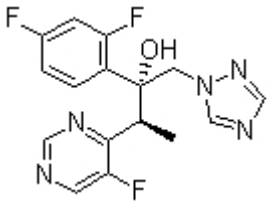
Product Introduction

Voriconazole

Voriconazole is a new triazole derivative similar to fluconazole and itraconazole that acts by inhibiting fungal cytochrome P-450-dependent, 14-alpha-sterol demethylase-mediated synthesis of ergosterol.

Technical Data:

Molecular Weight (MW):	349.31	
Formula:	$C_{16}H_{14}F_3N_5O$	
Solubility (25°C) * <1 mg/ml means slightly soluble or insoluble:	DMSO 70 mg/mL	
	Water <1 mg/mL	
	Ethanol 20 mg/mL	
Purity:	>98%	
Storage:	3 years -20℃Powder	
	6 months-80℃in DMSO	
CAS No.:	137234-62-9	

Biological Activity

Voriconazole is active against certain opportunistic filamentous and dimorphic fungi (molds) and yeasts. Voriconazole in vitro activities are higher than or similar to those of itraconazole and amphotericin B for most of the molds tested, with the exceptions of R. arrhizus and S. schenckii. [1] Voriconazole inhibits 95% of isolates at ≤1 μg/ml against 448 recent clinical mold isolates. [2]

Voriconazole is significantly more effective than itraconazole in reducing Aspergillus content in the lungs of immunocompromised guinea pig with pulmonary aspergillosis. Voriconazole also has been shown to be

effective in guinea pigs with experimental pulmonary or intracranial infections caused by C. neoformans. [1] Voriconazole (5 mg/kg/day, i.p.) combined with Amphotericin B (1.25 mg/kg/day, i.p.) significantly reduces the colony counts in the tissues of selected Guinea pigs compared with those in the tissues of the controls. Voriconazole (5 mg/kg/day, i.p.) combined with Amphotericin B (1.25 mg/kg/day, i.p.) also results in reductions in colony counts in tissues compared with those in the tissues of Guinea pigs treated with caspofungin acetate (the difference is not statistically significant) and improves the survival times but does not sterilize tissues. Voriconazole (5 mg/kg/day, i.p.) combined with caspofungin acetate (CAS) at either dose reduces colony counts in tissues 1,000-fold over those for the controls and are the only regimens that significantly reduces the numbers of positive cultures. [3]

References

[1] Espinel-Ingroff A, et al. J Clin Microbiol, 1998, 36(1), 198-202.

[2] Diekema DJ, et al. J Clin Microbiol, 2003, 41(8), 3623-3626.

[3] Kirkpatrick WR, et al. Antimicrob Agents Chemother, 2002, 46(8), 2564-2568.

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