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Lieferung & Zahlungsart

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Zuschläge

- Mindermengenzuschlag
- Trockeneiszuschlag
- Gefahrgutzuschlag
- Expressversand

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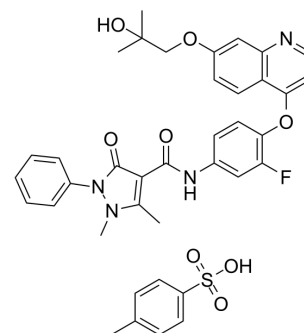
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Ningetinib Tosylate

Cat. No.:	HY-107145
CAS No.:	1394820-77-9
Molecular Formula:	C ₃₈ H ₃₇ FN ₄ O ₈ S
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 ₂ O : < 0.1 mg/mL (insoluble)				
	Preparing Stock Solutions	Solvent Concentration Mass	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 IC₅₀ 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, Nintetinib 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, Nintetinib 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.

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

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