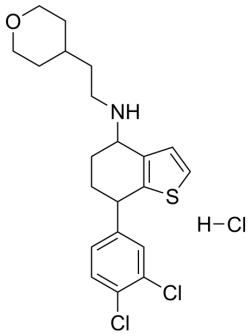
Antifungal agent 22

Cat. No.:	HY-144632
CAS No.:	2640054-39-1
Molecular Formula:	$C_{21}H_{26}Cl_3NOS$
Molecular Weight:	446.86
Target:	Fungal
Pathway:	Anti-infection
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description

Antifungal agent 22 (compound D16) is a potential and orally active antifungal agent for CM (cryptococcal meningitis), with an IC_{50} of 0.5 μg/mL. Antifungal agent 22 can penetrate the blood-brain barrier and kill the C. neoformans H99 cells by destroying the integrity of fungal cell membranes. Antifungal agent 22 shows selective anti-Cryptococcus activity with good metabolic stability and low cytotoxicity[1].

IC_{50} & Target

IC_{50}: 0.5 μg/mL (Fungal)[1].

In Vitro

Antifungal agent 22 (compound D16) (0-1 μg/mL, 24 h) inhibits ergosterol biosynthesis, which results in stress-induced upregulation of ERG genes in C. neoformans H99[1].
Antifungal agent 22 (0-8 μg/mL) effectively inhibits the growth of C. neoformans H99 (0-72 h), inhibits the formation of C. neoformans H99 biofilms in a concentration-dependent manner (24 h)[1].
Antifungal agent 22 (0-8 μg/mL, 48-72 h) shows selective anti-Cryptococcus activity, has a fungistatic effect[1].
Antifungal agent 22 (0-100 μM, 48 h) shows low cytotoxicity against a human HUVEC cell line with an IC_{50} of 20.18 μM[1].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Cell Proliferation Assay

Cell Line:	C. neoformans H99 cells[1]
Concentration:	0, 1, 2, 4, 8 μg/mL
Incubation Time:	0, 4, 8, 12, 24, 48, and 72 h
Result:	Almost completely inhibited the growth of C. neoformans H99 at 8 μg/mL, remained at nearly 100% inhibition rate after 72 h, had minimum fungicidal concentrations of 8 μg/mL.

Cell Viability Assay

Cell Line:	Fungal cells (RPMI 1640 medium)[1]
Concentration:	0, 0.5, 1, 2, 4, 8 μg/mL
Incubation Time:	48, 72 h
Result:	Showed selective anti-Cryptococcus activity, with IC_{50} range of 0.06-2 μg/mL and a MIC_{50} value (average IC_{50} values) of 0.62 μg/mL.

In Vivo	Antifungal agent 22 (D16) (15 mg/kg, Intragastrically, daily for 5 days) shows potent anti-Cryptococcal efficacy[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	ICR female mice (18-22 g, 4-6 weeks, tail vein injected with C. neoformans H99 cells)[1]
Dosage:	15 mg/kg
Administration:	Intragastrically, daily for 5 days
Result:	Showed potent anti-Cryptococcal efficacy, significantly reduced the number of C. neoformans H99 cells in the brain after 5 days, prolong the median survival time (14 days) of the infected mice at a dose of 15 mg/kg.

REFERENCES

[1]. Li W, Yun Z, Ji C, et al. Discovery of Novel Sertraline Derivatives as Potent anti-Cryptococcus Agents.J Med Chem. 2022 Mar 6;10.1021/acs.jmedchem.1c01845.

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