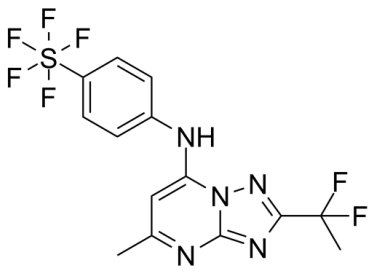
Data Sheet

Product Name:	DSM265
Cat. No.:	CS-0018179
CAS No.:	1282041-94-4
Molecular Formula:	C14H12F7N5S
Molecular Weight:	415.33
Target:	Parasite
Pathway:	Anti-infection
Solubility:	H2O : < 0.1 mg/mL (insoluble); DMSO : 50 mg/mL (120.39 mM; Need ultrasonic)



BIOLOGICAL ACTIVITY:

DSM265 is a long-duration inhibitor of P. falciparum dihydroorotate dehydrogenase (**PfDHODH**) with an **IC_{50}** of 8.9 nM. DSM265 can also inhibit the growth of **Pf3D7** parasites with an **EC_{50}** 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-2Rγnull (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].

References:

[1]. Kokkonda S, et al. Tetrahydro-2-naphthyl and 2-Indanyl Triazolopyrimidines Targeting Plasmodium falciparumDihydroorotate 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.

CAIndexNames:

Sulfur, [4-[[2-(1,1-difluoroethyl)-5-methyl[1,2,4]triazolo[1,5-a]pyrimidin-7-yl]amino]phenyl]pentafluoro-, (OC-6-21)-

SMILES:

CC1=NC2=NC(C(C)(F)F)=NN2C(NC3=CC=C(S(F)(F)(F)(F)F)C=C3)=C1

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

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