Ningetinib Tosylate

Cat. No.: HY-107145

CAS No.: 1394820-77-9

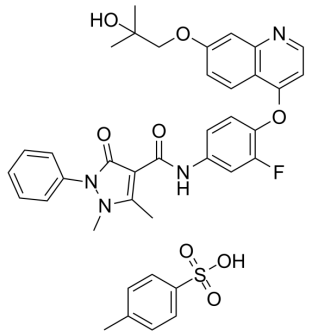
Molecular Formula: $C_{38}H_{37}FN_4O_8S$

Molecular Weight: 728.79

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

Pathway: Protein Tyrosine Kinase/RTK

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



SOLVENT & SOLUBILITY

In Vitro

DMSO : 33.33 mg/mL (45.73 mM; Need ultrasonic)
H_2O : < 0.1 mg/mL (insoluble)

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	1.3721 mL	6.8607 mL	13.7214 mL
5 mM	0.2744 mL	1.3721 mL	2.7443 mL
10 mM	0.1372 mL	0.6861 mL	1.3721 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 (3.43 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (3.43 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (3.43 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Ningetinib Tosylate 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 Tosylate 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 Tosylate (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 Tosylate (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 Tosylate 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.

Tel: 609-228-6898 Fax: 609-228-5909 E-mail: tech@MedChemExpress.com
Address: 1 Deer Park Dr, Suite Q, Monmouth Junction, NJ 08852, USA