Ruboxistaurin mesylate

Cat. No.: HY-10195A

CAS No.: 192050-59-2

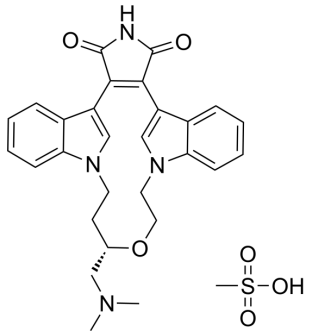
Molecular Formula: $C_{29}H_{32}N_4O_6S$

Molecular Weight: 564.65

Target: PKC

Pathway: Epigenetics; TGF-beta/Smad

Storage: 4°C, sealed storage, away from moisture and light
* In solvent : -80°C, 6 months; -20°C, 1 month (sealed storage, away from moisture and light)



SOLVENT & SOLUBILITY

In Vitro

DMSO : 50 mg/mL (88.55 mM; Need ultrasonic)

Preparing Stock Solutions	Mass / Solvent / Concentration	1 mg	5 mg	10 mg
	1 mM	1.7710 mL	8.8550 mL	17.7101 mL
	5 mM	0.3542 mL	1.7710 mL	3.5420 mL
	10 mM	0.1771 mL	0.8855 mL	1.7710 mL

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

BIOLOGICAL ACTIVITY

Description

Ruboxistaurin (LY333531) mesylate is an orally active, selective and ATP competitive PKCβ inhibitor with IC_{50} values of 4.7 and 5.9 nM for PKCβI and PKCβII, respectively. Ruboxistaurin mesylate can be used for the research of eye disorders, heart failure and diabetes[1][2][3][4].

IC_{50} & Target

PKC-βI 4.7 nM (IC_{50})	PKC-βII 5.9 nM (IC_{50})	PKCη 52 nM (IC_{50})	PKCδ 250 nM (IC_{50})
PKCγ 300 nM (IC_{50})	PKCα 360 nM (IC_{50})	PKCε 600 nM (IC_{50})	

In Vitro

Ruboxistaurin mesylate inhibits PKC isozymes with IC_{50} values of 0.36, 0.0047, 0.0059, 0.30, 0.25, 0.60 and 0.052 μM for PKCα, PKCβI, PKCβII, PKCγ, PKCδ, PKCε and PKCη, respectively[1].

Ruboxistaurin mesylate inhibits Ca calmodulin and Rat Brain PKC with IC_{50} values of 6.2 and 0.32 μM, respectively[1].

Ruboxistaurin mesylate (10 and 400 nM; 4 days) significantly suppresses glucose-induced monocyte adherence under normoglycemic (NG) conditions[3].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

In Vivo	Ruboxistaurin mesylate (0.1, 1.0 and 10.0 mg/kg; oral administration, once daily for 4 weeks) decreases the increasing of leukocyte entrapment in the retinal microcirculation during the early diabetes period[4]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Male long-evans rats with streptozotocin induced diabetes[4]
Dosage:	0.1, 1.0 and 10.0 mg/kg
Administration:	Oral administration; 0.1, 1.0 and 10.0 mg/kg, once daily for 4 weeks
Result:	Significantly decreased the number of leukocytes in the retinal microcirculation of rats with streptozotocin induced diabetes.

CUSTOMER VALIDATION

- Sci Transl Med. 2018 Jul 18;10(450):eaaq1093.
- Acta Pharm Sin B. 2022.
- Cell Biosci. 2021 Feb 8;11(1):32.
- Endocrinology. 2018 May 1;159(5):2253-2263.
- ACS Omega. 2020 Oct 12;5(41):26551-26561.

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REFERENCES

[1]. Jirousek MR, et al. (S)-13-[(dimethylamino)methyl]-10,11,14,15-tetrahydro-4,9:16, 21-dimetheno-1H, 13H-dibenzo[e,k]pyrrolo[3,4-h][1,4,13]oxadiazacyclohexadecene-1,3(2H)-d ione (LY333531) and related analogues: isozyme selective inhibitors of protein kinase C beta. J Med Chem. 1996;39(14):2664-2671.

[2]. Ruboxistaurin: LY 333531. Drugs R D. 2007;8(3):193-199.

[3]. Kunt T, et al. The beta-specific protein kinase C inhibitor ruboxistaurin (LY333531) suppresses glucose-induced adhesion of human monocytes to endothelial cells in vitro. J Diabetes Sci Technol. 2007 Nov;1(6):929-35.

[4]. Nonaka A, et al. PKC-beta inhibitor (LY333531) attenuates leukocyte entrapment in retinal microcirculation of diabetic rats. Invest Ophthalmol Vis Sci. 2000 Aug;41(9):2702-6.

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