Piroctone olamine

Cat. No.: HY-B1345

CAS No.: 68890-66-4

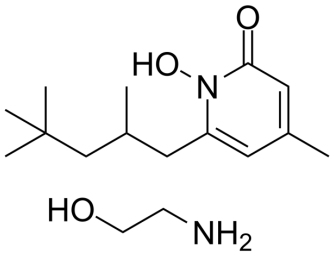
Molecular Formula: $C_{16}H_{30}N_2O_3$

Molecular Weight: 298.42

Target: Fungal

Pathway: Anti-infection

Storage: 4°C, sealed storage, away from moisture
* In solvent : -80°C, 6 months; -20°C, 1 month (sealed storage, away from moisture)



SOLVENT & SOLUBILITY

In Vitro

H_2O : 50 mg/mL (167.55 mM; ultrasonic and adjust pH to 9 with NaOH)
DMSO : 11.11 mg/mL (37.23 mM; Need ultrasonic)

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	3.3510 mL	16.7549 mL	33.5098 mL
5 mM	0.6702 mL	3.3510 mL	6.7020 mL
10 mM	0.3351 mL	1.6755 mL	3.3510 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: ≥ 1.11 mg/mL (3.72 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 1.11 mg/mL (3.72 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 1.11 mg/mL (3.72 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Piroctone olamine is a pyridine derivate. It is known to have a fungicidal effect.

IC_{50} & Target

Antifungal[1]

In Vitro

Piroctone olamine, the ethanolamine salt of the hydroxamic acid derivative Piroctone, is a hydroxypyridone anti-mycotic agent. Piroctone olamine penetrates the cell membrane and forms complexes with iron ions, inhibiting energy metabolism in mitochondria[1]. Piroctone olamine (PO) is an ethanolamine salt of the hydroxamic acid derivative Piroctone. All Candida strains show low minimum inhibitory concentrations (MICs) for Piroctone olamine (0.125-0.5 μg/mL) and Amphotericin B

	(AMB) (0.03-1 μg/mL)[2]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	This work aimed to evaluate the antifungal activity of Piroctone olamine in the treatment of intra-abdominal candidiasis in an experimental model using Swiss mice. The treatment with Piroctone olamine (0.5 mg/kg) is performed 72 h after infection by intraperitoneal administration. For comparison, a group of animals (n=6) is treated with Amphotericin B (0.5 mg/kg). The mycological diagnosis is made by collecting the liver, spleen and kidneys. Data regarding the fungal growth and mortality are analyzed statistically by Student's t test and analysis of variance, with level of significance set at $P<0.05$. The difference in fungal growth scoring between the control group and the treatment groups (Piroctone olamine and Amphotericin B) is statistically significant ($P<0.05$)[2]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

PROTOCOL

Cell Assay [2]	Ten different concentrations are used, ranging from 0.03 to 16 μg/mL of AMB and 0.125 to 64 μg/mL of FLZ. Piroctone olamine is diluted in DMSO to a stock solution concentration of 1600 μg/mL. The concentrations of Piroctone olamine (PO) range from 0.0625 to 32 μg/mL. The plates are incubated at 37°C and readings are taken after 24 and 48 h of incubation. Two control wells, free from other fungi and yeasts, are included in the assay. The readings are made visually for comparison against the growth in control wells. The minimum inhibitory concentration (MIC) is the lowest concentration capable of inhibiting visible growth of the isolates tested against the respective control well. Assays are performed in duplicate[2]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
Animal Administration [2]	Mice[2] The swiss mice (n=6) are infected by intraperitoneal injection of 0.2 mL of C. albicans (10^7cells/ml in saline). The animals are observed daily for clinical signs and mortality for 14 days. The treatment with Piroctone olamine (0.5 mg/kg) is performed 72 h after infection by intraperitoneal administration. For comparison, a group of animals (n=6) is treated with Amphotericin B (0.5 mg/kg). The mycological diagnosis is made by collecting the liver, spleen and kidneys. Data regarding the fungal growth and mortality are analyzed statistically by Student's t test and analysis of variance. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

REFERENCES

[1]. Youn HJ, et al. Efficacy and Safety of Cream Containing Climbazole/Piroctone Olamine for Facial Seborrheic Dermatitis: A Single-Center, Open-Label Split-Face Clinical Study. Ann Dermatol. 2016 Dec;28(6):733-739.

[2]. do Couto FM, et al. Antifungal activity of the piroctone olamine in experimental intra-abdominal candidiasis. Springerplus. 2016 Apr 16;5:468.

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