

Rosiglitazone

Catalog No: tcsc1088



Available Sizes

Size: 50mg

Size: 200mg



Specifications

CAS No:

122320-73-4

Formula:

$C_{18}H_{19}N_3O_3S$

Pathway:

Cell Cycle/DNA Damage;Membrane Transporter/Ion Channel;Autophagy

Target:

PPAR;TRP Channel;Autophagy

Form:

White to off-white (Solid)

Purity / Grade:

99.48%

Solubility:

DMSO : ≥ 110 mg/mL (307.75 mM)

H₂O :

Storage Instruction:

Powder -20°C for 3 years; 4°C for 2 years In solvent -80°C for 6 months; -20°C for 1 month

Alternative Names:

BRL49653;2,4-Thiazolidinedione, 5-[[4-[2-(methyl-2-pyridinylamino)ethoxy]phenyl]methyl]-

Observed Molecular Weight:

357.43

Product Description

Rosiglitazone (BRL49653) is a potent thiazolidinedione insulin sensitizer. Rosiglitazone is a selective **PPAR γ** agonist with **EC₅₀**s of 30 nM, 100 nM and 60 nM for **PPAR γ 1**, **PPAR γ 2**, and **PPAR γ** , respectively.

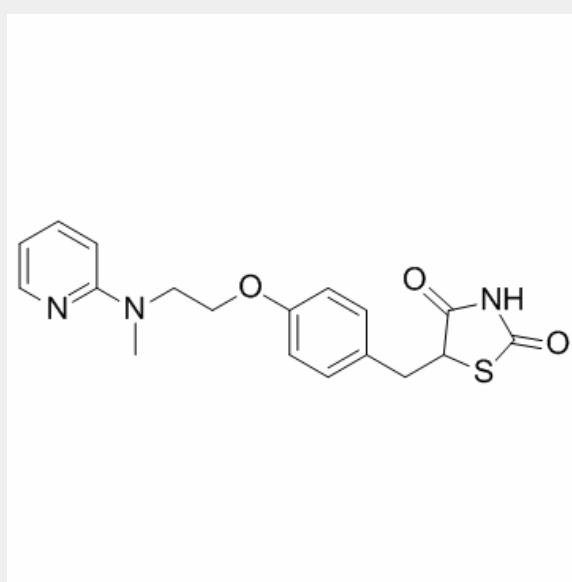
IC50 & Target: EC50: 30 nM (PPAR γ 1), 100 nM (PPAR γ 2)^[1], 60 nM (PPAR γ)^[2], appr 30 nM (TRPC5)^[4]

Kd: appr 40 nM (PPAR γ)^[1]

IC50: appr 22.5 μ M (TRPM2)^[4]

In Vitro: Rosiglitazone is a potent and selective activator of PPAR γ , with EC₅₀s of 30 nM and 100 nM for PPAR γ 1 and PPAR γ 2, respectively, and a K_d of appr 40 nM for PPAR γ . Rosiglitazone (BRL49653, 0.1, 1, 10 μ M) promotes differentiation of C3H10T1/2 stem cells to adipocytes^[1]. Rosiglitazone (Compound 6) activates PPAR γ , with an EC₅₀ of 60 nM^[2]. Rosiglitazone (1 μ M) activates PPAR γ , which binds to NF- α 1 promoter to activate gene transcription in neurons. Rosiglitazone (1 μ M) also protects Neuro2A cells and hippocampal neurons against oxidative stress, and up-regulates BCL-2 expression in an NF- α 1-dependent manner^[3]. Rosiglitazone completely inhibits TRPM3 with IC₅₀ values of 9.5 and 4.6 μ M against nifedipine- and PregS-evoked activity, but such effects are not via PPAR γ . Rosiglitazone inhibits TRPM2 at higher concentration, with an IC₅₀ of appr 22.5 μ M. Rosiglitazone is a strong stimulator of TRPC5 channels, with an EC₅₀ of \sim 30 μ M^[4].

In Vivo: Rosiglitazone (5 mg/kg, p.o.) decreases the serum glucose in diabetic rats. Rosiglitazone also decreases IL-6, TNF- α , and VCAM-1 levels in diabetic group. Rosiglitazone in combination with losartan increases glucose compared to diabetic and Los-treated groups. Rosiglitazone significantly ameliorates endothelial dysfunction indicated by a significantly lower contractile response to PE and Ang II and enhancement of ACh-provoked relaxation in aortas isolated from diabetic rats^[5].



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