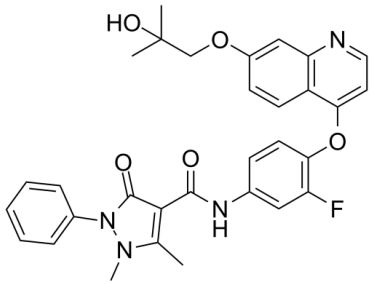
Data Sheet

Product Name:	Ningetinib
Cat. No.:	CS-0027542
CAS No.:	1394820-69-9
Molecular Formula:	C31H29FN4O5
Molecular Weight:	556.58
Target:	c-Met/HGFR; TAM Receptor; VEGFR
Pathway:	Protein Tyrosine Kinase/RTK
Solubility:	H2O : < 0.1 mg/mL (insoluble); DMSO : 16.67 mg/mL (29.95 mM; Need ultrasonic)



BIOLOGICAL ACTIVITY:

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. IC50 & Target: VEGFR, c-Met, and Axl[1] **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]. **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].

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.

CAIndexNames:

1H-Pyrazole-4-carboxamide, N-[3-fluoro-4-[[7-(2-hydroxy-2-methylpropoxy)-4-quinolinyl]oxy]phenyl]-2,3-dihydro-1,5-dimethyl-3-oxo-2-phenyl-

SMILES:

CC1=C(C(NC2=CC(F)=C(OC3=CC=NC4=C3C=CC(OCC(C)(O)C)=C4)C=C2)=O)C(N(C5=CC=CC=C5)N1C)=O

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

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