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Produktinformation

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- Diagnostik & molekulare Diagnostik
- Laborgeräte & Service

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Lieferung & Zahlungsart

siehe unsere Liefer- und Versandbedingungen

Zuschläge

- Mindermengenzuschlag
- Trockeneiszuschlag
- Gefahrgutzuschlag
- Expressversand

SZABO-SCANDIC HandelsgmbH

Quellenstraße 110, A-1100 Wien

T. +43(0)1 489 3961-0

F. +43(0)1 489 3961-7

mail@szabo-scandic.com

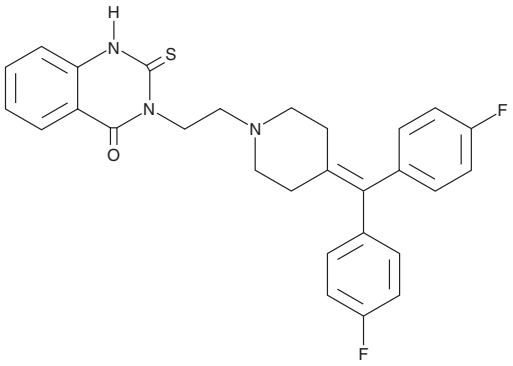
www.szabo-scandic.com

linkedin.com/company/szaboscandic

PRODUCT INFORMATION

R-59-949

Item No. 21221



CAS Registry No.:	120166-69-0
Formal Name:	3-[2-[4-[*bis*(4-fluorophenyl)methylene]-1-piperidinyl]ethyl]-2,3-dihydro-2-thioxo-4(1H)-quinazolinone
Synonyms:	Diacylglycerol Kinase Inhibitor II, DKGI-II
MF:	$C_{28}H_{25}F_2N_3OS$
FW:	489.6
Purity:	≥98%
UV/Vis.:	λ_{max}: 294 nm
Supplied as:	A crystalline solid
Storage:	-20°C
Stability:	≥2 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. R-59-949 is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF), which should be purged with an inert gas. 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 IC_{50} 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).

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