**Proteins** 

# **Screening Libraries**

## **Product** Data Sheet

# Luliconazole

Cat. No.: HY-14283 CAS No.: 187164-19-8 Molecular Formula:  $C_{14}H_9Cl_2N_3S_2$ Molecular Weight: 354.28

Target: Fungal; Antibiotic Pathway: Anti-infection

Storage:

Powder -20°C 3 years 2 years

> In solvent -80°C 2 years

> > -20°C 1 year

### **SOLVENT & SOLUBILITY**

In Vitro

DMSO: 50 mg/mL (141.13 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent Mass Concentration	1 mg	5 mg	10 mg
	1 mM	2.8226 mL	14.1131 mL	28.2263 mL
	5 mM	0.5645 mL	2.8226 mL	5.6453 mL
	10 mM	0.2823 mL	1.4113 mL	2.8226 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 (7.06 mM); Clear solution
- 2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline) Solubility: ≥ 2.5 mg/mL (7.06 mM); Clear solution
- 3. Add each solvent one by one: 10% DMSO >> 90% corn oil Solubility: ≥ 2.5 mg/mL (7.06 mM); Clear solution

### **BIOLOGICAL ACTIVITY**

Description

Luliconazole (NND 502) is a topical antifungal imidazole antibiotic with broad-spectrum and potent antifungal activity. Luliconazole can be used for the research of skin infection, including dermatophytosis, tinea corporis, tinea pedis et al<sup>[1]</sup>.

In Vitro

The MICs of LLCZ against the organism measured by a standardized microdilution method using RPMI 1640 medium, were  $0.002 \,\mu\text{g/ml}$  for T. mentagrophytes TIMM1189 and  $0.002 \,\mu\text{g/ml}$  for TIMM2789<sup>[1]</sup>.

The minimum inhibitory concentrations (MIC) of Iuliconazole against Trichophyton spp. (T. rubrum, T. mentagrophytes and T. tonsurans) and Candida albicans are measured by the standardized broth microdilution method<sup>[1]</sup>.

Luliconazole demonstrates great potency against Trichophyton spp. (MIC range:  $0.00012-0.002~\mu g/ml$ ) than the reference agents, with T. rubrum being the most susceptible to it (MIC range:  $0.00012-0.00024~\mu g/ml$ ). Luliconazole is against T. mentagrophytes with MIC values ranging  $0.00012-0.002~\mu g/ml$ . Luliconazole is also highly active against Candida albicans (MIC range:  $0.031-0.13~\mu g/ml$ ). Further, the MIC of luliconazole against Malassezia restricta is very low (MIC range:  $0.004-0.016~\mu g/ml$ ).

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

### In Vivo

Luliconazole (subcutaneous injection; 1, 5 and 25 mg/kg/day) is administered from the beginning of organogenesis (gestation day 7) through the end of lactation (lactation day 20). Luliconazole at 25 mg/kg presents maternal toxicity and embryofetal toxicity (increased prenatal pup mortality, reduced live litter sizes and increased postnatal pup mortality). Luliconazole at 5 mg/kg exhibits no embryofetal toxicity. Additionally, at 25 mg/kg/day has no treatment effects on postnatal development in rats<sup>[2]</sup>.

Luliconazole (appliance on skin; 0.02%-1%; 7-14 days) has dose-dependent therapeutic efficacy on skin, it exerts efficacy its even at a concentration of 0.02%, and its efficacy at 0.1% is equal to that of 1% bifonazole creama tinea corporis model (4-and 8-day treatment) and the tinea pedis model (7- and 14-day treatment)<sup>[3]</sup>.

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Animal Model:	Male specific-pathogen-free (SPF) Hartley guinea pig models of tinea corporis and tinea pedis $^{[2]}$	
Dosage:	0.02%-1%	
Administration:	Appliance on skin; 0.02%-1%; 7-14 days	
Result:	Was sufficiently potent for short-term treatment for dermatophytosis in vivo.	

### **REFERENCES**

[1]. Hiroyasu Koga, et al. Short-term therapy with luliconazole, a novel topical antifungal imidazole, in guinea pig models of tinea corporis and tinea pedis. Antimicrob Agents Chemother. 2012 Jun;56(6):3138-43.

[2]. Hiroyasu Koga, et al. In vitro antifungal activities of luliconazole, a new topical imidazole. Med Mycol. 2009;47(6):640-7.

[3]. LUZU (luliconazole) Cream, 1% for topical use

Caution: Product has not been fully validated for medical applications. For research use only.

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