

Product Data Sheet

Product Name: Rosiglitazone

Cat. No.: GC16444

Chemical Properties

Cas. No. 122320-73-4

Chemical Name 5-[[4-[2-[methyl(pyridin-2-yl)amino]ethoxy]phenyl]methyl]-1,3-thiazolidine-2,4-dione

SMILES CN(CCOC1=CC=C(C=C1)CC2C(=O)NC(=O)S2)C3=CC=CC=N3

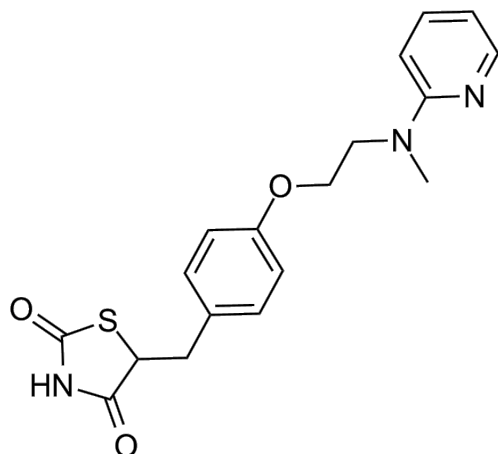
Formula $C_{18}H_{19}N_3O_3S$ M.Wt 357.43

Solubility 100mg/ml in DMSO Storage Store at 2-8°C

General tips For obtaining a higher solubility, please warm the tube at 37 °C and shake it in the ultrasonic bath for a while. Stock solution can be stored below -20°C for several months.

Shipping Condition Evaluation sample solution : ship with blue ice All other available size: ship with RT, or blue ice upon request.

Structure



Protocol

Cell experiment [1]:

Cell lines Neuron2A cells

Caution: Product has not been fully validated for medical applications. For research use only.

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Preparation Method Cells were treated with 1 μ M rosiglitazone for 24 or 48 h.

Reaction Conditions 1 μ M; 24 or 48 h

Applications Rosiglitazone treatment increased the levels of intracellular NF- α 1 and BCL-2 proteins.

Animal experiment [2]:

Animal models Offspring of the hybrid between NuTRAP mice and Adipoq-Cre mice.

Preparation Method NuTRAP mice were crossed with Adipoq-Cre mice. The mice were placed on a chow diet or high-fat diet (HFD) at 6 weeks of age for 10 weeks. Rosiglitazone stock solution was prepared by dissolving in dimethyl sulfoxide (DMSO) at a concentration of 50 mg/ml. The stock solution was freshly diluted on each day prior to injection in saline containing 2% Tween 80 at a concentration of 1.6mg/ml of Rosiglitazone(3.2% of the stock) and IP injected into mice at a dose of 8 mg/kg for 10 consecutive days.

Dosage form 8mg/kg; i.p.

Applications Rosiglitazone treatment reverses the molecular and cellular phenotypes in adipocytes associated with HFD.

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References:

[1] Thouennon E, Cheng Y, Falahatian V, et al. Rosiglitazone-activated PPAR γ induces neurotrophic factor- α 1 transcription contributing to neuroprotection[J]. Journal of neurochemistry, 2015, 134(3): 463-470.

[2] Roh H C, Kumari M, Taleb S, et al. Adipocytes fail to maintain cellular identity during obesity due to reduced PPAR γ activity and elevated TGF β -SMAD signaling[J]. Molecular metabolism, 2020, 42: 101086.

Background

Rosiglitazone is an orally active peroxisome proliferator-activated receptor gamma (PPAR γ) selective agonist that selectively activates chimeras containing the PPAR γ ligand-binding domain (LBD) but not PPAR α and PPAR δ with an EC₅₀ of 60 nM and a K_d of 40nM^[1, 2]. Rosiglitazone is an activator of transient receptor potential channel 5 (TRPC5) (EC₅₀ of 30 μ M) and an inhibitor of transient receptor potential cation channel subfamily M member 3 (TRPM3) ^[3].

In vitro, treatment of hippocampal neurons with rosiglitazone (1 μ M) for 24 or 48 h induced an increase in intracellular NF- α 1 and BCL-2 protein levels ^[4]. Treatment of A2780 and SKOV3 cells with rosiglitazone (0-50 μ M) for 7 days inhibited cell proliferation in a time-dependent and concentration-dependent manner ^[5].

In vivo, treatment of high-fat diet-fed obese mice with rosiglitazone (8 mg/kg) by intraperitoneal injection for 10 days reversed the abnormal molecular and cellular phenotypes of adipocytes ^[6]. Rosiglitazone (5 mg/kg) was orally administered to diabetic rats for 8 weeks and reduced the levels of IL-6, TNF- α , and VCAM-1, lipid peroxidation and nitric oxide, and increased aortic glutathionease and superoxide dismutase levels ^[7].

References:

[1] Bhagavathula N, Nerusu K C, Lal A, et al. Rosiglitazone inhibits proliferation, motility, and matrix metalloproteinase production in keratinocytes[J]. Journal of investigative dermatology, 2004, 122(1): 130-139.

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- [2] Lehmann J M, Moore L B, Smith-Oliver T A, et al. An antidiabetic Thiazolidinedione is a high affinity ligand for peroxisome proliferator-activated receptor(PPAR γ)[J]. Journal of Biological Chemistry, 1995, 270(22): 12953-12956.
- [3] Majeed Y, Bahnasi Y, Seymour V A L, et al. Rapid and contrasting effects of rosiglitazone on transient receptor potential TRPM3 and TRPC5 channels[J]. Molecular pharmacology, 2011, 79(6): 1023-1030.
- [4] Thouennon E, Cheng Y, Falahatian V, et al. Rosiglitazone-activated PPAR γ induces neurotrophic factor- α 1 transcription contributing to neuroprotection[J]. Journal of neurochemistry, 2015, 134(3): 463-470.
- [5] Wang Z, Gao J, Ohno Y, et al. Rosiglitazone ameliorates senescence and promotes apoptosis in ovarian cancer induced by olaparib[J]. Cancer Chemotherapy and Pharmacology, 2020, 85: 273-284.
- [6] Roh H C, Kumari M, Taleb S, et al. Adipocytes fail to maintain cellular identity during obesity due to reduced PPAR γ activity and elevated TGF β -SMAD signaling[J]. Molecular metabolism, 2020, 42: 101086.
- [7] Ateyya H, Nader M A, El-Sherbeeney N A. Beneficial effects of rosiglitazone and losartan combination in diabetic rats[J]. Canadian Journal of Physiology and Pharmacology, 2018, 96(3): 215-220.

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