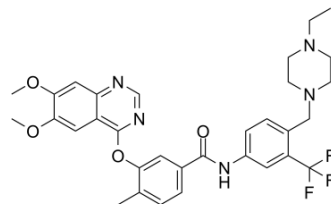


TL02-59

Cat. No.:	HY-112852		
CAS No.:	1315330-17-6		
Molecular Formula:	C ₃₂ H ₃₄ F ₃ N ₅ O ₄		
Molecular Weight:	609.64		
Target:	Src; Apoptosis		
Pathway:	Protein Tyrosine Kinase/RTK; Apoptosis		
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 : 125 mg/mL (205.04 mM; Need ultrasonic)					
		Solvent Concentration	Mass	1 mg	5 mg	10 mg
	Preparing Stock Solutions	1 mM		1.6403 mL	8.2016 mL	16.4031 mL
		5 mM		0.3281 mL	1.6403 mL	3.2806 mL
10 mM			0.1640 mL	0.8202 mL	1.6403 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: ≥ 2.08 mg/mL (3.41 mM); Clear solution Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline) Solubility: ≥ 2.08 mg/mL (3.41 mM); Clear solution Add each solvent one by one: 10% DMSO >> 90% corn oil Solubility: ≥ 2.08 mg/mL (3.41 mM); Clear solution 					

BIOLOGICAL ACTIVITY

Description	TL02-59 is an orally active, selective Src-family kinase Fgr inhibitor with an IC ₅₀ of 0.03 nM. TL02-59 inhibits Lyn and Hck with IC ₅₀ s of 0.1 nM and 160 nM, respectively. TL02-59 potently suppresses acute myelogenous leukemia (AML) cell growth ^[1] .
IC₅₀ & Target	IC ₅₀ : 0.03 nM (Fgr), 0.1 nM (Lyn) and 160 nM (Hck) ^[1]
In Vitro	TL02-59 (0.1-1000 nM; 6 hours) potently inhibits Fgr autophosphorylation in TF-1 cells, with partial inhibition at 0.1-1 nM and complete inhibition above 10 nM. Hck, Lyn and Flt3 are inhibited in the 100 to 1000 nM range ^[1] .

TL02-59 inhibits the growth and induced apoptosis of AML cell lines expressing this kinase with single-digit nM potency^[1]. TL02-59 induces growth arrest in primary AML bone marrow samples^[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Western Blot Analysis^[1]

Cell Line:	TF-1 myeloid cells
Concentration:	0.1, 1, 10, 100, 1000 nM
Incubation Time:	6 hours
Result:	Inhibited Fgr autophosphorylation in TF-1 cells.

In Vivo

TL02-59 (oral administration; 1 and 10 mg/kg; for three weeks) completely eliminates AML cells from the spleen and peripheral blood in a mouse model of AML, while dramatically suppressing bone marrow involvement^[1]. TL02-59 has a $t_{1/2}$ of 5.7 h by i.v injection and 6.5 h by p.o. administration, respectively^[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	NOD.Cg-Prkdc ^{scid} Il2rg ^{tm1Wjl} /SzJ (NSG) mice with human MV4-11 AML cells ^[1]
Dosage:	1 and 10 mg/kg
Administration:	Oral; for three weeks
Result:	Eliminated AML cells from the spleen and peripheral blood in a mouse model of AML, while dramatically suppressing bone marrow involvement.

REFERENCES

[1]. Weir MC, et al. Selective Inhibition of the Myeloid Src-Family Kinase Fgr Potently Suppresses AML Cell Growth in Vitro and in Vivo. ACS Chem Biol. 2018 Jun 15;13(6):1551-1559.

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

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