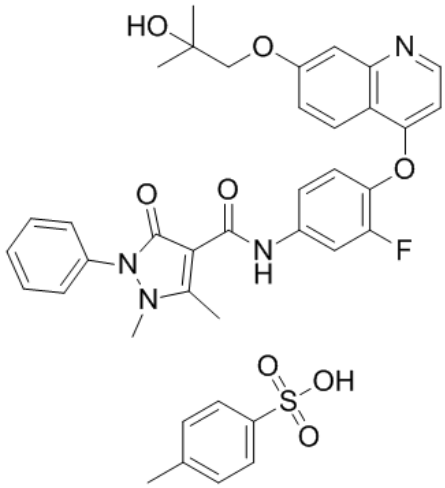
Product Data Sheet

Product Name: Ningetinib Tosylate
Cat. No.: GC36744

Chemical Properties

Cas. No.	1394820-77-9		
SMILES	CC1=CC=C(S(=O)(O)=O)C=C1.CC2=C(C(NC3=CC(F)=C(OC4=CC=NC5=C4C=CC(OCC(C)(O)C)=C5)C=C3)=O)C(N(C6=CC=CC=C6)N2C)=O		
Formula	$C_{38}H_{37}FN_4O_8S$	M.Wt	728.79
Solubility	DMSO: 33.33 mg/mL (45.73 mM); Water: < 0.1 mg/mL (insoluble)	Storage	Store at -20°C
General tips	For obtaining a higher solubility , please warm the tube at 37 °C and shake it in the ultrasonic bath for a while.Stock solution can be stored below -20°C for several months.		
Shipping Condition	Evaluation sample solution : ship with blue ice All other available size: ship with RT , or blue ice upon request.		

Structure



Background

Ningetinib Tosylate is a potent, orally bioavailable small molecule tyrosine kinase inhibitor (TKI) with IC50s of 6.7, 1.9 and <1.0 nM for c-Met, VEGFR2 and Axl, respectively. VEGFR2|1.9 nM (IC50)

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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].

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].

[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.