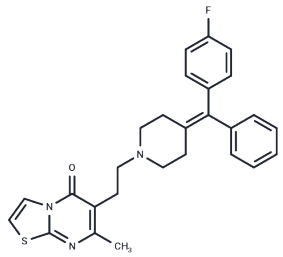
Data Sheet (Cat.No.T72322)

TargetMol

R 59-022 hydrochloride

Chemical Properties



CAS No. : 93076-98-3

Formula: C27H27ClFN3OS

Molecular Weight: 496.04

Storage: Powder: -20°C for 3 years | In solvent: -80°C for 1 year
Actual storage temperature shall be subject to the COA.

Biological Description

Description	R 59-022 hydrochloride (DKGI-I hydrochloride) is a 5-HT Receptor antagonist that activates protein kinase C (PKC).R 59-022 hydrochloride is a DGK inhibitor (IC50:2.8 μM) that inhibits the phosphorylation of OAG to OAPA.R 59-022 enhances thrombin-induced triglyceride production in platelets and inhibits the production of phosphatidic acid in neutrophils. R 59-022 enhances thrombin-induced diglyceride production in platelets and inhibits phosphatidic acid production in neutrophils.
Targets(IC50)	5-HT Receptor,PKC
In vitro	R 59-022 (10 μM; 1 min) Hydrochloride enhances platelet aggregation.[1] R 59-022 (30 μM; 0-60 min) Hydrochloride increases the release of norepinephrine in chromaffin cells.[2] R 59-022 (40 μM; 30 min) Hydrochloride activates PKC in HeLa and U87 cells.[3] R 59-022 (0-10 μM; 4 h) Hydrochloride blocks the entry of EBOV GP into Vero cells.[4]
In vivo	R 59-022 (2 mg/kg; i.p.; 12 days) significantly increased the median survival of SCID mice implanted with U87 GBM cells.[6]

Solubility Information

Solubility	DMSO: 55 mg/mL (110.88 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)
In vivo Formulation	10% DMSO+40% PEG300+5% Tween 80+45% Saline: 2 mg/mL (4.03 mM),Sonication is recommended. *Please add the solvents sequentially, clarifying the solution as much as possible before adding the next one. Dissolve by heating and/or sonication if necessary. Working solution is recommended to be prepared and used immediately. The formulation provided above is for reference purposes only. In vivo formulations may vary and should be modified based on specific experimental conditions.*

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.016 mL	10.0798 mL	20.1597 mL
5 mM	0.4032 mL	2.016 mL	4.0319 mL
10 mM	0.2016 mL	1.008 mL	2.016 mL
50 mM	0.0403 mL	0.2016 mL	0.4032 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Note: The dilution table applies only to solid products. For liquid products, please calculate the stock solution based on the stated concentration and/or density.

Reference

Nunn DL, et al. A diacylglycerol kinase inhibitor, R59022, potentiates secretion by and aggregation of thrombin-stimulated human platelets. Biochem J. 1987;243(3):809-813.
Jones JA, et al. Influence of phorbol esters, and diacylglycerol kinase and lipase inhibitors on noradrenaline release and phosphoinositide hydrolysis in chromaffin cells. Br J Pharmacol. 1990;101(3):521-526.
Boroda S, et al. Dual activities of ritanserin and R59022 as DGKα inhibitors and serotonin receptor antagonists. Biochem Pharmacol. 2017;123:29-39.
Stewart CM, et al. A Diacylglycerol Kinase Inhibitor, R-59-022, Blocks Filovirus Internalization in Host Cells. Viruses. 2019;11(3):206.
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;260(29):15762-15770.
Dominguez CL, et al. Diacylglycerol kinase α is a critical signaling node and novel therapeutic target in glioblastoma and other cancers. Cancer Discov. 2013;3(7):782-797.