HPK1-IN-2 dihydrochloride

Cat. No.: HY-132150A

CAS No.: 2375595-72-3

Molecular Formula: $C_{19}H_{22}Cl_2N_6OS$

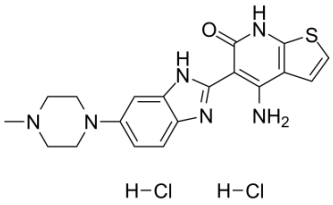
Molecular Weight: 453.39

Target: MAP4K; FLT3; Src

Pathway: MAPK/ERK Pathway; Protein Tyrosine Kinase/RTK

Storage: 4°C, protect from light

* In solvent : -80°C, 6 months; -20°C, 1 month (protect from light)



SOLVENT & SOLUBILITY

In Vitro

H_2O : 25 mg/mL (55.14 mM; Need ultrasonic)

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	2.2056 mL	11.0280 mL	22.0561 mL
5 mM	0.4411 mL	2.2056 mL	4.4112 mL
10 mM	0.2206 mL	1.1028 mL	2.2056 mL

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

BIOLOGICAL ACTIVITY

Description

HPK1-IN-2 dihydrochloride is a potent and orally active hematopoietic progenitor kinase-1 (HPK1) inhibitor (IC_{50}<0.05 μM) with antitumor activity. HPK1-IN-2 dihydrochloride also inhibits Lck (0.05 μM<IC_{50}<0.5 μM) and Flt3 (IC_{50}<0.05 μM) kinase activities[1].

IC_{50} & Target

IC50: <0.05 μM (HPK1); <0.05 μM (Flt3); 0.05-0.5 μM (Lck)[1]

In Vitro

In a-CD3 stimulated Jurkat E6. 1 cells, HPK1-IN-2 (example A1) inhibits SLP76 serine 376 phosphorylation and ERK1/2 T202/Y204 phosphorylation with IC_{50} values of 0.3-1 μM and >3μM, respectively[1].

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

In Vivo

HPK1-IN-2 (example A1; 75-150 mg/kg; oral gavage; daily; for 21 days) treatment shows a dose-dependently tumor growth inhibition, with 75 mg/kg and 150 mg/kg QD inhibiting tumour growth by 44% and 64%, respectively[1].

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

Animal Model:	Female BALB/c mice (6-8week old) injected with CT26 cancer cells[1]

Dosage:	75 mg/kg and 150 mg/kg
Administration:	Oral gavage; daily; for 21 days
Result:	Showed a dose-dependently tumor growth inhibition.

REFERENCES

[1]. Peter Brent Sampson, et al. Hpk1 inhibitors and methods of using same. WO2016205942A1.

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