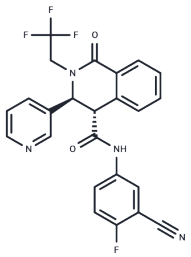
Data Sheet (Cat.No.T17313)

TargetMol

(+)-SJ733

Chemical Properties



CAS No. : 1424799-20-1

Formula: C24H16F4N4O2

Molecular Weight: 468.4

Storage: Store at low temperature
Powder: -20°C for 3 years | In solvent: -80°C for 1 year
Actual storage temperature shall be subject to the COA.

Biological Description

Description	(+)-SJ733 (SJ000557733) is a potent Na+-ATPase PfATP4 inhibitor with antimalarial activity for the study of malaria.
Targets(IC50)	ATPase,Parasite
In vitro	(+)-SJ733 has not exhibited any significant safety risks at any dose in extensive in vitro profiling, and in any study, there have been no notable safety or tolerability issues (no observed adverse effect level and maximum tolerated dose >240 mg/kg from a 7-day repeat dosing study in rats). Therefore, it is anticipated that (+)-SJ733 has a safety margin of at least 43-fold.[1].
In vivo	(+)-SJ733 is an efficacious and safe orally active drug candidate. (+)-SJ733 is efficacious against P. falciparum 3D70087/N9 in the nonobese diabetic Scid interleukin-2 receptor γ chain null (NSG) mouse model with the (+)-enantiomer exhibiting excellent potency (1.9 mg/kg); similar to pyrimethamine (ED90 0.9 mg/kg) and superior to chloroquine (ED90 4.3 mg/kg) in this model. (+)-SJ733 achieves this efficacy from exposure (AUCED90 1.5 μMh) similar to that of chloroquine (AUCED90 3.1 μMh) and superior to that of pyrimethamine (AUCED90 5.2 μMh) in the same model.(+)-SJ733 exhibits excellent exposure after oral administration in mouse, rat, and dog. In rodents, (+)-SJ733 reaches peak plasma concentrations of 5 μM within 1 h after 20–25 mg/kg doses and >20 μM in dogs following a 30 mg/kg oral dose.(+)-SJ733 exhibits no significant toxicology at doses up to 200 mg/kg in rats with an exposure (AUC) 43-fold higher than that required to produce the maximum parasitological response (fastest rate of killing) and 220-fold that required to produce the ED90 in the mouse, indicating the potential for an excellent therapeutic ratio[1].

Solubility Information

Solubility	DMSO: 30 mg/mL (64.05 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)
In vivo Formulation	10% DMSO+40% PEG300+5% Tween 80+45% Saline: 2 mg/mL (4.27 mM),Sonication is recommended. *Please add the solvents sequentially, clarifying the solution as much as possible before adding the next one.*

In vivo Formulation	*Dissolve by heating and/or sonication if necessary. Working solution is recommended to be prepared and used immediately. The formulation provided above is for reference purposes only. In vivo formulations may vary and should be modified based on specific experimental conditions.*

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.1349 mL	10.6746 mL	21.3493 mL
5 mM	0.427 mL	2.1349 mL	4.2699 mL
10 mM	0.2135 mL	1.0675 mL	2.1349 mL
50 mM	0.0427 mL	0.2135 mL	0.427 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Note: The dilution table applies only to solid products. For liquid products, please calculate the stock solution based on the stated concentration and/or density.

Reference

Jimenez-Diaz MB, et al. (+)-SJ733, a clinical candidate for malaria that acts through ATP4 to induce rapid host-mediated clearance of Plasmodium. Proc Natl Acad Sci U S A. 2014 Dec 16;111(50):E5455-62.