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 ($IC_{50} = 0.03 \text{ nM}$). It is selective for Fgr over the additional Src family kinases LYN, spleen tyrosine kinase (Syk), and HCK (IC₅₀s = 0.1, 470, and 160 nM, respectively), as well as Fes, FMS-related tyrosine kinase 3 (FLT3), p38 α MAPK, and TAOK3 (IC₅₀s = 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 (IC₅₀ = >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).

WARNING
THIS PRODUCT IS FOR RESEARCH ONLY - NOT FOR HUMAN OR VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

This material should be considered hazardous until further information becomes available. Do not ingest, inhale, get in eyes, on skin, or on clothing. Wash thoroughly after handling. Before use, the user must review the complete Safety Data Sheet, which has been sent via email to your institution.

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