Saperconazole

Cat. No.: HY-U00249

CAS No.: 110588-57-3

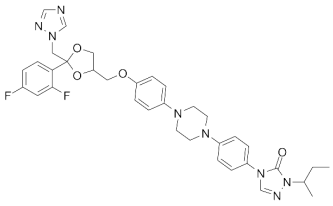
Molecular Formula: $C_{35}H_{38}F_2N_8O_4$

Molecular Weight: 672.72

Target: Fungal

Pathway: Anti-infection

Storage: 4°C, sealed storage, away from moisture and light
* In solvent : -80°C, 6 months; -20°C, 1 month (sealed storage, away from moisture and light)



SOLVENT & SOLUBILITY

In Vitro

DMSO : 25 mg/mL (37.16 mM; ultrasonic and warming and heat to 60°C)

Preparing Stock Solutions	Mass / Solvent / Concentration	1 mg	5 mg	10 mg
	1 mM	1.4865 mL	7.4325 mL	14.8650 mL
	5 mM	0.2973 mL	1.4865 mL	2.9730 mL
	10 mM	0.1487 mL	0.7433 mL	1.4865 mL

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

In Vivo

1. Add each solvent one by one: 10% DMSO >> 90% corn oil
Solubility: ≥ 2.5 mg/mL (3.72 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Saperconazole (R66905) is a broad-spectrum antifungal triazole and has potent activity against Aspergillus with an MIC_{90} of 0.19 mg/L.

IC_{50} & Target

MIC90: 0.19 mg/L[1]

In Vitro

The antifungal activity of Saperconazole is complete at 1 μg/mL for all but one strain of A. niger. Eighty percent of all strains show complete absence of growth at 0.1 μg/mL (85% for A. fumigatus), and this result persists during 14 days of the test[2].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

In Vivo

All control pigeons infect with A. fumigatus die within 2 to 5 days. They have necrotic foci in the lungs, air sacs, liver, spleen, and kidneys. These organs are highly positive by histology and oncultures. Saperconazole administered at 2.5 mg/kg is not active, but when it is given at 5 or 10 mg/kg, 92 or 100%, respectively, survive and organ cultures are negative. No drug-related side effects are observed in the pigeons[2].

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

PROTOCOL

Cell Assay [2]	A total of 279 Aspergillus isolates are tested in vitro; 221 of these are A. fumigatus. The inoculum consists of a standardized 4 mm^2 culture block containing hyphae and spores for tubes with 5 mL of medium supplemented with drug solution or with solvent[2]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
Animal Administration [2]	Male immunocompetent (normal) or immunocompromised pigs weighing 500 g (±50 g) are infected intravenously (i.v.) with 25,000 CFU of A.fumigatus B19119 per g of body weight. The animals are treated orally with Saperconazole, ketoconazole, or fluconazole dissolved in polyethylene glycol 200 (PEG 200). The treatment is given once daily for 14 consecutive days, starting on the day of infection or on day 1, 2, 3, or 4 after infection. Saperconazole, dissolved in dimethyl-β-cyclodextrin and in hydroxypropyl-β-cyclodextrin is also administered i.p. and i.v. Pigeons with a mean weight of 400 g (±30 g) are infected i.v. in a wing vein with 7,800 CFU of A.fumigatus B19119 per g of body weight. Saperconazole dissolved in PEG 200 is administered by gavage at 0, 2.5, 5, or 10 mg/kg starting on the day of infection and continued once daily for 14 consecutive days[2]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

REFERENCES

[1]. Otcenásek M, et al. Susceptibility of clinical isolates of fungi to saperconazole. Mycopathologia. 1992 Jun;118(3):179-83.

[2]. VVan Cutsem J, et al. Oral and parenteral therapy with saperconazole (R 66905) of invasive aspergillosis in normal and immunocompromised animals. Antimicrob Agents Chemother. 1989 Dec;33(12):2063-8.

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