Hydroxy Itraconazole

Cat. No.: HY-12772

CAS No.: 112559-91-8

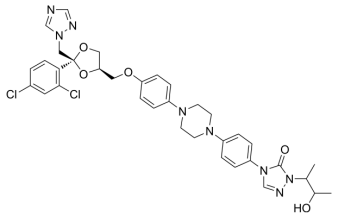
Molecular Formula: $C_{35}H_{38}Cl_2N_8O_5$

Molecular Weight: 721.63

Target: Fungal; Drug Metabolite

Pathway: Anti-infection; Metabolic Enzyme/Protease

Storage: 4°C, protect from light
* In solvent : -80°C, 6 months; -20°C, 1 month (protect from light)



SOLVENT & SOLUBILITY

In Vitro

DMSO : 83.33 mg/mL (115.47 mM; Need ultrasonic)
H_2O : < 0.1 mg/mL (insoluble)

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	1.3858 mL	6.9288 mL	13.8575 mL
5 mM	0.2772 mL	1.3858 mL	2.7715 mL
10 mM	0.1386 mL	0.6929 mL	1.3858 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 (3.46 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (3.46 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (3.46 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Hydroxy Itraconazole (Itraconazole metabolite Hydroxy Itraconazole; R-63373) is an active metabolite of Itraconazole (ITZ), which is a triazole antifungal agent.

IC_{50} & Target

Antifungal[1]

In Vitro

Hydroxy Itraconazole (Itraconazole metabolite Hydroxy Itraconazole; R-63373) is an active metabolite of Itraconazole (ITZ). Although Hydroxy Itraconazole is also reported to have antifungal activity in vitro, its pharmacokinetics in humans has been studied less than that of ITZ. ITZ and Hydroxy Itraconazole have a triazole ring and inhibit CYP3A. Their half-lives can be

	extended by 26-60% with repeated administration compared to single administration[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	The plasma concentration of Hydroxy Itraconazole is weakly dependent on the dose of ITZ, most likely because the process that forms Hydroxy Itraconazole is saturated. Serum albumin and GFR may alter the pharmacokinetics of ITZ and Hydroxy Itraconazole. Antifungal activity should be discussed while taking into account not only the plasma concentration of ITZ but also that of Hydroxy Itraconazole. However, the pharmacokinetics of Hydroxy Itraconazole is similar to that of ITZ in immunocompromised patients taking an oral solution of ITZ. Since the plasma concentrations of ITZ and Hydroxy Itraconazole are closely correlated, determining the plasma concentration of either should be sufficient from a clinical point of view[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

REFERENCES

[1]. Mino Y, et al. Hydroxy-itraconazole pharmacokinetics is similar to that of itraconazole in immunocompromised patients receiving oral solution of itraconazole. Clin Chim Acta. 2013 Jan 16;415:128-32.

Tel: 609-228-6898 Fax: 609-228-5909 E-mail: tech@MedChemExpress.com
Address: 1 Deer Park Dr, Suite Q, Monmouth Junction, NJ 08852, USA