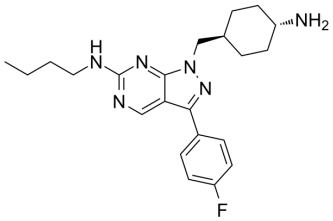
UNC569

Cat. No.:	HY-117596
CAS No.:	1350547-65-7
Molecular Formula:	$C_{22}H_{29}FN_6$
Molecular Weight:	396.5
Target:	TAM Receptor
Pathway:	Protein Tyrosine Kinase/RTK
Storage:	Powder -20°C 3 years 4°C 2 years In solvent -80°C 6 months -20°C 1 month



SOLVENT & SOLUBILITY

In Vitro

DMSO : 31.25 mg/mL (78.81 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.5221 mL	12.6103 mL	25.2207 mL
	5 mM	0.5044 mL	2.5221 mL	5.0441 mL
	10 mM	0.2522 mL	1.2610 mL	2.5221 mL

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

In Vivo

1. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (6.31 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

UNC569 is a potent, reversible, ATP-competitive and orally active Mer kinase inhibitor with an IC_{50} of 2.9 nM and a K_i of 4.3 nM. UNC569 also inhibits Axl and Tyro3 with IC_{50}s of 37 nM and 48 nM, respectively. UNC569 can be used for acute lymphoblastic leukemia (ALL) and atypical teratoid/rhabdoid tumors research[1][2]

IC_{50} & Target

IC50: 2.9 nM (Mer), 37 nM (Axl), 48 nM (Tyro3)[1]Ki: 4.3 nM (Mer)[1]

In Vitro

UNC569 (24 hours) induces apoptosis in ALL cell lines, and increases the levels of cleaved Caspase 3 and cleaved PARP[2].
UNC569 (1 μM; 1.5 hours) treatment effectively inhibit the activation of Mer and downstream signaling, including the PI3K/AKT and MAPK/ERK pathways[2].
UNC569 (1 hour) inhibits Mer phosphorylation levels with IC50 values of 141 nM and 193 nM in human B-ALL (acute lymphoblastic leukemia) 697 and Jurkat cell lines, respectively[1][2].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Apoptosis Analysis[2]

Cell Line:	697 and Jurkat cells
Concentration:	0.4 μM, 0.8 μM, 1 μM, 1.2 μM, 1.4 μM, 1.6 μM, 1.8 μM, 2 μM
Incubation Time:	24 hours
Result:	Induced apoptosis in ALL cell lines.

Western Blot Analysis[2]

Cell Line:	697 and Jurkat cells
Concentration:	1 μM
Incubation Time:	1.5 hours
Result:	Inhibited Mer activation and downstream signaling through ERK1/2 and AKT.

In Vivo

The in vivo pharmacokinetic properties of UNC569 (3 mg/kg) are also assessed in mice via both intravenous (IV) and oral (PO) administration. UNC569 has low systemic clearance (19.5 mL/min/kg), high volume of distribution (V_{ss} of 5.83 L/kg), and good oral bioavailability (57%)[1].

Leukemic zebrafish are treated continuously for 2 weeks by immersion in 4 μM UNC569. the result shows that UNC569 induces more than 50% reduction in tumor burden compared with vehicle- and mock-treated fish[2].

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

CUSTOMER VALIDATION

- J Ethnopharmacol. 2023 Apr 1;116429.

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REFERENCES

[1]. Sandra Christoph, et al. UNC569, a novel small-molecule mer inhibitor with efficacy against acute lymphoblastic leukemia in vitro and in vivo. Mol Cancer Ther. 2013 Nov;12(11):2367-77.

[2]. Jing Liu, et al. Discovery of Novel Small Molecule Mer Kinase Inhibitors for the Treatment of Pediatric Acute Lymphoblastic Leukemia. ACS Med Chem Lett. 2012 Feb 9;3(2):129-134.

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