WR99210

Cat. No.: HY-116387

CAS No.: 47326-86-3

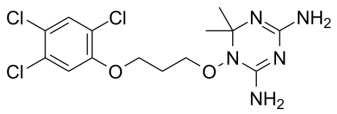
Molecular Formula: $C_{14}H_{18}Cl_3N_5O_2$

Molecular Weight: 394.68

Target: Dihydrofolate reductase (DHFR); Parasite

Pathway: Metabolic Enzyme/Protease; Anti-infection

Storage: Powder -20°C 3 years
4°C 2 years
* The compound is unstable in solutions, freshly prepared is recommended.



SOLVENT & SOLUBILITY

In Vitro

DMSO : 5 mg/mL (12.67 mM; Need ultrasonic)

Preparing Stock Solutions	Mass / Solvent / Concentration	1 mg	5 mg	10 mg
	1 mM	2.5337 mL	12.6685 mL	25.3370 mL
	5 mM	0.5067 mL	2.5337 mL	5.0674 mL
	10 mM	0.2534 mL	1.2668 mL	2.5337 mL

Please refer to the solubility information to select the appropriate solvent.

BIOLOGICAL ACTIVITY

Description

WR99210 is an orally active and low-toxicity dihydrofolate reductase (DHFR) inhibitor (IC_{50}<0.075 nM). WR99210 shows good antiparasitic activity and is effective against P. falciparum and P. falciparum strains (including Pyrimethamine (HY-18062)-resistant P. falciparum strains) as well as T. gondii[1][2][3].

IC_{50} & Target

IC50: <0.075 nM (DHFR)[3].

In Vitro

WR99210 (0-100 nM; 92 h) is highly effective against T. gondii tachyzoites in tissue culture[1].
WR99210 (0-100 nM; 92 h) shows low cytotoxicity to human foreskin fibroblasts[1].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Cell Viability Assay[1]

Cell Line:	Human foreskin fibroblasts (T. gondii-infected)
Concentration:	0-100 nM
Incubation Time:	92 h

Result:	Showed marked inhibition of T. gondii, with an IC_{50} value of approximately 50 nM.

Cell Cytotoxicity Assay[1]

Cell Line:	Human foreskin fibroblasts
Concentration:	0-100 nM
Incubation Time:	92 h
Result:	Lacked of toxicity for fibroblasts.

In Vivo

WR99210 (1.25 mg/kg; i.p.; single daily for 5 days) is highly effective against T. gondii tachyzoites in a mouse model[1].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Male mice (T. gondii-infected)[1].
Dosage:	1.25 mg/kg
Administration:	Intraperitoneal injection; single daily for 5 days.
Result:	Exhibited intraperitoneal parasite numbers were 2 logs less in mice on the day 5.

CUSTOMER VALIDATION

- PLoS Biol. 2022 May; 20(5): e3001616.
- Microbiol Spectr. 2023 May 30;e0143423.

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REFERENCES

[1]. Mui EJ, et al. Triazine Inhibits Toxoplasma gondii tachyzoites in vitro and in vivo. Antimicrob Agents Chemother. 2005 Aug;49(8):3463-7.

[2]. Kiara SM, et al. In vitro activity of antifolate and polymorphism in dihydrofolate reductase of Plasmodium falciparum isolates from the Kenyan coast: emergence of parasites with Ile-164-Leu mutation. Antimicrob Agents Chemother. 2009 Sep;53(9):3793-8.

[3]. Hastings MD, et al. Pyrimethamine and WR99210 exert opposing selection on dihydrofolatereductase from Plasmodium vivax. Proc Natl Acad Sci U S A. 2002 Oct 1;99(20):13137-41.

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