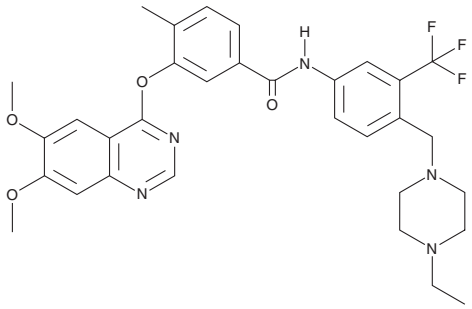
PRODUCT INFORMATION

TL02-59

Item No. 42729

CAS Registry No.:	1315330-17-6
Formal Name:	3-[(6,7-dimethoxy-4-quinazolinyl) oxy]-N-[4-[(4-ethyl-1-piperazinyl) methyl]-3-(trifluoromethyl) phenyl]-4-methyl-benzamide
MF:	$C_{32}H_{34}F_3N_5O_4$
FW:	609.6
Purity:	≥95%
Supplied as:	A solid
Storage:	-20°C
Stability:	≥4 years



Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.

Laboratory Procedures

TL02-59 is supplied as a solid. A stock solution may be made by dissolving the TL02-59 in the solvent of choice, which should be purged with an inert gas. TL02-59 is sparingly soluble (1-10 mg/ml) in DMSO.

Description

TL02-59 is an Fgr inhibitor (IC_{50} = 0.03 nM).[1] It is selective for Fgr over the additional Src family kinases LYN, spleen tyrosine kinase (Syk), and HCK (IC_{50}s = 0.1, 470, and 160 nM, respectively), as well as Fes, FMS-related tyrosine kinase 3 (FLT3), p38α MAPK, and TAOK3 (IC_{50}s = 290, 633, 126, and 509 nM, respectively). TL02-59 selectively inhibits the growth of MV4-11 and MOLM-14 acute myeloid leukemia (AML) cells (IC_{50}s = 0.78 and 6.6 nM, respectively), which are positive for FLT3 bearing internal-tandem duplication (FLT3-ITD$^+$) and express several active non-receptor tyrosine kinases, over THP-1 AML cells (IC_{50} = >3,000 nM) which do not bear those oncogenic transformations. *In vivo*, TL02-59 (10 mg/kg) decreases the percentage of engrafted CD45$^+$/CD33$^+$ MV4-11 cells in the bone marrow and spleen in a mouse xenograft model. It reduces radiation-induced pulmonary fibrosis in mice when administered at a dose of 10 mg/kg.[2]

References

1. Weir, M.C., Shu, S.T., Patel, R.K., *et al.* Selective inhibition of the myeloid Src-family kinase Fgr potently suppresses AML cell growth *in vitro* and *in vivo*. *ACS Chem. Biol.* **13(6)**, 1551-1559 (2018).
2. Mukherjee, A., Epperly, M.W., Fisher, R., *et al.* Inhibition of tyrosine kinase Fgr prevents radiation-induced pulmonary fibrosis (RIPF). *Cell Death Discov.* **9(1)**, 252 (2023).

CAYMAN CHEMICAL
1180 EAST ELLSWORTH RD
ANN ARBOR, MI 48108 · USA
PHONE: [800] 364-9897
[734] 971-3335
FAX: [734] 971-3640
CUSTSERV@CAYMANCHEM.COM
WWW.**CAYMANCHEM**.COM