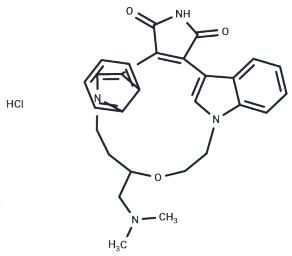
Data Sheet (Cat.No.T3689)

TargetMol

Ruboxistaurin hydrochloride

Chemical Properties



CAS No. :	169939-93-9
Formula:	C28H28N4O3·HCl
Molecular Weight:	505.01
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	Ruboxistaurin hydrochloride (LY 333531 hydrochloride) is an Isozyme-selective inhibitor of protein kinase C (PKC); competitively and reversibly inhibits PKCβI and PKCβII (IC50 values are 4.7 and 5.9 nM respectively). Selective for PKCβ over other PKC isozymes (IC50values are 0.052, 0.25, 0.30, 0.36, 0.60 and >100 μM for PKCη, -δ, -γ, -α, -ε and -ζ respectively). Exhibits selectivity for PKC over other ATP-dependent kinases, including protein kinase A, casein kinase and src).
Targets(IC50)	PKC
In vitro	Ruboxistaurin strikingly decreases the chance of HUVEC survival and the effect of Ruboxistaurin on apoptotic cell death in HUVEC significantly increases compared with the AGEs group. Blockade of PKC-beta up-regulates the expression of Bax and Bad proteins and down-regulates the expression of Bcl-2 protein. Moreover, Ruboxistaurin reduces the ratio of Bcl-2/Bax. Ruboxistaurin can further prompt AGEs-induced endothelial cells apoptosis. The increased expression of Bax, Bad and decreased expression of Bcl-2 and Bcl-2/Bax ratio are associated with the apoptotic process[3].
In vivo	A significant up-regulation of TGF-b1, Smad2 and Smad3 mRNA expression was observed in diabetic rats, which was alleviated by administration of ruboxistaurin.
Cell Research	HUVECs are seeded into 96-well plates in low glucose DMEM with 10% FBS for 12 h. Afterwards, HUVECs are starved for 12 h and incubated with BSA (200 μg/ml), AGEs (200 μg/ml) and LY333531 (200 nM)+AGEs (200 μg/ml) for 48 h. Then, the medium is replaced with 0.5 mg/ml MTT and at 37 ?C in a 95% air/5% CO2 incubator for 4 h. Finally, the medium containing MTT is aspirated and replaced by dimethyl sulphoxide (DMSO). OD is measured with a Microplate spectrophotometer. AGEs:advanced glycation end products.(Only for Reference)

Solubility Information

Solubility	DMSO: 28.8 mg/mL (57.03 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)
In vivo Formulation	10% DMSO+90% Saline: 2.88 mg/mL (5.7 mM),Suspension. 10% DMSO+40% PEG300+5% Tween-80+45% Saline: 1 mg/mL (1.98 mM),Sonication is recommended.

In vivo Formulation	*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	1.9802 mL	9.9008 mL	19.8016 mL
5 mM	0.396 mL	1.9802 mL	3.9603 mL
10 mM	0.198 mL	0.9901 mL	1.9802 mL
50 mM	0.0396 mL	0.198 mL	0.396 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

Jirousek MR, et al. J Med Chem. 1996, 39(14):2664-71.
Lei S, et al. Diabetes. 2013, 62(7):2318-28.
Wang SS, et al. Pharmazie. 2011, 66(11):881-7.