CP-547632

Cat. No.: HY-13302

CAS No.: 252003-65-9

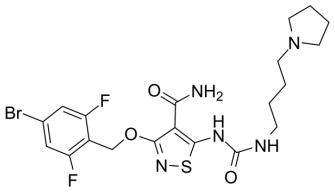
Molecular Formula: $C_{20}H_{24}BrF_2N_5O_3S$

Molecular Weight: 532.4

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 : 100 mg/mL (187.83 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	1.8783 mL	9.3914 mL	18.7829 mL
	5 mM	0.3757 mL	1.8783 mL	3.7566 mL
	10 mM	0.1878 mL	0.9391 mL	1.8783 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: 4 mg/mL (7.51 mM); Clear solution; Need ultrasonic
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: 4 mg/mL (7.51 mM); Suspended solution; Need ultrasonic
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 4 mg/mL (7.51 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

CP-547632 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 is selective for VEGFR2 and bFGF over EGFR, PDGFRβ, and related tyrosine kinases (TKs). CP-547632 has antitumor efficacy[1].

IC_{50} & Target

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

In Vitro

CP-547632 (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 (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 (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:	Athymic female mice (CD-1 nu/nu) bearing tumors (75-150 mm in size)[1]
Dosage:	6.25, 12.5, 25, 50, 100 mg/kg
Administration:	PO; daily; 10-24 days
Result:	Caused a dose-dependent inhibition of growth in Colo-205, DLD-1, and MDA-MB-231 xenografts.

Animal Model:	Female athymic mice bearing H-Ras tumor[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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