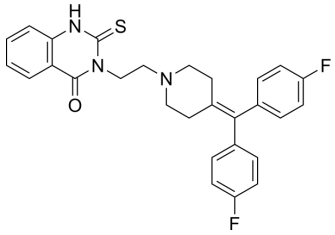
R59949

Cat. No.:	HY-108355
CAS No.:	120166-69-0
Molecular Formula:	$C_{28}H_{25}F_2N_3OS$
Molecular Weight:	489.58
Target:	PKC
Pathway:	Epigenetics; TGF-beta/Smad
Storage:	-20°C, stored under nitrogen * In solvent : -80°C, 6 months; -20°C, 1 month (stored under nitrogen)



SOLVENT & SOLUBILITY

In Vitro

DMSO : 100 mg/mL (204.26 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.0426 mL	10.2128 mL	20.4257 mL
	5 mM	0.4085 mL	2.0426 mL	4.0851 mL
	10 mM	0.2043 mL	1.0213 mL	2.0426 mL

Please refer to the solubility information to select the appropriate solvent.

In Vivo

1. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (5.11 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

R59949 is a pan diacylglycerol kinase (DGK) inhibitor with an IC_{50} of 300 nM. R59949 strongly inhibits the activity of type I DGK α and γ and moderately attenuates the activity of type II DGK θ and κ. R59949 activates protein kinase C (PKC) by enhancing the levels of the endogenous ligand diacyl glycerol[1][2][3].

In Vitro

In the presence of R59949, vasopressin- as well as collagen-induced release reaction and aggregation was strongly increased, independently of the formation of arachidonate metabolites[1].
?In THP⊠1 monocytes, R59949 attenuates CCL2⊠evoked Ca^{2+} signalling with a half⊠maximal concentration of 8.6 μM[2].
?R59949 inhibits inducible nitric oxide production through decreasing transplasmalemmal L-arginine uptake in vascular smooth muscle cells[4].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

REFERENCES

[1]. de Chaffoy de Courcelles D, 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. 1989;264(6):3274-3285.

[2]. Day P, et al. Inhibitors of DAG metabolism suppress CCR2 signalling in human monocytes. Br J Pharmacol. 2019;176(15):2736-2749.

[3]. Shimomura T, et al. R59949, a diacylglycerol kinase inhibitor, inhibits inducible nitric oxide production through decreasing transplasmalemmal L-arginine uptake in vascular smooth muscle cells. Naunyn Schmiedebergs Arch Pharmacol. 2017;390(2):207-214.

[4]. Meinhardt G, et al. Effect of novel modulators of protein kinase C activity upon chemotherapy-induced differentiation and apoptosis in myeloid leukemic cells. Anticancer Drugs. 2002;13(7):725-733.

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