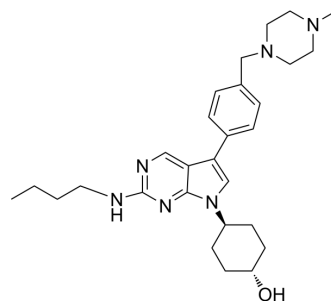


UNC2025

Cat. No.:	HY-12344		
CAS No.:	1429881-91-3		
Molecular Formula:	C ₂₈ H ₄₀ N ₆ O		
Molecular Weight:	476.66		
Target:	FLT3		
Pathway:	Protein Tyrosine Kinase/RTK		
Storage:	Powder	-20°C	3 years
		4°C	2 years
	In solvent	-80°C	2 years
		-20°C	1 year



SOLVENT & SOLUBILITY

In Vitro	DMSO : 100 mg/mL (209.79 mM; Need ultrasonic)					
	Preparing Stock Solutions	Solvent	Mass	1 mg	5 mg	10 mg
		Concentration				
		1 mM		2.0979 mL	10.4897 mL	20.9793 mL
5 mM			0.4196 mL	2.0979 mL	4.1959 mL	
		10 mM		0.2098 mL	1.0490 mL	2.0979 mL
Please refer to the solubility information to select the appropriate solvent.						
In Vivo	<ol style="list-style-type: none"> Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline Solubility: ≥ 2.5 mg/mL (5.24 mM); Clear solution Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline) Solubility: ≥ 2.5 mg/mL (5.24 mM); Clear solution Add each solvent one by one: 10% DMSO >> 90% corn oil Solubility: ≥ 2.5 mg/mL (5.24 mM); Clear solution 					

BIOLOGICAL ACTIVITY

Description	UNC2025 is a potent, ATP-competitive and highly orally active Mer/Flt3 inhibitor with IC ₅₀ values of 0.74 nM and 0.8 nM, respectively. UNC2025 is >45-fold selectivity for MERTK relative to Axl (IC ₅₀ = 122 nM; K _i = 13.3 nM). UNC2025 exhibits an excellent PK properties, and can be used for the investigation of acute leukemia ^[1] .
IC₅₀ & Target	IC ₅₀ : 0.74 nM (Mer); 0.8 nM (Flt3) ^[1]
In Vitro	UNC2025 is against FLT3, MER, AXL, TRKA, TRKC, QIK, TYRO3, SLK, NuaK1, KIT and Met with IC ₅₀ values of 0.35 nM, 0.46 nM,

1.65 nM, 1.67 nM, 4.38 nM, 5.75 nM, 5.83 nM, 6.14 nM, 7.97 nM, 8.18 nM and 364 nM, respectively^[1].
 UNC2025 (0-60 nM; 1 hour) mediates potent inhibition of Mer phosphorylation with an IC₅₀ of 2.7 nM in 697 B-ALL cells^[1].
 UNC2025 (0-60 nM; 1 hour) results in decreased phosphorylation of Flt3 with an IC₅₀ of 14 nM in Flt3-ITD positive Molm-14 acute myeloid leukemia cells^[1].
 UNC2025 (3 nM-3 μM; 1 hour) decreases p-MEK, p-AXL, p-TYRO3 expression as a concentration manner in 32D Cells^[1].
 UNC2025 (14 nM-10 μM; 48 hours) inhibits MERTK signaling and colony-forming potential in a MERTK-expressing patient sample with a 20-fold difference in sensitivity of MERTK-expressing leukemia blasts relative to normal cord or marrow blood mononuclear cells^[2].
 UNC2025 (25-300 nM; 1 hour) mediates potent and dose-dependent decreases in MERTK phosphorylation/activation in both cell lines and inhibition of MERTK correlated with decreased phosphorylation of previously reported MERTK-dependent signaling components STAT6, AKT, and ERK1/2^[2].
 MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Western Blot Analysis^[1]

Cell Line:	32D Cells
Concentration:	0 nM, 3 nM, 10 nM, 20 nM, 30 nM, 100 nM, 1000 nM, 3000 nM
Incubation Time:	1 hour
Result:	Inhibited p-MEK, p-AXL, p-TYRO3 expression

Cell Viability Assay^[2]

Cell Line:	Mononuclear cells
Concentration:	14 nM-10 μM
Incubation Time:	48 hour
Result:	Showed IC ₅₀ values ranged from 9.0 nM to >10 μM with a median IC ₅₀ of 2.38 μM.

Western Blot Analysis^[2]

Cell Line:	MERTK-expressing B-cell and T-cell acute lymphoid leukemia (B-ALL and T-ALL) and acute myeloid leukemia (AML) cell lines
Concentration:	25-300 nM
Incubation Time:	1 hour
Result:	Decreased p-MERTK, p-STAT6, p-AKT and p-ERK1/2 expression as a dose-dependent manner.

In Vivo

UNC2025 (intravenous injection or oral administration; 3 mg/kg) exhibits an excellent PK properties: low clearance (9.2 mL/min kg), longer half-life (3.8 h), and high oral exposure (100%), it shows T_{max}, C_{max}, and AUC_{last} 0.50 hour, 1.6 μM, and 9.2 h μM, respectively^[2].
 UNC2025 (orally administration; 50 or 75 mg/kg; 34 and 70 days) mediates a statistically significant dose-dependent reduction in tumor burden relative to vehicle. mediates dose-dependent increases in median survival from 26 days after initiation of treatment in vehicle-treated mice, to 34 and 70 days in mice treated with 50 or 75 mg/kg UNC2025, respectively^[2].
 MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	NSG mice injected with 697 B-ALL cells ^[2]
Dosage:	50 or 75 mg/kg

Administration:	Orally administration
Result:	Delayed the disease progression.

CUSTOMER VALIDATION

- Nat Biomed Eng. 2018 Aug;2(8):578-588.
- Oncol Rep. 2020 Oct;44(4):1322-1332.

See more customer validations on www.MedChemExpress.com

REFERENCES

- [1]. Zhang W, et al. UNC2025, a Potent and Orally Bioavailable MER/FLT3 Dual Inhibitor. J Med Chem. 2014 Aug 28;57(16):7031-41.
- [2]. DeRyckere D, et al. UNC2025, a MERTK Small-Molecule Inhibitor, Is Therapeutically Effective Alone and in Combination with CL14377 in Leukemia Models. Clin Cancer Res. 2017 Mar 15;23(6):1481-1492.

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

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