Isavuconazole

Cat. No.: HY-14273

CAS No.: 241479-67-4

Molecular Formula: $C_{22}H_{17}F_2N_5OS$

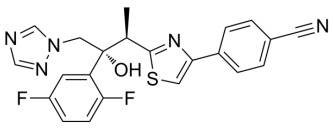
Molecular Weight: 437.47

Target: Fungal; Cytochrome P450; Antibiotic

Pathway: Anti-infection; Metabolic Enzyme/Protease

Storage:

Powder	-20°C	3 years
	4°C	2 years
In solvent	-80°C	2 years
	-20°C	1 year



SOLVENT & SOLUBILITY

In Vitro

DMSO : ≥ 50 mg/mL (114.29 mM)

* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	2.2859 mL	11.4294 mL	22.8587 mL
5 mM	0.4572 mL	2.2859 mL	4.5717 mL
10 mM	0.2286 mL	1.1429 mL	2.2859 mL

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

In Vivo

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: 2.5 mg/mL (5.71 mM); Clear solution; Need ultrasonic
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (5.71 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (5.71 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Isavuconazole (BAL-4815) is a triazole proagent with antifungal activity against yeasts, molds, and dimorphic fungi. Isavuconazole inhibits ergosterol biosynthesis and results in the disruption of fungal membrane structure and function. Isavuconazole is a moderate inhibitor of CYP3A4.

IC_{50} & Target

CYP3

In Vitro	Isavuconazole (BAL-4815) shows good activity against all Candida spp., with active MIC_{50} of 0.004 mg/L. The MIC_{50}s/MIC_{90}s range from 0.002/0.004 mg/L for C. albicans to 0.25/0.5 mg/L for C. glabrata[1]. Isavuconazole has potent in vitro activity against most common Aspergillus species, Purpureocillium lilacinum, and Scedosporium apiospermum[2]. Isavuconazole shows potent activity against molds, yeasts, and dimorphic fungi. Rhizopus isolates have MIC values to isavuconazole as low as 0.12 μg/mL with others as high as 32 μg/mL[3]. In the study of pharmacokinetics and pharmacodynamics of isavuconazole against the GFP transformant, F/11628, NIH 4215, and F/16216, the modal MICs of isavuconazole are 1, 8, 1, 4 mg/L, respectively[4]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

CUSTOMER VALIDATION

- Mycoses. 2022 Feb 9.
- J Steroid Biochem Mol Biol. 2020 May;199:105605.
- Drug Metab Dispos. 2019 Jul;47(7):768-778.

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REFERENCES

[1]. Seifert H, et al. In vitro activities of isavuconazole and other antifungal agents against Candida bloodstream isolates. Antimicrob Agents Chemother. 2007 May;51(5):1818-21.

[2]. Steinmann J, et al. In Vitro Activity of Isavuconazole against Rasamsonia Species. Antimicrob Agents Chemother. 2016 Oct 21;60(11):6890-6891.

[3]. Donnelley MA, et al. Isavuconazole in the treatment of invasive aspergillosis and mucormycosis infections. Infect Drug Resist. 2016 Jun 2;9:79-86.

[4]. Box H, et al. Pharmacodynamics of Isavuconazole in a Dynamic In Vitro Model of Invasive Pulmonary Aspergillosis. Antimicrob Agents Chemother. 2015 Oct 26;60(1):278-87.

[5]. Livermore J, et al. Evaluation of the pharmacokinetics and clinical utility of isavuconazole for treatment of invasive fungal infections. Expert Opin Drug Metab Toxicol. 2012 Jun;8(6):759-65.

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