Balaglitazone

Cat. No.: HY-16086
CAS No.: 199113-98-9
Molecular Formula: C₂₀H₁₇N₃O₄S
Molecular Weight: 395.43
Target: PPAR
Pathway: Cell Cycle/DNA Damage
Storage:
- Powder: -20°C, 3 years; 4°C, 2 years; In solvent: -80°C, 6 months; -20°C, 1 month

SOLVENT & SOLUBILITY

In Vitro DMSO: ≥ 500 mg/mL (1264.45 mM)
* "≥" means soluble, but saturation unknown.

<table>
<thead>
<tr>
<th>Preparing Stock Solutions</th>
<th>Solvent Concentration</th>
<th>Mass for 1 mg</th>
<th>Mass for 5 mg</th>
<th>Mass for 10 mg</th>
</tr>
</thead>
<tbody>
<tr>
<td></td>
<td>1 mM</td>
<td>2.5289 mL</td>
<td>12.6445 mL</td>
<td>25.2889 mL</td>
</tr>
<tr>
<td></td>
<td>5 mM</td>
<td>0.5058 mL</td>
<td>2.5289 mL</td>
<td>5.0578 mL</td>
</tr>
<tr>
<td></td>
<td>10 mM</td>
<td>0.2529 mL</td>
<td>1.2644 mL</td>
<td>2.5289 mL</td>
</tr>
</tbody>
</table>

Please refer to the solubility information to select the appropriate solvent.

BIOLOGICAL ACTIVITY

Description
Balaglitazone is a selective partial PPARγ agonist with an EC₅₀ of 1.351 μM for human PPARγ.

IC₅₀ & Target
PPARγ
351 nM (EC50, Human PPARγ)

In Vitro
Balaglitazone is a selective partial PPARγ agonist with an EC₅₀ of 1.351 μM[1]. Balaglitazone (5-100 μM) has equal cytotoxicity towards K562 and K562/DOX cells. Balaglitazone decreases doxorubicin cytotoxicity in K562 and K562/DOX cells, with IC₅₀s of 0.117 μM and 0.53 μM, respectively. Balaglitazone reverses multidrug resistance (MDR) in K562/DOX cells. Balaglitazone (25 μM) increases Rh123 accumulation in K562/DOX cells, but does not increases MFI in K562 cells. Balaglitazone downregulates P-gp expression in K562/DOX cells, and such effects are via upregulation of PTEN in K562/DOX cells, and be abolished by PTEN inhibition[2].

In Vivo
Balaglitazone (3 mg/kg, p.o.) shows antihyperglycaemic activity in fully diabetic and insulin resistant db/db mice, and
is more potent than the full PPARγ agonist rosiglitazone\[1\]. Balaglitazone (10 mg/kg, p.o.) suppresses overall glucose, decreases insulin levels, and increases bodyweight in male diet-induced obese rats, and such effects are equal to that of 30 mg/kg pioglitazone\[3\].

PROTOCOL

**Cell Assay**\[2\]

MTT assay is used for cell viability analyses. Briefly, K562 and K562/DOX cells are seeded in a 96-well plate in RPMI-1640 medium supplemented with 10% FBS at the density of $2 \times 10^4$ cells/well. After 24 h incubation, various concentrations of doxorubicin (DOX) with or without balaglitazone are diluted in RPMI-1640 medium (without FBS) and added into each well. Experiments for each group are performed in triplicates and with a blank control. After 48 h of treatment, the medium is removed and 200 μL of RPMI-1640 medium supplemented with 10% FBS and 10% MTT (5 mg/mL) is added. After incubation for another 4 h, the reduced intracellular formazan product is dissolved by replacing 100 μL of RPMI-1640 medium with the same volume of dimethyl sulfoxide (DMSO). Absorbance values are measured at 570 nm with a micro plate reader. The half maximal inhibitory concentration (IC$_{50}$) of each experiment is calculated. The resistance fold (RF) is calculated by dividing the IC$_{50}$ value of treatment in resistant cells by the IC$_{50}$ value of treatment in corresponding parental cells\[2\].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

**Animal Administration**\[1\]

Antihyperglycaemic effects of balaglitazone and rosiglitazone are assessed in adult male diabetic db/db mice. At 14 weeks of age, animals are randomised according to fasting blood glucose into 11 groups (n = 6). Mice are dosed orally once daily for 9 days with vehicle (0.2% carboxymethyl cellulose (CMC) + 0.4% Tween-80 in saline) or increasing doses of either balaglitazone (0.1; 0.3; 1.0; 3.0; 10.0 mg/kg/day) or rosiglitazone (0.2; 0.6; 2.0; 6.0 mg/kg/day). After 7 days of treatment, plasma samples obtained in the morning (between 8:00 and 10:00 AM) are analysed for glucose and insulin. After 9 days of treatment, animals are exposed to an oral glucose tolerance test (OGTT; 3.0 g/kg). The resulting area under the curve is calculated for each of the doses\[1\].

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REFERENCES


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