PERK-IN-5

Cat. No.: HY-145835

CAS No.: 2616821-91-9

Molecular Formula: $C_{25}H_{26}F_2N_4O_3$

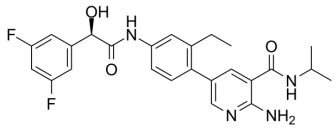
Molecular Weight: 468.5

Target: PERK

Pathway: Cell Cycle/DNA Damage

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 (533.62 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.1345 mL	10.6724 mL	21.3447 mL
	5 mM	0.4269 mL	2.1345 mL	4.2689 mL
	10 mM	0.2134 mL	1.0672 mL	2.1345 mL

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

BIOLOGICAL ACTIVITY

Description

PERK-IN-5 is a highly potent, selectively and orally bioavailable PERK inhibitor (IC_{50}s of 2 and 9 nM for PERK and p-eIF2α, respectively). PERK-IN-5 can significantly inhibit tumor growth in the 786-O renal cell carcinoma xenograft tumor model[1].

IC_{50} & Target

IC_{50}: 2 nM (PERK), 9 nM (p-eIF2α)[1]

In Vitro

PERK-IN-5 (compound 28) (10-48 μM) is relatively stable in both human and dog hepatocytes and is characterized with long half-lives[1].

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

In Vivo

PERK-IN-5 (3-100 mg/kg; p.o.; 0.25-24 hours) has robust pharmacokinetics in CD1 mice, with C_{max} of 3353 ng/mL, $AUC_{0\text{-last}}$ of 5153 h*ng/mL, and bioavailability of 70%[1].

PERK-IN-5 (3 or 10 mg/kg; p.o.; twice daily, for 28 days) has statistically significant tumor growth inhibition[1].

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

Animal Model:	Female CD1 mice[1] (Pharmacokinetics)
Dosage:	3, 10, 30 and 100 mg/kg
Administration:	p.o.; 0.25-24 hours
Result:	Showed robust pharmacokinetics with C_{max} of 3353 ng/mL, $AUC_{0\text{-}last}$ of 5153 h*ng/mL, and bioavailability of 70%.

Animal Model:	BALB/c nude female mice (inoculated subcutaneously with 786-O tumor cells)[1]
Dosage:	3 or 10 mg/kg
Administration:	p.o.; twice daily, for 28 days
Result:	Showed statistically significant tumor growth inhibition.

REFERENCES

[1]. Calvo V, et al. Discovery of 2-amino-3-amido-5-aryl-pyridines as highly potent, orally bioavailable, and efficacious PERK kinase inhibitors. Bioorg Med Chem Lett. 2021;43:128058.

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