Rosiglitazone hydrochloride

Cat. No.: HY-17386A
CAS No.: 302543-62-0
Molecular Formula: C₁₈H₂₀ClN₃O₃S
Molecular Weight: 393.89
Target: PPAR; TRP Channel; Autophagy; Ferroptosis
Pathway: Cell Cycle/DNA Damage; Membrane Transporter/Ion Channel; Neuronal Signaling; Autophagy; Apoptosis
Storage: Please store the product under the recommended conditions in the COA.

**BIOLOGICAL ACTIVITY**

**Description**
Rosiglitazone hydrochloride (BRL 49653 hydrochloride) is a selective, orally active PPAR\(\gamma\) agonist with EC\(_{50}\)s of 30 nM, 100 nM and 60 nM for PPAR\(\gamma\)1, PPAR\(\gamma\)2, and PPAR\(\gamma\), respectively. Rosiglitazone hydrochloride binds to PPAR\(\gamma\) with a K\(_d\) of approximately 40 nM. Rosiglitazone hydrochloride is also an activator of TRPC5 (EC\(_{50}\) = ~30 \(\mu\)M) and an inhibitor of TRPM3\([1][2][3][4]\).

**IC\(_{50}\) & Target**

<table>
<thead>
<tr>
<th>Target</th>
<th>IC(_{50})</th>
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<tbody>
<tr>
<td>PPAR(\gamma)1</td>
<td>30 nM (EC(_{50}))</td>
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<tr>
<td>PPAR(\gamma)2</td>
<td>100 nM (EC(_{50}))</td>
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<tr>
<td>TRPC5</td>
<td>TRPM3</td>
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**In Vitro**
Rosiglitazone is a potent and selective activator of PPAR\(\gamma\), with EC\(_{50}\)s of 30 nM and 100 nM for PPAR\(\gamma\)1 and PPAR\(\gamma\)2, respectively, and a K\(_d\) of appr 40 nM for PPAR\(\gamma\). Rosiglitazone (BRL49653, 0.1, 1,10 \(\mu\)M) promotes differentiation of C3H10T1/2 stem cells to adipocytes\[1\]. Rosiglitazone (Compound 6) activates PPAR\(\gamma\), with an EC\(_{50}\) of 60 nM\[2\]. Rosiglitazone (1 \(\mu\)M) activates PPAR\(\gamma\), which binds to NF-\(\alpha\)1 promoter to activate gene transcription in neurons. Rosiglitazone (1 \(\mu\)M) also protects Neuro2A cells and hippocampal neurons against oxidative stress, and up-regulates BCL-2 expression in an NF-\(\alpha\)1-dependent manner\[3\]. Rosiglitazone completely inhibits TRPM3 with IC\(_{50}\) values of 9.5 and 4.6 \(\mu\)M against nifedipine- and PregS-evoked activity, but such effects are not via PPAR\(\gamma\). Rosiglitazone inhibits TRPM2 at higher concentration, with an IC\(_{50}\) of appr 22.5 \(\mu\)M. Rosiglitazone is a strong stimulator of TRPC5 channels, with an EC\(_{50}\) of ~30 \(\mu\)M\[4\].

**In Vivo**
Rosiglitazone (5 mg/kg, p.o.) decreases the serum glucose in diabetic rats. Rosiglitazone also decreases IL-6, TNF-\(\alpha\), 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\[5\].

**CUSTOMER VALIDATION**

REFERENCES


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