(1E)-CFI-400437 dihydrochloride

Cat. No.: HY-132135

CAS No.: 1247000-76-5

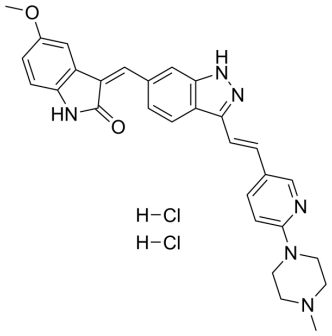
Molecular Formula: $C_{29}H_{30}Cl_2N_6O_2$

Molecular Weight: 565.49

Target: Polo-like Kinase (PLK)

Pathway: Cell Cycle/DNA Damage

Storage: 4°C, sealed storage, away from moisture and light
* In solvent : -80°C, 6 months; -20°C, 1 month (sealed storage, away from moisture and light)



SOLVENT & SOLUBILITY

In Vitro

DMSO : 12.5 mg/mL (22.10 mM; Need ultrasonic)
H_2O : 1 mg/mL (1.77 mM; ultrasonic and warming and heat to 60°C)

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	1.7684 mL	8.8419 mL	17.6838 mL
5 mM	0.3537 mL	1.7684 mL	3.5368 mL
10 mM	0.1768 mL	0.8842 mL	1.7684 mL

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

BIOLOGICAL ACTIVITY

Description

(1E)-CFI-400437 dihydrochloride is a potent PLK4 (IC_{50}= 0.6 nM) inhibitor and selective against other members of the PLK family (>10 μM). (1E)-CFI-400437 dihydrochloride inhibits Aurora A, Aurora B, KDR and FLT-3 with IC_{50}s of 0.37, 0.21, 0.48, and 0.18 μM, respectively. Antiproliferative activity[1].

IC_{50} & Target

PLK4
0.6 nM (IC_{50})

In Vivo

(1E)-CFI-400437 (25 mg/kg; intraperitoneal injection; daily for 21 days) dihydrochloride shows effective in a mouse xenograft model of tumor growth[1].
The plasma levels of (1E)-CFI-400437 (50 mg/kg; IP; mice) dihydrochloride shows a Cmax of 92 ng/mL and AUC of 190 ng•h/mL, respectively. The mouse plasma protein binding measurement for (1E)-CFI-400437 is 99%, i.e., unbound compound in plasma is 1%[1].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	6-8 week old female CB-17 SCID mice (MDA-MB-468 mouse xenograft model)[1]
Dosage:	25 mg/kg
Administration:	Intraperitoneal injection; daily for 21 days
Result:	Effective in a mouse xenograft model of tumor growth.In this study, (1E)-CFI-400437 is weighed and suspended in PEG400:water (30:70) and sonicated at rt for 30 min. The compound is dispensed in aliquots and stored at −20 C° for the duration of the study, and each aliquot was thawed at room temperature before each dose.

REFERENCES

[1]. Laufer R, et al. The discovery of PLK4 inhibitors: (E)-3-((1H-Indazol-6-yl)methylene)indolin-2-ones as novel antiproliferative agents. J Med Chem. 2013;56(15):6069-6087.

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