

PRODUCT INFORMATION



R-59-022

Item No. 16772

CAS Registry No.: 93076-89-2
Formal Name: 6-[2-[4-[(4-fluorophenyl)phenylmethylene]-1-piperidiny]ethyl]-7-methyl-5H-thiazolo[3,2-a]pyrimidin-5-one

Synonym: Diacylglycerol Kinase Inhibitor I

MF: C₂₇H₂₆FN₃OS

FW: 459.6

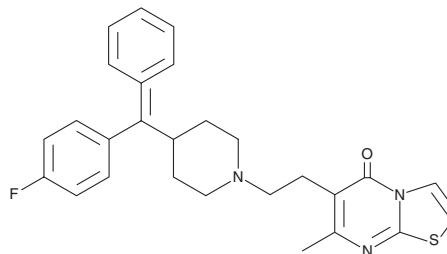
Purity: ≥98%

UV/Vis.: λ_{max}: 228, 324 nm

Supplied as: A crystalline 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

R-59-022 is supplied as a crystalline solid. A stock solution may be made by dissolving the R-59-022 in the solvent of choice, which should be purged with an inert gas. R-59-022 is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF). The solubility of R-59-022 in ethanol and DMSO is approximately 5 mg/ml and approximately 20 mg/ml in DMF.

R-59-022 is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, R-59-022 should first be dissolved in DMF and then diluted with the aqueous buffer of choice. R-59-022 has a solubility of approximately 0.25 mg/ml in a 1:2 solution of DMF:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

Diacylglycerol (DAG) kinases (DGKs) phosphorylate DAG to give phosphatidic acid (PA), reducing signaling through DAG and increasing signaling through PA.¹ R-59-022 is an inhibitor of DGK (IC₅₀ = 2.8 μM).² This results in increased DAG-dependent PKC activity and potentiates aggregation of thrombin-stimulated platelets.^{2,3} R-59-022 also blocks vascular contraction induced by the thromboxane analog U-46619 (Item No. 16450).⁴ At 10 μM, R-59-022 induces apoptosis in glioblastoma cells without being toxic to non-cancerous cells.⁵ It inhibits DGK-θ *in vitro* at concentrations below 1 μM and blocks DGK-θ activity *in vivo*.⁶ R-59-022 also inhibits *A. thaliana* DGK2 (IC₅₀ = 50 μM) and suppresses root growth but does not affect DGK7 at 50 μM.⁷

References

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3. Nunn, D.L. and Watson, S.P. *Biochem. J.* **243**(3), 809-813 (1987).
4. Nobe, K., Miyatake, M., Nobe, H., et al. *Br. J. Pharmacol.* **143**(1), 166-179 (2004).
5. Dominguez, C.L., Floyd, D.H., Xiao, A., et al. *Cancer Discov.* **3**(7), 782-797 (2013).
6. Tu-Sekine, B., Goldschmidt, H., Petro, E., et al. *Adv. Biol. Regul.* **53**(1), 118-126 (2013).
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WARNING

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

SAFETY DATA

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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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