Product Data Sheet

Product Name: Saperconazole (R66905)
Cat. No.: GC32374

Chemical Properties

Cas. No.	110588-57-3		
SMILES	O=C1N(C(C)CC)N=CN1C2=CC=C(N3CCN(C4=CC=C(OCC5OC(CN6N=CN=C6)(C7=CC=C(F)C=C7F)OC5)C=C4)CC3)C=C2		
Formula	$C_{35}H_{38}F_2N_8O_4$	M.Wt	672.72
Solubility	DMSO : 25 mg/mL (37.16 mM; ultrasonic and warming and heat to 60°C)	Storage	Store at -20°C
General tips	For obtaining a higher solubility , please warm the tube at 37 ℃ and shake it in the ultrasonic bath for a while.Stock solution can be stored below -20℃ for several months.		
Shipping Condition	Evaluation sample solution : ship with blue ice All other available size: ship with RT , or blue ice upon request.		
Structure	Saperconazole (R66905)		

Protocol

Cell experiment:

A total of 279 Aspergillus isolates are tested in vitro; 221 of these are A. fumigatus. The inoculum consists of a standardized 4 mm2 culture block containing hyphae and spores for tubes with 5 mL of medium supplemented with drug solution or with solvent[2].

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Animal experiment:

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].

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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.

Background

Saperconazole (R66905) is a broad-spectrum antifungal triazole and has potent activity against Aspergillus with an MIC90 of 0.19 mg/L.

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].

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].

[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.