TL02-59

Cat. No.: HY-112852

CAS No.: 1315330-17-6

Molecular Formula: $C_{32}H_{34}F_3N_5O_4$

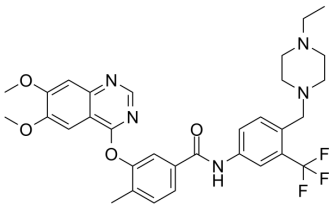
Molecular Weight: 609.64

Target: Src; Apoptosis

Pathway: Protein Tyrosine Kinase/RTK; Apoptosis

Storage:

Powder	-20°C	3 years
	4°C	2 years
In solvent	-80°C	2 years
	-20°C	1 year



SOLVENT & SOLUBILITY

In Vitro

DMSO : 100 mg/mL (164.03 mM; ultrasonic and warming and heat to 80°C)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	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

1. 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
2. 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
3. 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].

IC_{50} & Target

IC50: 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 paritial 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.

CUSTOMER VALIDATION

- J Transl Med. 2023 Jul 20;21(1):486.
- Cell Death Discov. 2023 Jul 17;9(1):252.
- Cell Death Discov. 2021 Nov 12;7(1):349.
- In Vivo. Nov-Dec 2021;35(6):3053-3066.

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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.

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