GSK199

Cat. No.: HY-103058

CAS No.: 1549811-53-1

Molecular Formula: $C_{24}H_{29}ClN_6O_2$

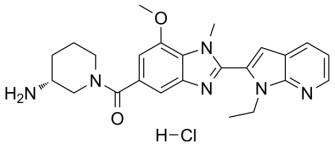
Molecular Weight: 468.98

Target: Protein Arginine Deiminase

Pathway: Epigenetics

Storage: 4°C, sealed storage, away from moisture

* In solvent : -80°C, 6 months; -20°C, 1 month (sealed storage, away from moisture)



SOLVENT & SOLUBILITY

In Vitro

DMSO : 125 mg/mL (266.54 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.1323 mL	10.6614 mL	21.3229 mL
	5 mM	0.4265 mL	2.1323 mL	4.2646 mL
	10 mM	0.2132 mL	1.0661 mL	2.1323 mL

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

BIOLOGICAL ACTIVITY

Description

GSK199 is an orally active, reversible, and selective PAD4 inhibitor with an IC_{50} of 200 nM in the absence of calcium. GSK199 can be used for the research of rheumatoid arthritis[1].

In Vitro

GSK199 (0-20 μM, 72 h) inhibits viral genome production in a dose-dependent manner (IC_{50} = 0.6 μM) in OC43-infected MRC-5 cells[2].

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

In Vivo

Pharmacokinetic Analysis in Male Balb/C Mice[3]

Route	Dose (mg/kg)	$AUC_{0-\infty}$ (ng·h/mL)	$t_{1/2}$ (h)	C_{max} (ng/mL)	F (%)
p.o.	10	4192	1.48	1.73	63.6
i.v.	2	1342	1.58	/	/

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

REFERENCES

[1]. Lewis HD, et al. Inhibition of PAD4 activity is sufficient to disrupt mouse and human NET formation. Nat Chem Biol. 2015 Mar;11(3):189-91.

[2]. Pasquero S, et al. Novel antiviral activity of PAD inhibitors against human beta-coronaviruses HCoV-OC43 and SARS-CoV-2. Antiviral Res. 2022 Apr;200:105278.

[3]. Hallur G, et al. LC-ESI-MS/MS Determination of GSK-199, A Novel Reversible PAD4 Inhibitor in Mice Plasma and its Application to a Pharmacokinetic Study in Mice. Pharm Anal Chem. 2017, 3(124): 40-1.

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