Mefentrifluconazole

Cat. No.: HY-136063

CAS No.: 1417782-03-6

Molecular Formula: $C_{18}H_{15}ClF_3N_3O_2$

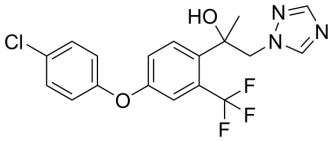
Molecular Weight: 397.78

Target: Fungal; Cytochrome P450

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 : 100 mg/mL (251.40 mM; Need ultrasonic)

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	2.5140 mL	12.5698 mL	25.1395 mL
5 mM	0.5028 mL	2.5140 mL	5.0279 mL
10 mM	0.2514 mL	1.2570 mL	2.5140 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: ≥ 6.25 mg/mL (15.71 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 6.25 mg/mL (15.71 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 6.25 mg/mL (15.71 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Mefentrifluconazole is a novel azole derivative and used as an agrochemical broad-spectrum antifungal agent. Mefentrifluconazole is a potent, selective and orally active fungal CYP51 (K_d= 0.5 nM) inhibitor, but shows less inhibitory activity on human aromatase (IC_{50}=0.92 μM)[1].

IC_{50} & Target

CYP51A
0.5 nM (Kd)

In Vivo	Mefentrifluconazole undergoes extensive toxicity testing, including a full program of reproductive toxicity studies. Long term repeated dose toxicity and/or carcinogenicity studies have been conducted in rats, mice, and dogs. In each species, the highest dose level investigated gives rise to systemic toxicity[1]. In the acute and?repeat dose toxicity studies?performed with Mefentrifluconazole. A single-dose administration to rats the LD50 is >2000?mg/kg bwt by the oral route, >5000?mg/kg bwt by the dermal route, and >5.314?mg/L by inhalation as a dust aerosol. Mefentrifluconazole is not a skin or an eye?irritant, nor is it a phototoxicant in vitro[1]. In the acute?neurotoxicity?study in rats, Mefentrifluconazole (oral administration; 2000?mg/kg bwt; single dose) gives rise to reduce body weight gain and transient neurobehavioral effects only on the day of treatment (unsteady gait, reduced motor activity, reduces grip strength of the forelimbs and increased distance between the hind limbs in the landing foot-splay test) [1]. In the repeated-dose toxicity studies, the liver is the target organ in each of the three species investigated. At higher dose levels in the rat (oral diets; 383/334 mg/kg/bwt/d (4000 ppm)) and the C57BL/6JRj mouse (61 mg/kg bwt/d (300 ppm)), reduces body weight gain and food consumption, alters clinical chemistry parameters, increases liver weight and is accompanied by?liver cell hypertrophy, and/or?liver cell necrosis. At low doses, increases liver weight is not associated with any histopathological alterations and is considered to be an adaptive change to treatment[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

REFERENCES

[1]. Tesh SA, et al. Innovative selection approach for a new antifungal agent mefentrifluconazole (Revysol®) and the impact upon its toxicity profile. Regul Toxicol Pharmacol. 2019 Aug;106:152-168.

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