Itraconazole

Cat. No.: HY-17514

CAS No.: 84625-61-6

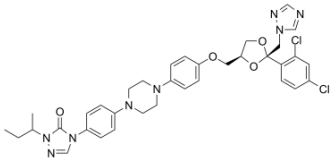
Molecular Formula: $C_{35}H_{38}Cl_2N_8O_4$

Molecular Weight: 705.63

Target: Fungal; Hedgehog; Cytochrome P450; Autophagy; Antibiotic

Pathway: Anti-infection; Stem Cell/Wnt; Metabolic Enzyme/Protease; Autophagy

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



SOLVENT & SOLUBILITY

In Vitro

DMSO : 6.25 mg/mL (8.86 mM; Need ultrasonic)

Preparing Stock Solutions	Mass / Solvent / Concentration	1 mg	5 mg	10 mg
	1 mM	1.4172 mL	7.0859 mL	14.1717 mL
	5 mM	0.2834 mL	1.4172 mL	2.8343 mL
	10 mM	---	---	---

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

In Vivo

1. Add each solvent one by one: 0.5% CMC-Na/saline water
   Solubility: 20 mg/mL (28.34 mM); Suspended solution; Need ultrasonic
2. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 0.62 mg/mL (0.88 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 0.62 mg/mL (0.88 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Itraconazole (R51211) is a triazole antifungal agent and a potent and orally active Hedgehog (Hh) signaling pathway antagonist with an IC_{50} of ~800 nM. Itraconazole potently inhibits lanosterol 14α-demethylase (cytochrome P450 enzyme), thereby inhibits the oxidative conversion of lanosterol to ergosterol. Itraconazole has anticancer and antiangiogenic effects [1][2][3].

IC_{50} & Target

Fungal[1]
IC50: ~800 nM (Hedgehog signaling pathway)[1]
14α-demethylase (cytochrome P450 enzyme)[3]

In Vitro	Itraconazole has anti-proliferation of HUVEC (IC_{50} of 0.16 μM)[2]. Itraconazole inhibits endothelial cell cycle progression at the G1 phase in vitro[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	Itraconazole (75-100 mg/kg; oral gavage; twice per day; for 18 days; female outbred athymic nude mice) treatment suppresses Hh pathway activity and the growth of medulloblastoma in a mouse allograft model[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Female outbred athymic nude mice (6-7-week-old) injected with $Ptch^{+/-}$ cells[1]
Dosage:	75 mg/kg, 100 mg/kg
Administration:	Oral gavage; twice per day; for 18 days
Result:	Suppressed Hh pathway activity and the growth of medulloblastoma in a mouse allograft model.

CUSTOMER VALIDATION

- J Exp Clin Cancer Res. 2019 Sep 13;38(1):404.
- Front Cell Infect Microbiol. 2020 Jun 26;10:320.
- AAPS PharmSciTech. 2020 Oct 6;21(7):272.

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REFERENCES

[1]. Kim, J., et al., Itraconazole, a commonly used antifungal that inhibits Hedgehog pathway activity and cancer growth. Cancer Cell, 2010. 17(4): p. 388-99.

[2]. Chong, C.R., et al., Inhibition of angiogenesis by the antifungal drug itraconazole. ACS Chem Biol, 2007. 2(4): p. 263-70.

[3]. Pace JR, et al. Repurposing the Clinically Efficacious Antifungal Agent Itraconazole as an Anticancer Chemotherapeutic. J Med Chem. 2016 Apr 28;59(8):3635-49.

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