Ningetinib

Cat. No.: HY-107145A

CAS No.: 1394820-69-9

Molecular Formula: $C_{31}H_{29}FN_4O_5$

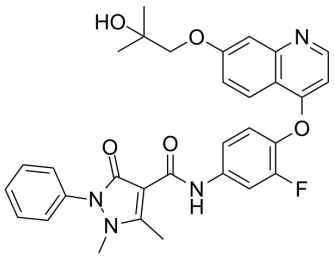
Molecular Weight: 556.58

Target: TAM Receptor; VEGFR; c-Met/HGFR

Pathway: Protein Tyrosine Kinase/RTK

Storage:

Powder	-20°C	3 years
	4°C	2 years
In solvent	-80°C	2 years
	-20°C	1 year



SOLVENT & SOLUBILITY

In Vitro

DMSO : 12.5 mg/mL (22.46 mM; ultrasonic and warming and heat to 60°C)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	1.7967 mL	8.9834 mL	17.9669 mL
	5 mM	0.3593 mL	1.7967 mL	3.5934 mL
	10 mM	0.1797 mL	0.8983 mL	1.7967 mL

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

BIOLOGICAL ACTIVITY

Description

Ningetinib is a potent, orally bioavailable small molecule tyrosine kinase inhibitor (TKI) with IC_{50}s of 6.7, 1.9 and <1.0 nM for c-Met, VEGFR2 and Axl, respectively.

IC_{50} & Target

VEGFR2
1.9 nM (IC_{50})

In Vitro

Ningetinib is a potent, orally bioavailable small molecule tyrosine kinase inhibitor (TKI) with IC_{50}s of 6.7, 1.9 and <1.0 nM for c-Met, VEGFR2 and Axl, respectively. In cell-based functional assays, Ningetinib (CT053PTSA) inhibits HGF and VEGF-stimulated HUVEC proliferation and microvascular angiogenesis in rat aortic rings with IC_{50} values of 8.6 and 6.3 nM, respectively[1].

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

In Vivo

When single dosed orally (3 mg/kg) to U87MG tumor-bearing nude mice, Ningetinib (CT053PTSA) potently inhibits the phosphorylation of c-Met and its downstream signaling kinases AKT and ERK1/2 for up to 6 hours in tumor tissues. In orthotopic U87MG human glioblastoma xenograft model, Ningetinib prolongs the median survival time (MST) and yields

	significant increase in life-span value (ILS=32%, p=0.003) at an oral dose of 20 mg/kg/day (dosed 21 days) versus the vehicle-treated group[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

REFERENCES

[1]. Ning Xi, et al. Abstract 1755: CT053PTSA, a novel c-MET and VEGFR2 inhibitor, potently suppresses angiogenesis and tumor growth. Cancer Res 2014;74(19 Suppl):Abstract nr 1755.

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