

PRODUCT INFORMATION



R-59-949

Item No. 21221

CAS Registry No.: 120166-69-0
Formal Name: 3-[2-[4-[bis(4-fluorophenyl)methylene]-1-piperidiny]ethyl]-2,3-dihydro-2-thioxo-4(1H)-quinazolinone

Synonyms: Diacylglycerol Kinase Inhibitor II, DKGI-II

MF: C₂₈H₂₅F₂N₃OS

FW: 489.6

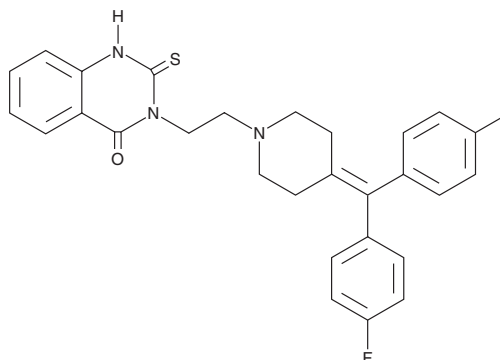
Purity: ≥98%

UV/Vis.: λ_{max}: 294 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-59-949 is supplied as a crystalline solid. A stock solution may be made by dissolving the R-59-949 in the solvent of choice, which should be purged with an inert gas. R-59-949 is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF). The solubility of R-59-949 in ethanol is approximately 1 mg/ml and approximately 33 mg/ml in DMSO and DMF.

Description

R-59-949 is an inhibitor of diacylglycerol kinase α (DGK-α) with an IC₅₀ value of 300 nM in isolated platelet plasma membranes using exogenous diacylglycerol as a substrate.¹ DGK-α inhibition with R-59-949 increases diacylglycerol-dependent PKC activity, serotonin secretion, and aggregation of thrombin-stimulated platelets. R-59-949 inhibits DGK-α activity induced by platelet-derived growth factor (PDGF) in intact vascular smooth muscle cells (VSMCs).² It inhibits high K⁺- and glucose-induced insulin secretion in MIN6 pancreatic β-cells in a dose-dependent manner.³ *In vivo*, administration of R-59-949 prevents retinal neovascularization in a mouse model of oxygen-induced retinopathy.⁴

References

1. de Chaffoy de Courcelles, D., Roevens, P., Van Belle, H., *et al.* The role of endogenously formed diacylglycerol in the propagation and termination of platelet activation. A biochemical and functional analysis using the novel diacylglycerol kinase inhibitor, R 59 949. *J. Biol. Chem.* **264**(6), 3274-3285 (1989).
2. Du, X., Jiang, Y., Qian, W., *et al.* Fatty acids inhibit growth-factor-induced diacylglycerol kinase alpha activation in vascular smooth-muscle cells. *Biochem J.* **357**(Pt. 1), 275-282 (2001).
3. Kurohane Kaneko, Y., Kobayashi, Y., Motoki, K., *et al.* Depression of type I diacylglycerol kinases in pancreatic β-cells from male mice results in impaired insulin secretion. *Endocrinology* **154**(11), 4089-4098 (2013).
4. Yang, L., Xu, Y., Li, W., *et al.* Diacylglycerol kinase (DGK) inhibitor II (R59949) could suppress retinal neovascularization and protect retinal astrocytes in an oxygen-induced retinopathy model. *J. Mol. Neurosci.* **56**(1), 78-88 (2015).

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.

WARRANTY AND LIMITATION OF REMEDY

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