

Rosiglitazone (maleate)

Catalog No: tcsc1692



Available Sizes

Size: 100mg

Size: 500mg



Specifications

CAS No:

155141-29-0

Formula:

$C_{22}H_{23}N_3O_7S$

Pathway:

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

Target:

PPAR;TRP Channel;Autophagy

Purity / Grade:

>98%

Solubility:

H₂O : 2 mg/mL (4.22 mM; Need ultrasonic)

Alternative Names:

BRL 49653C

Observed Molecular Weight:

473.5

Product Description

Rosiglitazone maleate is a potent and selective activator of **PPAR γ** , with **EC₅₀**s of 30 nM, 100 nM and 60 nM for **PPAR γ 1**, **PPAR γ 2**, and **PPAR γ** , respectively, and a **K_d** of appr 40 nM for **PPAR γ** ; Rosiglitazone maleate is also an modulator of **TRP channels**, inhibits

TRP melastatin 2 (TRPM2), TRPM3 and activates TRP canonical 5 (TRPC5).

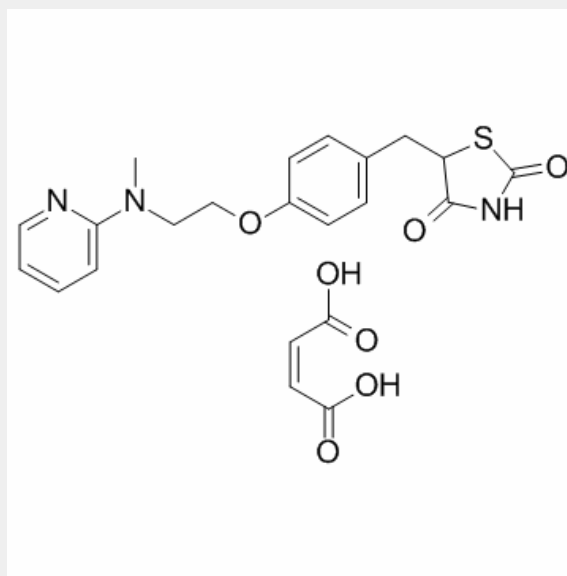
IC50 & Target: EC50: 30 nM (PPAR γ 1), 100 nM (PPAR γ 2)^[1], 60 nM (PPAR γ)^[2], appr 30 nM (TRPC5)^[4]

Kd: appr 40 nM (PPAR γ)^[1]

IC50: appr 22.5 μ M (TRPM2)^[4]

In Vitro: Rosiglitazone maleate 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 ~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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