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Lieferung & Zahlungsart

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Zuschläge

- Mindermengenzuschlag
- Trockeneiszuschlag
- Gefahrgutzuschlag
- Expressversand

SZABO-SCANDIC HandelsgmbH

Quellenstraße 110, A-1100 Wien

T. +43(0)1 489 3961-0

F. +43(0)1 489 3961-7

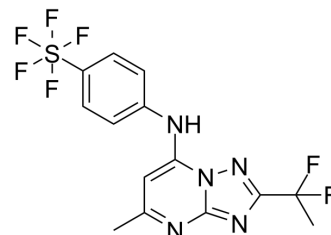
mail@szabo-scandic.com

www.szabo-scandic.com

[linkedin.com/company/szaboscandic](https://www.linkedin.com/company/szaboscandic) 

DSM265

Cat. No.:	HY-100184
CAS No.:	1282041-94-4
Molecular Formula:	C ₁₄ H ₁₂ F ₇ N ₅ S
Molecular Weight:	415.33
Target:	Parasite; Dihydroorotate Dehydrogenase
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 : 50 mg/mL (120.39 mM; Need ultrasonic)				
	Ethanol : 11.11 mg/mL (26.75 mM; Need ultrasonic)				
	Preparing Stock Solutions	Solvent Concentration Mass	1 mg	5 mg	10 mg
		1 mM	2.4077 mL	12.0386 mL	24.0772 mL
		5 mM	0.4815 mL	2.4077 mL	4.8154 mL
		10 mM	0.2408 mL	1.2039 mL	2.4077 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: ≥ 2.75 mg/mL (6.62 mM); Clear solution				
	2. Add each solvent one by one: 10% DMSO >> 90% corn oil Solubility: ≥ 2.75 mg/mL (6.62 mM); Clear solution				

BIOLOGICAL ACTIVITY

Description	DSM265 is a long-duration inhibitor of <i>P. falciparum</i> dihydroorotate dehydrogenase (<i>Pf</i> DHODH) with an IC ₅₀ of 8.9 nM. DSM265 can also inhibit the growth of <i>Pf</i> 3D7 parasites with an EC ₅₀ of 4.3 nM ^{[1][2]} .
IC ₅₀ & Target	IC ₅₀ : 8.9 nM (<i>Pf</i> DHODH) ^[1] EC ₅₀ : 4.3 nM (<i>P. falciparum</i> 3D7 parasites) ^[1]
In Vivo	DSM265 (0.5 to 75 mg/kg; Oral administration; twice daily; for 4 days; NOD-scid IL-2R γ null (NSG) mice) has potent in vivo antimalarial activity with 90% effective dose (ED ₉₀) of 3 mg/kg per day (1.5 mg/kg twice daily). The maximum rate of parasite killing occurred at and above a dose of 13 mg/kg per day (6.4 mg/kg twice daily).

DSM265 has moderate terminal elimination half-life ($t_{1/2}$) of 2-4 hours for mice (0.5 to 75 mg/kg, oral) [2].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	NOD-scid IL-2R γ null (NSG) mice (23-36 g) ^[2]
Dosage:	0.5 to 75 mg/kg
Administration:	Oral administration; twice daily; for 4 days
Result:	Had potent antimalarial activity with 90% effective dose (ED ₉₀) of 3 mg/kg per day (1.5 mg/kg twice daily).

REFERENCES

- [1]. Kokkonda S, et al. Tetrahydro-2-naphthyl and 2-Indanyl Triazolopyrimidines Targeting Plasmodium falciparum Dihydroorotate Dehydrogenase Display Potent and Selective Antimalarial Activity. J Med Chem. 2016 Jun 9;59(11):5416-31.
- [2]. Phillips MA, et al. A long-duration dihydroorotate dehydrogenase inhibitor (DSM265) for prevention and treatment of malaria. Sci Transl Med. 2015 Jul 15;7(296):296ra111.

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

Tel: 609-228-6898

Fax: 609-228-5909

E-mail: tech@MedChemExpress.com

Address: 1 Deer Park Dr, Suite Q, Monmouth Junction, NJ 08852, USA