# PRODUCT INFORMATION

## R-59-022

*Item No. 16772*

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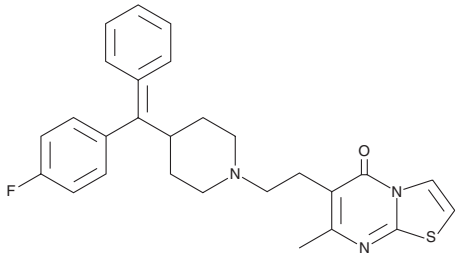
| **CAS Registry No.:** | 93076-89-2 |
| **Formal Name:** | 6-[2-[4-[(4-fluorophenyl) phenylmethylene]-1-piperidinyl] ethyl]-7-methyl-5H-thiazolo[3,2-a] pyrimidin-5-one |
| **Synonym:** | Diacylglycerol Kinase Inhibitor I |
| **MF:** | $C_{27}H_{26}FN_3OS$ |
| **FW:** | 459.6 |
| **Purity:** | ≥98% |
| **UV/Vis.:** | $\lambda_{max}$: 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 ($IC_{50}$ = 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 ($IC_{50}$ = 50 µM) and suppresses root growth but does not affect DGK7 at 50 µM.[7]

### References


1. Topham, M.K. and Prescott, S.M. *J. Biol. Chem.* **274(17)**, 11447-11450 (1999).
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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).
7. Gómez-Merino, F.C., Arana-Ceballos, F.A., Trejo-Téllez, L.I., *et al. J. Biol. Chem.* **280(41)**, 34888-34899 (2005).





**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