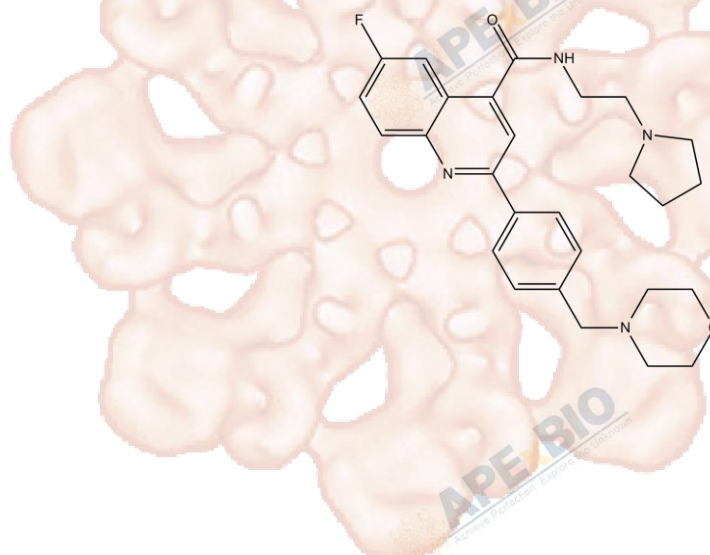


Product Data Sheet

DDD107498

Cat. No.:	A8711
CAS No.:	1469439-69-7
Formula:	C27H31FN4O2
M.Wt:	462.56
Synonyms:	
Target:	Microbiology & Virology
Pathway:	Antimalaria
Storage:	Store at -20°C



Solvent & Solubility

insoluble in H₂O; ≥ 14.05 mg/mL in DMSO; ≥ 96 mg/mL in EtOH

In Vitro

Preparing Stock Solutions	Solvent	Mass		
		1mg	5mg	10mg
	Concentration			
	1 mM	2.1619 mL	10.8094 mL	21.6188 mL
	5 mM	0.4324 mL	2.1619 mL	4.3238 mL
	10 mM	0.2162 mL	1.0809 mL	2.1619 mL

Please refer to the solubility information to select the appropriate solvent.

Biological Activity

Shortsummary

A novel multiple-stage antimalarial agent

IC₅₀ & Target

In Vitro

Cell Viability Assay

Preparation method: This compound is soluble in DMSO. General tips for obtaining a higher concentration: Please warm the tube at 37 °C for 10 minutes and/or shake it in the ultrasonic bath for a while. Stock solution can be stored below - 20 °C for several months.

Reacting conditions: 0.0001 ~ 10 μ M

Applications: DDD107498 showed excellent inhibition against 3D7 parasites, with the EC50

	value of 1.0 nM. It also exhibited similar inhibition against several drug-resistant strains. Besides, DDD107498 was more potent than artesunate against a range of clinical isolates of both <i>P. falciparum</i> and <i>P. vivax</i> with the EC50 values of 0.81 nM and 0.51 nM respectively. In addition, the compound was non-toxic to human MRC5 and Hep-G2 cells at much higher concentrations.	
In Vivo	Animal experiment	
	Animal models:	NOD-scid IL-2R _{null} mice engrafted with human erythrocytes and infected with <i>P. falciparum</i> strain 3D70087/N9
	Dosage form:	0.1, 0.3, 0.6, 1 or 3 mg/kg/day; p.o.; for 4 days
	Applications:	In NOD-scid IL-2R _{null} mice engrafted with human erythrocytes and infected with <i>P. falciparum</i> strain 3D70087/N9, which were orally dosed daily for 4 days, the ED90 value on day 7 after infection was 0.95 mg/kg/day. Blood sampling from the infected SCID mice suggested the minimum parasitocidal concentration for DDD107498 was 10 ~ 13 ng/mL for asexual blood-stage infections.
	Other notes:	Please test the solubility of all compounds indoor, and the actual solubility may slightly differ with the theoretical value. This is caused by an experimental system error and it is normal.

Product Citations

1. Christine Moore Sheridan."Probing Translational Regulation by the Malaria Parasite Plasmodium falciparum: Applying a Novel In Vitro Assay to Identify Genetic Determinants of Regulation and Identify Small Molecules Exploiting *P. falciparum* Translation as a Drug Target."University of California.2019.
2. Christine Moore Sheridan, Valentina E. Garcia, et al. "The Plasmodium falciparum cytoplasmic translation apparatus: a promising therapeutic target not yet exploited by clinically approved antimalarials." bioRxiv. 2018 September 12.

See more customer validations on www.apexbt.com.

References

- [1]. Baragaa B, Hallyburton I, Lee MC et al. A novel multiple-stage antimalarial agent that inhibits protein synthesis. Nature. 2015 Jun 18;522(7556):315-20.

Caution

FOR RESEARCH PURPOSES ONLY.

NOT FOR HUMAN, VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

Specific storage and handling information for each product is indicated on the product datasheet. Most APEX[®]BIO products are stable under the recommended conditions. Products are sometimes shipped at a temperature that differs from the recommended storage

temperature. Shortterm storage of many products are stable in the short-term at temperatures that differ from that required for long-term storage. We ensure that the product is shipped under conditions that will maintain the quality of the reagents. Upon receipt of the product, follow the storage recommendations on the product data sheet.



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