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Zuschläge

- Mindermengenzuschlag
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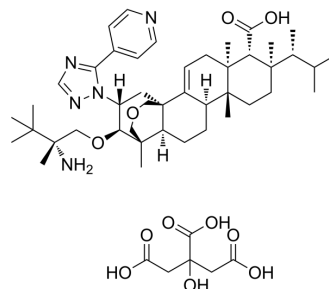
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Ibrefungerp citrate

Cat. No.:	HY-107126A
CAS No.:	1965291-08-0
Molecular Formula:	C ₅₀ H ₇₅ N ₅ O ₁₁
Molecular Weight:	922.16
Target:	Fungal
Pathway:	Anti-infection
Storage:	4°C, protect from light * In solvent : -80°C, 6 months; -20°C, 1 month (protect from light)



SOLVENT & SOLUBILITY

In Vitro	DMSO : 100 mg/mL (108.44 mM; Need ultrasonic)						
	Preparing Stock Solutions	Solvent Concentration	Mass	1 mg	5 mg	10 mg	
				1 mM	1.0844 mL	5.4221 mL	10.8441 mL
				5 mM	0.2169 mL	1.0844 mL	2.1688 mL
				10 mM	0.1084 mL	0.5422 mL	1.0844 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 (2.71 mM); Clear solution						
	2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline) Solubility: ≥ 2.5 mg/mL (2.71 mM); Clear solution						
	3. Add each solvent one by one: 10% DMSO >> 90% corn oil Solubility: ≥ 2.5 mg/mL (2.71 mM); Clear solution						

BIOLOGICAL ACTIVITY

Description	Ibrefungerp citrate (MK 3118 citrate) is an orally active β-1,3-glucan synthesis inhibitor, with potential antifungal activity. Ibrefungerp citrate is an investigational agent for the treatment of Candida and Aspergillus infections ^[1] .
In Vitro	Ibrefungerp citrate (MK 3118 citrate) leads to fungicidal activity against various Candida spp., with a minimum inhibitory concentration (MIC ₅₀) of 0.5 μg/mL ^[2] . MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	Pharmacokinetic Analysis Ibrefungerp citrate (MK 3118 citrate) exhibits oral bioavailability (mouse 51%, rat 45%, dog 35%) following oral

administration (mouse 1 mg/kg, rat 5 mg/kg and dog 5 mg/kg)^[3].

Ibrexafungerp citrate (MK 3118 citrate) exhibits moderate half-lives (mouse 5.5, rat 8.7 and, dog 9.3 h) due to high plasma clearance (0.68, 0.44, and 0.45 L/h/kg respectively) combined with large volumes of distribution (5.3, 4.7, and 4.1 L/kg respectively) following intravenous administration (mouse 1 mg/kg, rat 5 mg/kg and dog 5 mg/kg)^[3].

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

Animal Model:	Female CD1 mice, Male and female Han Wister rats, Male and female beagle dogs ^[3]
Dosage:	Mice (1 mg/kg), rats (5 mg/kg) and dogs (5 mg/kg)
Administration:	Intravenous (i.v.) or oral gavage
Result:	T _{1/2s} of 5.5, 8.7, and 9.3 h for mice, rats, and dogs, respectively.

REFERENCES

[1]. James M Apgar, et al. Ibrexafungerp: An orally active β -1,3-glucan synthesis inhibitor. *Bioorg Med Chem Lett*. 2021 Jan 15;32:127661.

[2]. Mahmoud Ghannoum, et al. Ibrexafungerp: A Novel Oral Triterpenoid Antifungal in Development for the Treatment of *Candida auris* Infections. *Antibiotics (Basel)*. 2020 Aug 25;9(9):539.

[3]. Stephen A Wring, et al. Preclinical Pharmacokinetics and Pharmacodynamic Target of SCY-078, a First-in-Class Orally Active Antifungal Glucan Synthesis Inhibitor, in Murine Models of Disseminated Candidiasis. *Antimicrob Agents Chemother*. 2017 Mar 24;61(4):e02068-16.

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

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