

Product Data Sheet

Product Name: PERK-IN-5

Cat. No.: GC64866

Chemical Properties

Cas. No. 2616821-91-9

Formula C₂₅H₂₆F₂N₄O₃

M.Wt 468.5

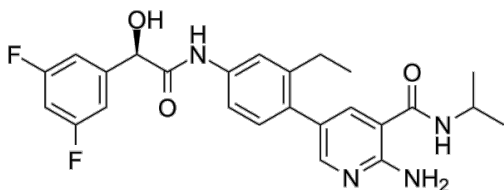
Solubility DMSO : 250 mg/mL (533.62 mM;
Need ultrasonic)

Storage Store at -20°C

General tips For obtaining a higher solubility , please warm the tube at 37 °C and shake it in the ultrasonic bath for a while. Stock solution can be stored below -20°C for several months.

Shipping Condition Evaluation sample solution : ship with blue ice All other available size: ship with RT , or blue ice upon request.

Structure



Background

PERK-IN-5 is a highly potent, selectively and orally bioavailable PERK inhibitor (IC₅₀s of 2 and 9 nM for PERK and p-eIF2α, respectively). PERK-IN-5 can significantly inhibit tumor growth in the 786-O

Caution: Product has not been fully validated for medical applications. For research use only.

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renal cell carcinoma xenograft tumor model[1].

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

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-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].

[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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