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Produktinformation

- Forschungsprodukte & Biochemikalien
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- Diagnostik & molekulare Diagnostik
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Lieferung & Zahlungsart

siehe unsere Liefer- und Versandbedingungen

Zuschläge

- Mindermengenzuschlag
- Trockeneiszuschlag
- Gefahrgutzuschlag
- Expressversand

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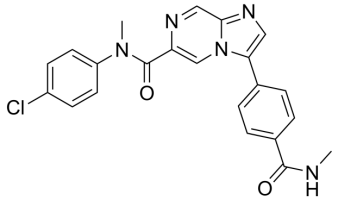
KDU691

Cat. No.:	HY-12912
CAS No.:	1513879-19-0
Molecular Formula:	$C_{22}H_{18}ClN_5O_2$
Molecular Weight:	419.86
Target:	PI4K; Parasite
Pathway:	PI3K/Akt/mTOR; Anti-infection
Storage:	Powder -20°C 3 years 4°C 2 years In solvent -80°C 2 years -20°C 1 year



SOLVENT & SOLUBILITY

In Vitro

DMSO : 150 mg/mL (357.26 mM; Need ultrasonic and warming)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.3817 mL	11.9087 mL	23.8175 mL
	5 mM	0.4763 mL	2.3817 mL	4.7635 mL
	10 mM	0.2382 mL	1.1909 mL	2.3817 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: ≥ 2.5 mg/mL (5.95 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (5.95 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (5.95 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

KDU691, an imidazopyrazine with potent anti-parasitic activity against blood stage schizonts, gametocytes and liver stages, is a ***Plasmodium*** PI4K inhibitor. KDU691 selectively inhibits dihydroartemisinin-pretreated Plasmodium falciparum ring-stage parasites[1].

IC_{50} & Target

Plasmodium

In Vivo

During the 5 days of dosing, no major weight changes are observed in the animals that receive KDU691 as prophylactic

treatment (group 691-proph). From the fourth day of dosing, the animals that are treated with KDU691 show a transient yellow skin color. The KDU691 radical-cure group (group 691-RC) becomes blood-stage positive again at 31.8 days p.i. (range, 31 to 32 days). Clinical chemistry analysis of the group 691-RC monkeys reveals that bilirubin levels accumulate during the 5-day radical-cure treatment with KDU691[2].

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

PROTOCOL

Animal Administration [1]

For in vivo PK studies, female CD-1 mice (25 to 30g) are used and randomly assigned to cages. Mice are allowed to acclimate before initiation of the experiments. Feed and water are given ad libitum. KDU691 is formulated at concentrations of 2.5 mg/mL and 0.25 mg/mL for a dose of 25 mg/kg and 2.5 mg/kg, respectively. The suspension formulation for p.o. dosing contains 0.5% Methyl cellulose and 0.5% Tween 80 in water. After oral dosing, blood and liver samples from mice are collected at 0.08 to 24 h post dosing. Groups of three mice are used for each time point. Blood is centrifuged at 13,000 rpm for 7 min at 4°C, plasma harvested and stored at -20°C until analysis. Liver tissue samples are excised, dipped in PBS, gently blotted with absorbent paper, dried, weighed and stored at -20°C until further analysis[1].

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

REFERENCES

[1]. Dembele L, et al. The Plasmodium PI(4)K inhibitor KDU691 selectively inhibits dihydroartemisinin-pretreated Plasmodium falciparum ring-stage parasites. Sci Rep. 2017;7(1):2325. Published 2017 May 24.

[2]. Zeeman AM, et al. PI4 Kinase Is a Prophylactic but Not Radical Curative Target in Plasmodium vivax-Type Malaria Parasites. Antimicrob Agents Chemother. 2016;60(5):2858-2863. Published 2016 Apr 22.

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