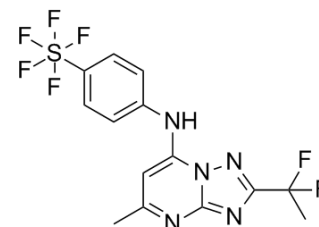


DSM265

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:	Dihydroorotate Dehydrogenase; Parasite		
Pathway:	Metabolic Enzyme/Protease; Anti-infection		
Storage:	Powder	-20°C	3 years
		4°C	2 years
	In solvent	-80°C	6 months
		-20°C	1 month



SOLVENT & SOLUBILITY

In Vitro

DMSO : 50 mg/mL (120.39 mM; Need ultrasonic)
H₂O : < 0.1 mg/mL (insoluble)

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

- 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
- 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 *P. falciparum* dihydroorotate dehydrogenase (PfDHODH) with an IC₅₀ of 8.9 nM. DSM265 can also inhibit the growth of Pf3D7 parasites with an EC₅₀ of 4.3 nM^{[1][2]}.

IC₅₀ & Target

IC₅₀: 8.9 nM (PfDHODH)^[1]
EC₅₀: 4.3 nM (*P. falciparum* 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 (ED₉₀) 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.

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