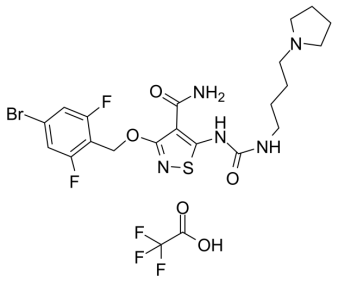
CP-547632 TFA

Cat. No.:	HY-13302C
CAS No.:	2805804-54-8
Molecular Formula:	$C_{22}H_{25}BrF_5N_5O_5S$
Molecular Weight:	646.43
Target:	VEGFR; FGFR
Pathway:	Protein Tyrosine Kinase/RTK
Storage:	4°C, stored under nitrogen, away from moisture * In solvent : -80°C, 6 months; -20°C, 1 month (stored under nitrogen, away from moisture)



SOLVENT & SOLUBILITY

In Vitro

DMSO : 150 mg/mL (232.04 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	1.5470 mL	7.7348 mL	15.4696 mL
	5 mM	0.3094 mL	1.5470 mL	3.0939 mL
	10 mM	0.1547 mL	0.7735 mL	1.5470 mL

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

BIOLOGICAL ACTIVITY

Description

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

IC_{50} & Target

VEGFR2	FGFR
11 nM (IC_{50})	9 nM (IC_{50})

In Vitro

CP-547632 TFA (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 TFA (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 TFA (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.

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

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