Web: www.taiclone.com
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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 **PPARγ**, with **EC_{50}**s of 30 nM, 100 nM and 60 nM for **PPARγ1**, **PPARγ2**, and **PPARγ**, respectively, and a **K_d** of appr 40 nM for **PPARγ**; Rosiglitazone maleate is also an modulator of **TRP channels**, inhibits

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

IC50 & Target: EC50: 30 nM (PPARγ1), 100 nM (PPARγ2)[1], 60 nM (PPARγ)[2], appr 30 nM (TRPC5)[4]

Kd: appr 40 nM (PPARγ)[1]

IC50: appr 22.5 μM (TRPM2)[4]

In Vitro: Rosiglitazone maleate is a potent and selective activator of PPARγ, with EC_{50}s of 30 nM and 100 nM for PPARγ1 and PPARγ2, respectively, and a K_d of appr 40 nM for PPARγ. Rosiglitazone (BRL49653, 0.1, 1,10 μM) promotes differentiation of C3H10T1/2 stem cells to adipocytes[1]. Rosiglitazone (Compound 6) activates PPARγ, with an EC_{50} of 60 nM[2]. Rosiglitazone (1 μM) activates PPARγ, which binds to NF-α1 promoter to activate gene transcription in neurons. Rosiglitazone (1 μM) also protects Neuro2A cells and hippocampal neurons against oxidative stress, and up-regulates BCL-2 expression in an NF-α1-dependent manner [3]. Rosiglitazone completely inhibits TRPM3 with IC_{50} values of 9.5 and 4.6 μM against nifedipine- and PregS-evoked activity, but such effects are not via PPARγ. Rosiglitazone inhibits TRPM2 at higher concentration, with an IC_{50} of appr 22.5 μM. Rosiglitazone is a strong stimulator of TRPC5 channels, with an EC_{50} of ~30 μM[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].