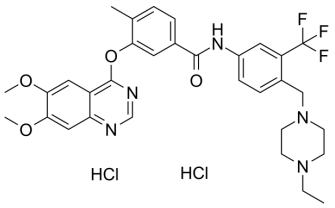
TL02-59 dihydrochloride

Cat. No.:	HY-112852A
CAS No.:	2415263-06-6
Molecular Formula:	$C_{32}H_{36}Cl_2F_3N_5O_4$
Molecular Weight:	682.56
Target:	Src; Apoptosis
Pathway:	Protein Tyrosine Kinase/RTK; Apoptosis
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description	TL02-59 dihydrochloride is an orally active, selective Src-family kinase Fgr inhibitor with an IC_{50} of 0.03 nM. TL02-59 dihydrochloride inhibits Lyn and Hck with IC_{50}s of 0.1 nM and 160 nM, respectively. TL02-59 dihydrochloride 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 dihydrochloride (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 dihydrochloride inhibits the growth and induced apoptosis of AML cell lines expressing this kinase with single-digit nM potency[1].
TL02-59 dihydrochloride 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; 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

- 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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