review the [complete](#) Safety Data Sheet, which has been sent via email to your institution.

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