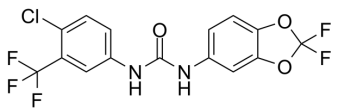
PK150

Cat. No.:	HY-133119
CAS No.:	2165324-62-7
Molecular Formula:	$C_{15}H_8ClF_5N_2O_3$
Molecular Weight:	394.68
Target:	Bacterial
Pathway:	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 : 250 mg/mL (633.42 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.5337 mL	12.6685 mL	25.3370 mL
	5 mM	0.5067 mL	2.5337 mL	5.0674 mL
	10 mM	0.2534 mL	1.2668 mL	2.5337 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: ≥ 2.08 mg/mL (5.27 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.08 mg/mL (5.27 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

PK150, an analogue of Sorafenib, shows oral bioavailability and antibacterial activity against several pathogenic strains at submicromolar concentrations. PK150 inhibits Gram-positive Methicillin-sensitive S. aureus (MSSA), Methicillin-resistant S. aureus (MRSA), Vancomycin intermediate S. aureus (VISA) with MICs of 0.3, 0.3-1, 0.3 μM, respectively[1].

IC_{50} & Target

MIC: 0.3 μM (MSSA), 0.3-1 μM (MRSA), 0.3 μM (VISA)[1]

In Vivo

The in vivo efficacy of PK150 against methicillin-sensitive S. aureus (MSSA) (strain SH1000) is demonstrated in a murine bloodstream infection model. PK150 (20 mg/kg; p.o.) significantly reduces bacterial loads in the liver and heart[1].
PK150 (10 and 20mg/kg orally; or 10mg/kg intravenously) shows no obvious signs of toxicity in mice. Higher i.v. dosing of 20mg/kg results in severe toxic effects and is thus avoided for subsequent therapeutic models[1].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Pathogen-free 9-week old female C57BL/6J mice[1]
Dosage:	20 mg/kg
Administration:	Administered p.o.
Result:	Bacterial loads in the liver and heart were both significantly reduced by approximately 100-fold.

Animal Model:	Outbred male CD-1 mice, 4 weeks old[1]
Dosage:	10 and 20 mg/kg (Pharmacokinetic Analysis)
Administration:	Administered by intragastric gavage at 10 and 20 mg/kg or intravenously at 10 mg/kg
Result:	Oral bioavailability was approximately 63% and the mean residence time was slightly enhanced via this administration route. $T_{1/2}$=11.69±1.5, 9.67±0.2, and 9.37±0.5 hours for 10 mg/kg i.v., 10 mg/kg p.o., and 20 mg/kg p.o., respectively.

REFERENCES

[1]. Le P, et al. Repurposing human kinase inhibitors to create an antibiotic active against drug-resistant Staphylococcus aureus, persisters and biofilms. Nat Chem. 2019 Dec 16.

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