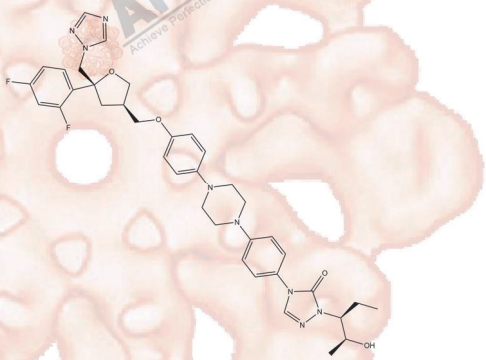
Product Data Sheet

Posaconazole



Cat. No.:	A1718
CAS No.:	171228-49-2
Formula:	C37H42F2N8O4
M.Wt:	700.78
Synonyms:	
Target:	Metabolism
Pathway:	C14α demethylase
Storage:	Store at -20°C

Solvent & Solubility

In Vitro

≥35.04 mg/mL in DMSO; insoluble in H2O; ≥2.55 mg/mL in EtOH with ultrasonic

Preparing Stock Solutions

Mass / Solvent / Concentration	1mg	5mg	10mg
1 mM	1.4270 mL	7.1349 mL	14.2698 mL
5 mM	0.2854 mL	1.4270 mL	2.8540 mL
10 mM	0.1427 mL	0.7135 mL	1.4270 mL

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

Biological Activity

Shortsummary	Sterol C14α demethylase inhibitor
IC_{50} & Target	0.25 nM (C14α demethylase)

In Vitro

Cell Viability Assay

Cell Line:	25 strains of Coccidioides immitis
Preparation method:	The solubility of this compound in DMSO is >10 mM. General tips for obtaining a higher concentration: Please warm the tube at 37 °C for 10 minutes and/or shake it in the ultrasonic bath for a while.Stock solution can be stored below -20°C for several months.
Reacting conditions:	MIC: 0.5 μg/ml, 48 hours

	Applications:	Posaconazole was tested in RPMI 1640 with L-glutamine and morpholinepropanesulfonic acid buffer at a concentration of 165 mM. The final concentration of the drug was 0.015 to 8 μg/ml. The MICs were read at 24 and 48 h. The posaconazole MICs ranged from 0.25 to 1 μg/ml, and the geometric mean posaconazole MIC was 0.5 μg/ml. The posaconazole MICs at which 50 of the isolates tested were inhibited (MIC50) and the MIC90 were 0.5 and 1 μg/ml, respectively.
In Vivo	**Animal experiment**	
	Animal models:	Male BALB/c mice
	Dosage form:	Oral administration, 5, 15, or 30 mg/kg twice per day, for 7 days
	Applications:	Mice were rendered neutropenic with single doses of 5-fluorouracil and with cyclophosphamide one day before infection. This treatment reduced the neutrophil count. Mice were infected intravenously using a 0.2-ml volume of the inoculums. The antifungal agent treatment began 1 day after infection. The survival of posaconazole recipients increased significantly in a dose-dependent manner over that of the controls, with 60 to 83% survival at the 30-mg/kg twice-daily dose. Posaconazole doses of 15 and 30 mg/kg significantly lowered counts in tissue. Posaconazole at a dose of 30 mg/kg reduced many counts to undetectable levels.
	Other notes:	Please test the solubility of all compounds indoor, and the actual solubility may slightly differ with the theoretical value. This is caused by an experimental system error and it is normal.

Product Citations

See more customer validations on www.apexbt.com.

References

[1] González G M, Tijerina R, Najvar L K, et al. In vitro and in vivo activities of posaconazole against Coccidioides immitis. Antimicrobial agents and chemotherapy, 2002, 46(5): 1352-1356.

[2] Sun Q N, Najvar L K, Bocanegra R, et al. In vivo activity of posaconazole against Mucor spp. in an immunosuppressed-mouse model. Antimicrobial agents and chemotherapy, 2002, 46(7): 2310-2312.

Caution

FOR RESEARCH PURPOSES ONLY.

NOT FOR HUMAN, VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

Specific storage and handling information for each product is indicated on the product datasheet. Most APExBIO products are stable under the recommended conditions. Products are sometimes shipped at a temperature that differs from the recommended storage temperature. Shortterm storage of many products are stable in the short-term at temperatures that differ from that required for long-term storage. We ensure that the product is shipped under conditions that will maintain the quality of the reagents. Upon receipt of the product, follow the storage recommendations on the product data sheet.

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