CP-547632 hydrochloride

Cat. No.: HY-13302B

CAS No.: 252003-71-7

Molecular Formula: $C_{20}H_{25}BrClF_2N_5O_3S$

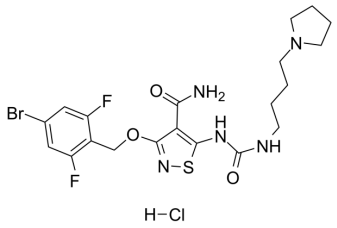
Molecular Weight: 568.86

Target: VEGFR; FGFR

Pathway: Protein Tyrosine Kinase/RTK

Storage: 4°C, stored under nitrogen

* In solvent : -80°C, 6 months; -20°C, 1 month (stored under nitrogen)



SOLVENT & SOLUBILITY

In Vitro

DMSO : 33.33 mg/mL (58.59 mM; ultrasonic and warming and heat to 60°C)

H_2O : < 0.1 mg/mL (insoluble)

Preparing Stock Solutions	Mass / Solvent / Concentration	1 mg	5 mg	10 mg
	1 mM	1.7579 mL	8.7895 mL	17.5790 mL
	5 mM	0.3516 mL	1.7579 mL	3.5158 mL
	10 mM	0.1758 mL	0.8790 mL	1.7579 mL

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

In Vivo

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.5 mg/mL (4.39 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (4.39 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (4.39 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

CP-547632 hydrochloride is an orally active, ATP-competitive and potent VEGFR-2 and FGF kinases inhibitor with IC_{50}s of 11 nM and 9 nM, respectively. CP-547632 hydrochloride is selective for VEGFR2 and bFGF over EGFR, PDGFRβ, and related tyrosine kinases (TKs). CP-547632 hydrochloride has antitumor efficacy[1].

IC_{50} & Target

VEGFR-2	FGFR
11 nM (IC_{50})	9 nM (IC_{50})

In Vitro

CP-547632 hydrochloride (1-1000 nM; 1 hours) inhibits VEGF-stimulated VEGFR-2 phosphorylation in a dose-dependent

fashion, with an IC_{50} value of 6 nM[1].

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

Western Blot Analysis[1]

Cell Line:	Serum-deprived cells
Concentration:	1, 4, 16, 63, 250, 1000 nM
Incubation Time:	1 hours
Result:	Inhibited VEGF-stimulated VEGFR-2 phosphorylation in a dose-dependent fashion.

In Vivo

CP-547632 hydrochloride (p.o.; 6.25-100 mg/kg/day; for 10-24 days) causes a dose-dependent inhibition of growth in Colo-205, DLD-1, and MDA-MB-231 xenografts[1].

CP-547632 hydrochloride (oral; 50 mg/kg; a single oral dose) yieldes plasma concentrations above 500 ng/ml for 12 hours[1].

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

Animal Model:	Mice bearing tumors (75-150 mm in size)[1]
Dosage:	6.25, 12.5, 25, 50, 100 mg/kg
Administration:	P.o.; daily; 10-24 days
Result:	Caused a dose-dependent inhibition of growth in Colo-205, DLD-1, and MDA-MB-231 xenografts.

Animal Model:	H-ras tumor-bearing mice[1]
Dosage:	50 mg/kg
Administration:	Oral
Result:	A single oral dose of 50 mg/kg yielded plasma concentrations above 500 ng/ml for 12 hours.

REFERENCES

[1]. Beebe JS, et al. Pharmacological characterization of CP-547,632, a novel vascular endothelial growth factor receptor-2 tyrosine kinase inhibitor for cancer therapy. Cancer Res. 2003 Nov 1;63(21):7301-9.

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