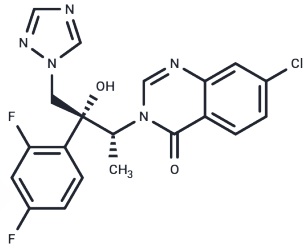
Data Sheet (Cat.No.T7725)

TargetMol

Albaconazole

Chemical Properties



CAS No. : 187949-02-6

Formula: C20H16ClF2N5O2

Molecular Weight: 431.82

Storage: Powder: -20°C for 3 years | In solvent: -80°C for 1 year
Actual storage temperature shall be subject to the COA.

Biological Description

Description	Albaconazole (W-0027) is a small molecule fungal cytochrome P450 family member 51 (fungal CYP51A1) inhibitor. It is used in the treatment of fungal infections, skin and musculoskeletal disorders, and can be used in the study of vulvovaginal candidiasis, Chagas disease and genitourinary disorders.
Targets(IC50)	Antifungal,Cytochromes P450
In vivo	Forty participants were enrolled in this Phase I, open-label, two-sequence crossover study. Twenty participants were exposed to a single 400-mg tablet dose of albaconazole before being crossed over to a single dose of four 100-mg albaconazole capsules. The second group of 20 participants received the study products in reverse order. Blood samples were taken over 15 days post-dose to assess the plasma concentrations and pharmacokinetic parameters of albaconazole and its primary metabolite, 6-hydroxyalbaconazole. Safety was assessed throughout the study. The area under the curve (AUC) and maximum measured plasma concentration (C(max)) of the albaconazole tablet were approximately 10% and 22% lower, respectively, than for the albaconazole capsules. Statistical significance was reached for the C(max) but not for the AUC measurements (AUC(0-t) and AUC(0-inf)). Because the 90% confidence intervals based on the differences between the tablet and capsule were outside the 80% -125% range for both the C(max) and AUC, we concluded that the formulations were not bioequivalent with respect to the rate or extent of absorption. The AUC and C(max) of albaconazole after a single 400-mg oral dose administered as a tablet formulation were lower than those of a capsule formulation. Albaconazole tablets and capsules cannot, therefore, be considered bioequivalent.[1]

Solubility Information

Solubility	DMSO: 55 mg/mL (127.37 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.3158 mL	11.5789 mL	23.1578 mL
5 mM	0.4632 mL	2.3158 mL	4.6316 mL
10 mM	0.2316 mL	1.1579 mL	2.3158 mL
50 mM	0.0463 mL	0.2316 mL	0.4632 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Note: The dilution table applies only to solid products. For liquid products, please calculate the stock solution based on the stated concentration and/or density.

Reference

van Rossem K, et al. A Phase 1, randomized, open-label crossover study to evaluate the safety and pharmacokinetics of 400 mg albaconazole administered to healthy participants as a tablet formulation versus a capsule formulation. Clin Pharmacol. 2013;5:23-31.

Dietz AJ, et al. A randomized, double-blind, multiple-dose, placebo-controlled, dose escalation study with a 3-cohort parallel group design to investigate the tolerability and pharmacokinetics of albaconazole in healthy subjects. Clin Pharmacol Drug Dev. 2014;3(1):25-33.

Miller JL, et al. In vitro and in vivo efficacies of the new triazole albaconazole against Cryptococcus neoformans. Antimicrob Agents Chemother. 2004;48(2):384-387.

Morera-López Y, et al. Cryptococcus gattii: in vitro susceptibility to the new antifungal albaconazole versus fluconazole and voriconazole. Med Mycol. 2005;43(6):505-510.

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