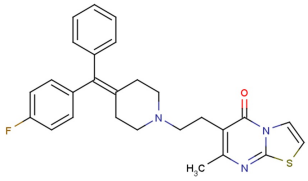
Data Sheet (Cat.No.T16709)

TargetMol
A DRUG SCREENING EXPERT

R 59-022

Chemical Properties



CAS No.:	93076-89-2
Formula:	C27H26FN3OS
Molecular Weight:	459.58
Appearance:	N/A
Storage:	0-4℃ for short term (days to weeks), or -20℃ for long term (months).

Biological Description

Description	R 59-022 is a 5-HTR antagonist and activates protein kinase C (PKC). R 59-022 is a diacylglycerol kinase inhibitor (IC50=2.8 μM). R 59-022 potentiates thrombin-induced diacylglycerol production in platelets and inhibits phosphatidic acid production in neutrophils.
Targets(IC_{50})	diacylglycerol kinase: 2.8 μM
In vitro	R 59-022 is able to interrupt thrombin-induced inositol lipid cycling at the level of diacylglycerol and leads to an elevation of protein kinase C activity, in the intact platelet. R 59-022 blocks entry of EBOV pseudotypes in a concentration-dependent manner (IC50: ~5 μM). R 59-022, an inhibitor of filovirus entry, prevents the macropinocytic uptake of filoviral particles, inhibits entry mediated by multiple filovirus GPs, and blocks replicative EBOV growth. R 59-022 dose-dependent decreases in entry by the VLPs harboring the EBOV GP (IC50: ~2 μM). R 59-022 (10 μM) potentiates aggregation, but not shape change induced by sub-maximal concentrations of thrombin. R59022 (10 μM) had no significant effect on the dose-response curve for the mobilization of intracellular Ca2+ by thrombin in either the presence or the absence of extracellular Ca2+. R 59-022 (2-12 μM; 1 hour) can inhibit EBOV GP-mediated entry in multiple cell types. R 59-022 (5 μM; 30 minutes) blocks macropinocytosis in vero cells[1][2][5].

Solubility Information

Solubility	< 1 mg/ml refers to the product slightly soluble or insoluble

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.176 mL	10.879 mL	21.759 mL
5 mM	0.435 mL	2.176 mL	4.352 mL
10 mM	0.218 mL	1.088 mL	2.176 mL
50 mM	0.044 mL	0.218 mL	0.435 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. The storage conditions and period of the stock solution: - 80 ℃ for 6 months; - 20 ℃ for 1 month. Please use it as soon as possible.

Reference

1. de Chaffoy de Courcelles DC, et al. R 59 022, a diacylglycerol kinase inhibitor. Its effect on diacylglycerol and thrombin-induced C kinase activation in the intact platelet. J Biol Chem. 1985 Dec 15;260(29):15762-70.
2. Nunn DL, et al. A diacylglycerol kinase inhibitor, R59022, potentiates secretion by and aggregation of thrombin-stimulated human platelets. Biochem J. 1987 May 1;243(3):809-13.
3. Jones JA, et al. Influence of phorbol esters, and diacylglycerol kinase and lipase inhibitors on noradrenalinerelease and phosphoinositide hydrolysis in chromaffin cells. Br J Pharmacol. 1990 Nov;101(3):521-6.
4. Boroda S, et al. Dual activities of ritanserin and R59022 as DGKα inhibitors and serotonin receptor antagonists. Biochem Pharmacol. 2017 Jan 1;123:29-39.
5. Stewart CM, et al. A Diacylglycerol Kinase Inhibitor, R-59-022, Blocks Filovirus Internalization in Host Cells. Viruses. 2019 Mar 1;11(3). pii: E206.

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