

# Product Information



## PPAR $\gamma$ Ligand Pack

Item No. 71000

### Laboratory Procedures

For long term storage, we suggest that the PPAR $\gamma$  Ligand Pack be stored as supplied at -20°C. It should be stable for at least one year.

The Cayman PPAR $\gamma$  Ligand Pack contains a combination of frequently used ligands for the PPAR $\gamma$  nuclear receptor. Each kit contains Ciglitazone, the first characterized member of the thiazolidinedione (TZD) class that binds to the PPAR $\gamma$  ligand-binding domain with an EC<sub>50</sub> value of 3.0  $\mu$ M.<sup>1,2</sup> Rosiglitazone and pioglitazone, key reference TZDs, are other PPAR $\gamma$  agonists provided. Also included is Troglitazone (Resulin), another TZD; it was withdrawn from human therapeutic use due to hepatotoxicity.<sup>3</sup> Also in this assortment is 15-deoxy- $\Delta^{12,14}$ -prostaglandin J<sub>2</sub> (15-deoxy- $\Delta^{12,14}$ -PGJ<sub>2</sub>), a potent PPAR $\gamma$  ligand derived from PGD<sub>2</sub>. The actions of all of these compounds can be antagonized by the selective PPAR $\gamma$  antagonist, GW 9662,<sup>4</sup> which is also in the kit.

Each of the items in this kit are provided as crystalline solids and have a  $\geq$ 98% purity, with the exception of 15-deoxy- $\Delta^{12,14}$ -PGJ<sub>2</sub> which is a 10 mg/ml solution in methyl acetate with a purity of  $\geq$ 98% as a mixture of isomers. Please see the chart below for the amount included and solubility information for the items in this kit.

Component	Amount	Solubility
15-deoxy- $\Delta^{12,14}$ -PGJ <sub>2</sub>	1 mg	>2.7 mg/ml in PBS (pH 7.2)
GW 9662	5 mg	>0.5 mg/ml in DMSO:PBS (pH 7.2) (1:1)
Ciglitazone	5 mg	>0.4 mg/ml in DMSO:PBS (pH 7.2) (1:4)
Rosiglitazone	5 mg	>0.5 mg/ml in DMSO:PBS (pH 7.2) (1:3)
Troglitazone	5 mg	>0.1 mg/ml in DMSO:PBS (pH 7.2) (1:6)
Pioglitazone	1 mg	>0.1 mg/ml in DMSO:PBS (pH 7.2) (1:1)

### References

- Willson, T.M., Cobb, J.E., Cowan, D.J., *et al.* The structure-activity relationship between peroxisome proliferator-activated receptor  $\gamma$  agonism and the antihyperglycemic activity of thiazolidinediones. *J. Med. Chem.* **39**, 665-668 (1996).
- Sohda, T., Mizuno, K., Imaniya, E., *et al.* Studies on antidiabetic agents. II. Synthesis of 5-[4-(1-methylcyclohexylmethoxy)-benzyl]thiazolidine-2,4-dione (ADD-3878) and its derivatives. *Chem. Pharm. Bull.* **30(10)**, 3580-3600 (1982).
- Kodera, Y., Takeyama, K., Murayama, A., *et al.* Ligand type-specific interactions of peroxisome proliferator-activated receptor  $\gamma$  with transcriptional coactivators. *J. Biol. Chem.* **275**, 33201-33204 (2000).
- Bendixen, A.C., Shevde, N.K., Dienger, K.M., *et al.* IL-4 inhibits osteoclast formation through a direct action on osteoclast precursors *via* peroxisome proliferator-activated receptor  $\gamma$ 1. *Proc. Natl. Acad. Sci. USA* **98**, 2443-2448 (2001).

### Related Products

15-deoxy- $\Delta^{12,14}$ -Prostaglandin J<sub>2</sub> - Item No. 18570 • 15-deoxy- $\Delta^{12,14}$ -Prostaglandin J<sub>2</sub> - Item No. 18570.1 • GW-9662 - Item No. 70785 • Ciglitazone - Item No. 71730 • Rosiglitazone - Item No. 71740 • Rosiglitazone (potassium salt) - Item No. 71742 • Troglitazone - Item No. 71750

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**WARNING: THIS PRODUCT IS FOR LABORATORY RESEARCH ONLY; NOT FOR ADMINISTRATION TO HUMANS. NOT FOR HUMAN OR VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.**

#### MATERIAL SAFETY DATA

This material should be considered hazardous until information to the contrary becomes available. Do not ingest, swallow, or inhale. Do not get in eyes, on skin, or on clothing. Wash thoroughly after handling. This information contains some, but not all, of the information required for the safe and proper use of this material. Before use, the user must review the complete Material Safety Data Sheet, which has been sent *via* email to your institution.

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Cayman Chemical Company makes **no warranty or guarantee** of any kind, whether written or oral, expressed or implied, including without limitation, any warranty of fitness for a particular purpose, suitability and merchantability, which extends beyond the description of the chemicals hereof. Cayman **warrants only** to the original customer that the material will **meet our specifications at the time of delivery.**

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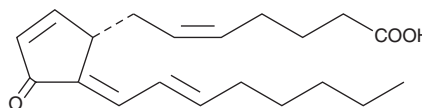
# Product Information



## 15-deoxy- $\Delta^{12,14}$ -Prostaglandin J<sub>2</sub>

Item No. 18570.1

<b>CAS Registry No.:</b>	87893-55-8
<b>Formal Name:</b>	11-oxo-prosta-5Z,9,12E,14E-tetraen-1-oic acid
<b>MF:</b>	C <sub>20</sub> H <sub>28</sub> O <sub>3</sub>
<b>FW:</b>	316.4
<b>Purity:</b>	≥98% (A mixture of isomers; the major component is the <i>trans,trans</i> - $\Delta^{12,14}$ isomer)
<b>Stability:</b>	≥1 year at -20°C
<b>Supplied as:</b>	A solution in methyl acetate
<b>UV/Vis.:</b>	$\lambda_{\text{max}}$ : 229, 240, 306 nm $\epsilon$ : 12,000 (at 306 nm)



### Laboratory Procedures

For long term storage, we suggest that 15-deoxy- $\Delta^{12,14}$ -prostaglandin J<sub>2</sub> (15-deoxy- $\Delta^{12,14}$ -PGJ<sub>2</sub>) be stored as supplied at -20°C. It should be stable for at least one year. 15-deoxy- $\Delta^{12,14}$ -PGJ<sub>2</sub> is also available as a solution in methyl acetate containing > 98% of the *trans,trans*- $\Delta^{12,14}$  isomer (Item No. 18570).

15-deoxy- $\Delta^{12,14}$ -PGJ<sub>2</sub> is supplied as a solution in methyl acetate. To change the solvent, simply evaporate the methyl acetate under a gentle stream of nitrogen and immediately add the solvent of choice. Solvents such as ethanol, DMSO, or dimethyl formamide purged with an inert gas can be used. The solubility of 15-deoxy- $\Delta^{12,14}$ -PGJ<sub>2</sub> in these solvents is 75, 20, and 100 mg/ml, respectively.

Further dilutions of the stock solution into aqueous buffers or isotonic saline should be made prior to performing biological experiments. Ensure that the residual amount of organic solvent is insignificant, since organic solvents may have physiological effects at low concentrations. If an organic solvent-free aqueous solution of 15-deoxy- $\Delta^{12,14}$ -PGJ<sub>2</sub> is needed, it can be prepared by evaporating the methyl acetate and directly dissolving the neat oil in aqueous buffers. The solubility of 15-deoxy- $\Delta^{12,14}$ -PGJ<sub>2</sub> in PBS (pH 7.2) is at least 2.7 mg/ml. Avoid adding 15-deoxy- $\Delta^{12,14}$ -PGJ<sub>2</sub> to basic solutions (pH > 7.4), since base treatment may polymerize the 15-deoxy- $\Delta^{12,14}$ -PGJ<sub>2</sub>. We do not recommend storing the aqueous solution for more than one day.

This formulation of 15-deoxy- $\Delta^{12,14}$ -PGJ<sub>2</sub> contains the *trans,cis*- $\Delta^{12,14}$  isomer as the major component as well as other double bond isomers which have similar PPAR $\gamma$  ligand activity.<sup>1</sup> 15-deoxy- $\Delta^{12,14}$ -PGJ<sub>2</sub> is formed from PGD<sub>2</sub> by the elimination of two molecules of water. It binds selectively to PPAR $\gamma$  with an EC<sub>50</sub> of 2  $\mu$ M in a murine chimera system.<sup>2,3</sup> 15-deoxy- $\Delta^{12,14}$ -PGJ<sub>2</sub> is more potent than PGD<sub>2</sub>,  $\Delta^{12}$ -PGJ<sub>2</sub>, and PGJ<sub>2</sub> in stimulating lipogenesis in C3H10T1/2 cells. The EC<sub>50</sub> for induction of adipocyte differentiation in cultured fibroblasts is 7  $\mu$ M.<sup>2</sup>

### References

1. Maxey, K.M., Hessler, E., MacDonald, J., *et al.* The nature and composition of 15-deoxy- $\Delta^{12,14}$ -PGJ<sub>2</sub>. *Prostaglandins and Other Lipid Mediators* **62**, 15-21 (2000).
2. Kliewer, S.A., Lenhard, J.M., Willson, T.M., *et al.* A prostaglandin J<sub>2</sub> metabolite binds peroxisome proliferator-activated receptor  $\gamma$  promotes adipocyte differentiation. *Cell* **83**, 813-819 (1995).
3. Forman, B.M., Tontonoz, P., Chen, J., *et al.* 15-Deoxy- $\Delta^{12,14}$ -prostaglandin J<sub>2</sub> is a ligand for the adipocyte determination factor PPAR $\gamma$ . *Cell* **83**, 803-812 (1995).

### Related Products

Prostaglandin D<sub>2</sub> - Item No. 12010 • 15-deoxy- $\Delta^{12,14}$ -Prostaglandin J<sub>2</sub> - Item No. 18570 • PPAR $\gamma$  Ligand Pack - Item No. 71000 • 15-deoxy- $\Delta^{12,14}$ -Prostaglandin J<sub>2</sub>-d<sub>4</sub> - Item No. 318570

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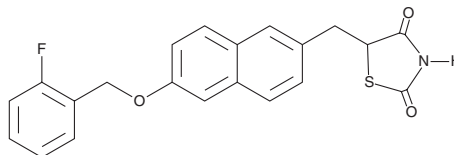
# Product Information



## MCC-555

Item No. 70735

**CAS Registry No.:** 161600-01-7  
**Formal Name:** 5-[[[6-[(2-fluorophenyl)methoxy]-2-naphthalenyl]methyl]-2,4-thiazolidinedione  
**MF:** C<sub>21</sub>H<sub>16</sub>NSO<sub>3</sub>F  
**FW:** 381.4  
**Purity:** ≥98%  
**Stability:** ≥1 year at -20°C  
**Supplied as:** A crystalline solid



### Laboratory Procedures

For long term storage, we suggest that MCC-555 be stored as supplied at -20°C. It should be stable for at least one year.

MCC-555 is supplied as a crystalline solid. A stock solution may be made by dissolving the MCC-555 in an organic solvent purged with an inert gas. MCC-555 is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF). The solubility of MCC-555 in these solvents is 1 mg/ml in ethanol and 30 mg/ml in DMSO and DMF.

MCC-555 is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, MCC-555 should first be dissolved in DMSO and then diluted with the aqueous buffer of choice. MCC-555 has a solubility of 1 mg/ml in a 1:1 solution of DMSO:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

The peroxisome proliferator-activated receptor- $\gamma$  (PPAR $\gamma$ ) is the nuclear receptor responsible for transducing the therapeutic activity of the thiazolidinediones (TZDs), a group of structurally related synthetic agonists with antidiabetic actions *in vivo*.<sup>1,2</sup> Rosiglitazone (BRL49653) is a prototypical TZD and has served as a reference compound for this class.<sup>3</sup>

MCC-555 is a structural homolog of rosiglitazone and the other TZDs. MCC-555 binds with about 1/10 the affinity of rosiglitazone to PPAR $\gamma$ .<sup>4</sup> Despite this, MCC-555 is a more potent antidiabetic agent in whole animal experiments than rosiglitazone and several other prototypic TZDs; the ED<sub>50</sub> value in these experiments was 2.7 mg/kg for MCC-555 compared with 7.1 mg/kg for rosiglitazone. MCC-555 is therefore a unique new member of the thiazolidinedione class and may be useful in differentiating some of the multiple activities attributed to this class of compounds.

### References

1. Willson, T.M., Cobb, J.E., Cowan, D.J., *et al.* The structure-activity relationship between peroxisome proliferator-activated receptor  $\gamma$  agonism and the antihyperglycemic activity of thiazolidinediones. *J. Med. Chem.* **39**, 665-668 (1996).
2. Cantello, B.C.C., Cawthorne, M.A., Cottam, G.P., *et al.* [[ $\omega$ -(Heterocyclamino)alkoxy]benzyl]-2,4-thiazolidinediones as potent antihyperglycemic agents. *J. Med. Chem.* **37**, 3977-3985 (1994).
3. Lehmann, J.M., Moore, L.B., Smith-Oliver, T.A., *et al.* An antidiabetic thiazolidinedione is a high affinity ligand for peroxisome proliferator-activated receptor  $\gamma$  (PPAR $\gamma$ ). *J. Biol. Chem.* **270**, 12953-12956 (1995).
4. Reginato, M.J., Bailey, S.T., Krakow, S.L., *et al.* A potent antidiabetic thiazolidinedione with unique peroxisome proliferator-activated receptor  $\gamma$ -activating properties. *J. Biol. Chem.* **273**, 32679-32684 (1998).

### Related Products

15-deoxy- $\Delta^{12,14}$ -Prostaglandin J<sub>2</sub> - Item No. 18570 • PPAR $\gamma$  Ligand Pack - Item No. 71000 • Ciglitazone - Item No. 71730 • PPAR $\gamma$  Polyclonal Antibody - Item No. 101700 • PPAR $\alpha$  Polyclonal Antibody - Item No. 101710 • PPAR $\gamma$  (human) cDNA Probe - Item No. 601700

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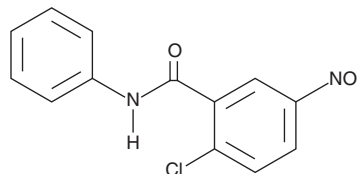
# Product Information



## GW 9662

Item No. 70785

<b>CAS Registry No.:</b>	22978-25-2
<b>Formal Name:</b>	2-Chloro-5-nitrobenzanilide
<b>MF:</b>	C <sub>13</sub> H <sub>9</sub> N <sub>2</sub> O <sub>3</sub> Cl
<b>FW:</b>	276.7
<b>Purity:</b>	≥98%
<b>Stability:</b>	≥2 years at -20°C
<b>Supplied as:</b>	A crystalline solid
<b>UV/Vis.:</b>	λ <sub>max</sub> : 261 nm



### Laboratory Procedures

For long term storage, we suggest that GW 9662 be stored as supplied at -20°C. It should be stable for at least two years.

GW 9662 is supplied as a crystalline solid. A stock solution may be made by dissolving the GW 9662 in an organic solvent purged with an inert gas. GW 9662 is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide. The solubility of GW 9662 in these solvents is 15, 33, and 35 mg/ml, respectively.

GW 9662 is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, GW 9662 should first be dissolved in DMF and then diluted with the aqueous buffer of choice. GW 9662 has a solubility of 0.5 mg/ml in a 1:2 solution of DMF:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

The peroxisome proliferator-activated receptor  $\gamma$  (PPAR $\gamma$ ) is the nuclear receptor responsible for transducing the therapeutic activity of the thiazolidinediones. Thiazolidinediones are a group of structurally related synthetic PPAR $\gamma$  agonists with antidiabetic actions *in vivo*.<sup>1,2</sup> Rosiglitazone (BRL 49653) is a prototypical thiazolidinedione and has served as a reference compound for this class.<sup>3</sup> There are many PPAR $\gamma$  agonists, including 15-deoxy- $\Delta^{12,14}$ -prostaglandin J<sub>2</sub> and azelaoyl PAF, which are naturally derived.<sup>4,5</sup> However, only a few antagonists have been reported.<sup>6</sup> GW 9662 blocks the PPAR $\gamma$ -induced differentiation of monocytes to osteoclasts by >90% at a dose of 0.1  $\mu$ M.<sup>6</sup> It is therefore a much more potent antagonist than BADGE, which is another reported PPAR $\gamma$  antagonist.<sup>7</sup>

### References

- Willson, T.M., Cobb, J.E., Cowan, D.J., *et al.* The structure-activity relationship between peroxisome proliferator-activated receptor  $\gamma$  agonism and the antihyperglycemic activity of thiazolidinediones. *J. Med. Chem.* **39**, 665-668 (1996).
- Cantello, B.C.C., Cawthorne, M.A., Cottam, G.P., *et al.* [[ $\omega$ -(Heterocyclamino)alkoxy]benzyl]-2,4-thiazolidinediones as potent antihyperglycemic agents. *J. Med. Chem.* **37**, 3977-3985 (1994).
- Lehmann, J.M., Moore, L.B., Smith-Oliver, T.A., *et al.* An antidiabetic thiazolidinedione is a high affinity ligand for peroxisome proliferator-activated receptor  $\gamma$  (PPAR $\gamma$ ). *J. Biol. Chem.* **270**, 12953-12956 (1995).
- Davies, S.S., Pontsler, A.V., Marathe, G.K., *et al.* Oxidized alkyl phospholipids are specific, high affinity peroxisome proliferator-activated receptor  $\gamma$  ligands and agonists. *J. Biol. Chem.* **276**, 16015-16023 (2001).
- Maxey, K.M., Hessler, E., MacDonald, J., *et al.* The nature and composition of 15-deoxy- $\Delta^{12,14}$ -PGJ<sub>2</sub>. *Prostaglandins and Other Lipid Mediators* **62**, 15-21 (2000).
- Bendixen, A.C., Shevde, N.K., Dienger, K.M., *et al.* IL-4 inhibits osteoclast formation through a direct action on osteoclast precursors *via* peroxisome proliferator-activated receptor $\gamma$ 1. *Proc. Natl. Acad. Sci. USA* **98**, 2443-2448 (2001).
- Wright, H.M., Clish, C.B., Mikami, T., *et al.* A synthetic antagonist for the peroxisome proliferator-activated receptor  $\gamma$  inhibits adipocyte differentiation. *J. Biol. Chem.* **275**, 1873-1877 (2000).

### Related Products

15-deoxy- $\Delta^{12,14}$ -Prostaglandin J<sub>2</sub> - Item No. 18570 • Azelaoyl PAF - Item No. 60924 • BADGE - Item No. 70790 • PPAR $\gamma$  Ligand Pack - Item No. 71000 • Ciglitazone - Item No. 71730 • Rosiglitazone - Item No. 71740 • Rosiglitazone (potassium salt) - Item No. 71742

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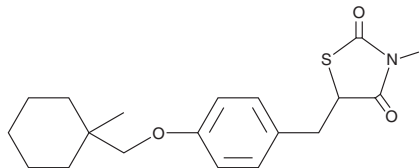
# Product Information



## Ciglitazone

Item No. 71730

**CAS Registry No.:** 74772-77-3  
**Formal Name:** 5-[[4-[(1-methylcyclohexyl)methoxy] phenyl] methyl]-2,4-thiazolidinedione  
**Synonyms:** ADD 3878; U-63287  
**MF:** C<sub>18</sub>H<sub>23</sub>NO<sub>3</sub>S  
**FW:** 333.4  
**Purity:** ≥98%  
**Stability:** ≥1 year at -20°C  
**Supplied as:** A crystalline solid  
**UV/Vis.:** λ<sub>max</sub>: 228, 279, 284 nm



### Laboratory Procedures

For long term storage, we suggest that ciglitazone be stored as supplied at -20°C. It should be stable for at least one year.

Ciglitazone is supplied as a crystalline solid. A stock solution may be made by dissolving the ciglitazone in an organic solvent purged with an inert gas. Ciglitazone is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide is at least 16 mg/ml.

Ciglitazone is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, ciglitazone should first be dissolved in DMSO and then diluted with the aqueous buffer of choice. Ciglitazone has a solubility of 400 µg/ml in a 1:4 solution of DMSO:PBS (pH 7.2) using this method. Store aqueous solutions of ciglitazone on ice and use within 12 hours of preparation. We do not recommend storing the aqueous solution for more than one day.

Ciglitazone is a potent and selective PPAR $\gamma$  ligand. It binds to the PPAR $\gamma$  ligand-binding domain with an EC<sub>50</sub> value of 3.0 µM.<sup>1</sup> Ciglitazone is active *in vivo* as a anti-hyperglycemic agent in the *ob/ob* mouse model.<sup>1</sup>

### Reference

- Willson, T.M., Cobb, J.E., Cowan, D.J., *et al.* The structure-activity relationship between peroxisome proliferator-activated receptor  $\gamma$  agonism and the antihyperglycemic activity of thiazolidinediones. *J. Med. Chem.* **39**, 665-668 (1996).

### Related Products

15-deoxy- $\Delta^{12,14}$ -Prostaglandin J<sub>2</sub> - Item No. 18570 • GW 9962 - Item No. 70785 • PPAR $\gamma$  Ligand Pack - Item No. 71000 • Rosiglitazone - Item No. 71740 • Rosiglitazone (potassium salt) - Item No. 71742 • MEDICA 16 - Item No. 90290 • PPAR $\gamma$  Polyclonal Antibody - Item No. 101700 • PPAR $\gamma$  (human) cDNA Probe - Item No. 601700

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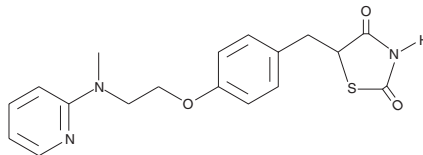
# Product Information



## Rosiglitazone

Item No. 71740

**CAS Registry No.:** 122320-73-4  
**Formal Name:** 5-[[4-(2-methyl-2-pyridinylamino)ethoxy]phenyl]methyl]-2,4-thiazolidinedione  
**Synonym:** BRL 49653  
**MF:** C<sub>18</sub>H<sub>19</sub>N<sub>3</sub>O<sub>3</sub>S  
**FW:** 357.4  
**Purity:** ≥98%  
**Stability:** ≥2 years at -20°C  
**Supplied as:** A crystalline solid  
**UV/Vis.:** λ<sub>max</sub>: 203, 248 nm



### Laboratory Procedures

For long term storage, we suggest that rosiglitazone be stored as supplied at -20°C. It should be stable for at least two years.

Rosiglitazone is supplied as a crystalline solid. A stock solution may be made by dissolving the rosiglitazone in an organic solvent purged with an inert gas. Rosiglitazone is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide. The solubility of rosiglitazone in these solvents is 1, 34, and 25 mg/ml, respectively.

Rosiglitazone is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, rosiglitazone should first be dissolved in DMSO and then diluted with the aqueous buffer of choice. Rosiglitazone has a solubility of 0.5 mg/ml in a 1:3 solution of DMSO:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Thiazolidinediones are a group of structurally related peroxisome proliferator-activated receptor  $\gamma$  (PPAR $\gamma$ ) agonists with antidiabetic actions *in vivo*.<sup>1,2</sup> Rosiglitazone (BRL 49653) is a prototypical thiazolidinedione and has served as a reference compound for this class.<sup>3</sup> Rosiglitazone is a potent and selective PPAR $\gamma$  ligand. It binds to the PPAR $\gamma$  ligand-binding domain with a K<sub>d</sub> of 43 nM.<sup>3</sup> It activates luciferase-based expression constructs PPAR $\gamma$ <sub>1</sub> and PPAR $\gamma$ <sub>2</sub> with EC<sub>50</sub> values of approximately 30 nM and 100 nM, respectively.<sup>3</sup> Rosiglitazone is active *in vivo* as an antidiabetic agent in the *ob/ob* mouse model, and has been used as an oral hypoglycemic agent in the treatment of Type II diabetes in humans for many years.

### References

- Willson, T.M., Cobb, J.E., Cowan, D.J., *et al.* The structure-activity relationship between peroxisome proliferator-activated receptor  $\gamma$  agonism and the antihyperglycemic activity of thiazolidinediones. *J. Med. Chem.* **39**, 665-668 (1996).
- Cantello, B.C.C., Cawthorne, M.A., Cottam, G.P., *et al.* [[ $\omega$ -(Heterocyclamino)alkoxy]benzyl]-2,4-thiazolidinediones as potent antihyperglycemic agents. *J. Med. Chem.* **37**, 3977-3985 (1994).
- Lehmann, J.M., Moore, L.B., Smith-Oliver, T.A., *et al.* An antidiabetic thiazolidinedione is a high affinity ligand for peroxisome proliferator-activated receptor  $\gamma$  (PPAR $\gamma$ ). *J. Biol. Chem.* **270**, 12953-12956 (1995).

### Related Products

15-deoxy- $\Delta^{12,14}$ -Prostaglandin J<sub>2</sub> - Item No. 18570 • Azelaoyl PAF - Item No. 60924 • GW 9662 - Item No. 70785 • BADGE - Item No. 70790 • PPAR $\gamma$  Ligand Pack - Item No. 71000 • Ciglitazone - Item No. 71730 • Rosiglitazone (potassium salt) - Item No. 71742

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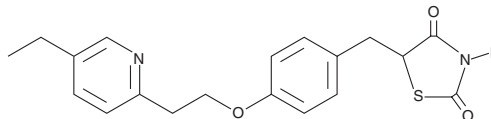
# Product Information



## Pioglitazone

Item No. 71745

<b>CAS Registry No.:</b>	111025-46-8
<b>Formal Name:</b>	5-[[4-[2-(5-ethyl-2-pyridinyl)ethoxy]phenyl]methyl-2,4-thiazolidinedione
<b>MF:</b>	C <sub>19</sub> H <sub>20</sub> N <sub>2</sub> O <sub>3</sub> S
<b>FW:</b>	356.4
<b>Purity:</b>	≥98%
<b>Stability:</b>	≥1 year at -20°C
<b>Supplied as:</b>	A crystalline solid
<b>UV/Vis.:</b>	λ <sub>max</sub> : 267 nm



### Laboratory Procedures

For long term storage, we suggest that pioglitazone be stored as supplied at -20°C. It should be stable for at least one year.

Pioglitazone is supplied as a crystalline solid. A stock solution may be made by dissolving the pioglitazone in an organic solvent purged with an inert gas. Pioglitazone is soluble in organic solvents such as DMSO and dimethyl formamide. The solubility of pioglitazone in these solvents is at least 2.5 mg/ml.

Pioglitazone is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, pioglitazone should first be dissolved in DMSO and then diluted with the aqueous buffer of choice. Pioglitazone has a solubility of 100 µg/ml in a 1:1 solution of DMSO:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Thiazolidinediones (TZDs) are a group of structurally related PPARγ agonists with anti-diabetic actions *in vivo*.<sup>1,2</sup> Rosiglitazone (BRL49653) is a prototypical TZD and has served as a reference compound for this class of PPARγ ligands.<sup>3</sup>

Pioglitazone is a closely related TZD which also selectively activates the human PPARγ-1. Pioglitazone is about one tenth as potent as rosiglitazone, with an EC<sub>50</sub> of about 500-600 nM for both human and mouse PPARγ.<sup>4,5</sup> In a transactivation assay using COS-1 cells transfected with full length human PPARα and RXRα, pioglitazone and rosiglitazone exhibit low level activation of PPARα at 1 µM and 5.4- and 4.2-fold activation, respectively, at a concentration of 10 µM.<sup>4</sup>

### References

- Willson, T.M., Cobb, J.E., Cowan, D.J., *et al.* The structure-activity relationship between peroxisome proliferator-activated receptor γ agonism and the antihyperglycemic activity of thiazolidinediones. *J. Med. Chem.* **39**, 665-668 (1996).
- Cantello, B.C.C., Cawthorne, M.A., Cottam, G.P., *et al.* [[ω-(Heterocyclamino)alkoxy]benzyl]-2,4-thiazolidinediones as potent antihyperglycemic agents. *J. Med. Chem.* **37**, 3977-3985 (1994).
- Lehmann, J.M., Moore, L.B., Smith-Oliver, T.A., *et al.* An antidiabetic thiazolidinedione is a high affinity ligand for peroxisome proliferator-activated receptor γ (PPARγ). *J. Biol. Chem.* **270**, 12953-12956 (1995).
- Sakamoto, J., Kimura, H., Moriyama, S., *et al.* Activation of human peroxisome proliferator-activated receptor (PPAR) subtypes by pioglitazone. *Biochem. Biophys. Res. Commun.* **278**, 704-711 (2000).
- Willson, T.M., Brown, P.J., Sternbach, D.D., *et al.* The PPARs: from orphan receptors to drug discovery. *J. Med. Chem.* **43**(4), 528-550 (2000).

### Related Products

GW 9662 - Item No. 70785 • PPARγ Ligand Pack - Item No. 71000 • Ciglitazone - Item No. 71730 • Troglitazone - Item No. 71750

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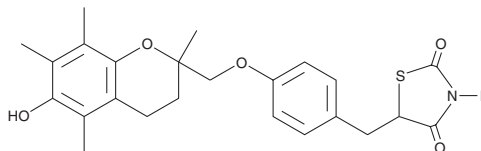
# Product Information



## Troglitazone

Item No. 71750

**CAS Registry No.:** 97322-87-7  
**Formal Name:** 5-[[4-[(3,4-dihydro-6-hydroxy-2,5,7,8-teramethyl-2H-1-benzopyran-2-yl)methoxy]phenyl]methyl]-2,4-thiazolidinedione  
**Synonym:** Resulin™  
**MF:** C<sub>24</sub>H<sub>27</sub>NO<sub>5</sub>S  
**FW:** 441.5  
**Purity:** ≥98%  
**Stability:** ≥1 year at -20°C  
**Supplied as:** A crystalline solid



### Laboratory Procedures

For long term storage, we suggest that troglitazone be stored as supplied at -20°C. It should be stable for at least one year.

Troglitazone is supplied as a crystalline solid. A stock solution may be made by dissolving the troglitazone in an organic solvent purged with an inert gas. Troglitazone is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF). The solubility of troglitazone in these solvents is at least 300 µg/ml in ethanol and 30 mg/ml in DMSO and DMF.

Troglitazone is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, troglitazone should first be dissolved in DMSO and then diluted with the aqueous buffer of choice. Troglitazone has a solubility of 100 µg/ml in a 1:6 solution of DMSO:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Thiazolidinediones (TZDs) are a group of structurally related PPAR $\gamma$  agonists with antidiabetic actions *in vivo*.<sup>1,2</sup> Rosiglitazone (BRL 49653) is a prototypical TZD and has served as a reference compound for this class.<sup>3</sup> Troglitazone is a TZD which was approved for the treatment of insulin resistance and hyperglycemia in Type II diabetes, under the trade name Resulin™, but was withdrawn from the market due to hepatotoxicity.

Troglitazone is a potent and selective PPAR $\gamma$  agonist. The EC<sub>50</sub> values for transactivation of human and mouse PPAR $\gamma$  in a cell-based assay are 0.55 and 0.78 µM, respectively.<sup>4</sup> In the same assay system, no activation of PPAR $\alpha$  and PPAR $\delta$  was observed at concentrations up to 10 µM. Troglitazone binds to the PPAR $\gamma$  ligand-binding domain (LBD) but fails to induce interaction of the PPAR $\gamma$  LBD with the transcriptional coactivators SRC-1, TIF2, AIB1, p300, or TRAP220.<sup>5</sup> Troglitazone also induces cell cycle arrest and apoptosis in several cancer cell lines with an EC<sub>50</sub> of 10 µM.<sup>6</sup>

### References

- Willson, T.M., Cobb, J.E., Cowan, D.J., *et al.* The structure-activity relationship between peroxisome proliferator-activated receptor  $\gamma$  agonism and the antihyperglycemic activity of thiazolidinediones. *J. Med. Chem.* **39**, 665-668 (1996).
- Cantello, B.C.C., Cawthorne, M.A., Cottam, G.P., *et al.* [[ $\omega$ -(Heterocyclamino)alkoxy]benzyl]-2,4-thiazolidinediones as potent antihyperglycemic agents. *J. Med. Chem.* **37**, 3977-3985 (1994).
- Lehmann, J.M., Moore, L.B., Smith-Oliver, T.A., *et al.* An antidiabetic thiazolidinedione is a high affinity ligand for peroxisome proliferator-activated receptor  $\gamma$  (PPAR $\gamma$ ). *J. Biol. Chem.* **270**, 12953-12956 (1995).
- Willson, T.M., Brown, P.J., Sternbach, D.D., *et al.* The PPARs: from orphan receptors to drug discovery. *J. Med. Chem.* **43**(4), 528-550 (2000).
- Kodera, Y., Takeyama, K., Murayama, A., *et al.* Ligand type-specific interactions of peroxisome proliferator-activated receptor  $\gamma$  with transcriptional coactivators. *J. Biol. Chem.* **275**, 33201-33204 (2000).
- Yoshizawa, K., Cioca, D.P., Kawa, S., *et al.* Peroxisome proliferator-activated receptor  $\gamma$  ligand troglitazone induces cell cycle arrest and apoptosis of hepatocellular carcinoma cell lines. *Cancer* **95**(10), 2243-2251 (2002).

### Related Products

15-deoxy- $\Delta^{12,14}$ -Prostaglandin J<sub>2</sub> - Item No. 18570 • GW-9662 - Item No. 70785 • PPAR $\gamma$  Ligand Pack - Item No. 71000 • Ciglitazone - Item No. 71730

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# Product Information



## GW 501516

Item No. 10004272

**CAS Registry No.:** 317318-70-0

**Formal Name:** [2-methyl-4-[[[4-methyl-2-[4-(trifluoromethyl)phenyl]-5-thiazolyl]methyl]thio]phenoxy]-acetic acid

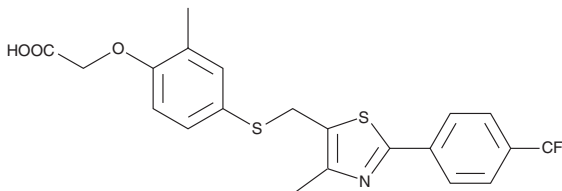
**MF:** C<sub>21</sub>H<sub>18</sub>F<sub>3</sub>NO<sub>3</sub>S<sub>2</sub>

**FW:** 453.5

**Purity:** ≥98%

**Stability:** ≥2 years at -20°C

**Supplied as:** A crystalline solid



### Laboratory Procedures

For long term storage, we suggest that GW 501516 be stored as supplied at -20°C. It should be stable for at least two years.

GW 501516 is supplied as a crystalline solid. A stock solution may be made by dissolving the GW 501516 in an organic solvent purged with an inert gas. GW 501516 is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF). The solubility of GW 501516 in these solvents is 12, 20, and 25 mg/ml, respectively.

GW 501516 is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, GW 501516 should first be dissolved in DMF and then diluted with the aqueous buffer of choice. GW 501516 has a solubility of 0.5 mg/ml in a 1:2 solution of DMF:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Peroxisome proliferator-activated receptor  $\delta$  (PPAR $\delta$ ) stimulation or over-expression in adipocytes leads to increased fatty acid oxidation, improved exercise tolerance, and resistance to obesity.<sup>1</sup> GW 501516 is the first highly selective synthetic PPAR $\delta$  agonist available. GW 501516 binds to human PPAR $\delta$  with an IC<sub>50</sub> of 1 nM, and is at least 100-fold selective for PPAR $\delta$  compared to PPAR $\alpha$  and PPAR $\gamma$ .<sup>2</sup> In obese primates, GW 501516 increases high density lipoprotein cholesterol and apolipoprotein A-1 specific reverse cholesterol transport.<sup>3</sup> GW 501516 is therefore a model compound for a new type of obesity therapeutic, as well as a selective pharmacological tool for understanding lipid metabolism.

### References

1. Wang, Y.-X., Lee, C.-H., Tiep, S., *et al.* Peroxisome-proliferator-activated receptor  $\delta$  activates fat metabolism to prevent obesity. *Cell* **113**, 159-170 (2003).
2. Sznajdman, M.L., Haffner, C.D., Maloney, P.R., *et al.* Novel selective small molecule agonists for peroxisome proliferator-activated receptor  $\delta$  (PPAR $\delta$ )-synthesis and biological activity. *Bioorg. Medicinal Chem. Letters* **13**, 1517-1521 (2003).
3. Oliver, W.R., Shenk, J.L., Snaith, M.R., *et al.* A selective peroxisome proliferator-activated receptor  $\delta$  agonist promotes reverse cholesterol transport. *Proc. Natl. Acad. Sci. USA* **98**(9), 5306-5311 (2001).

### Related Products

15-deoxy- $\Delta^{12,14}$ -Prostaglandin J<sub>2</sub> - Item No. 18570 • Azelaoyl PAF - Item No. 60924 • GW 9662 - Item No. 70785 • BADGE - Item No. 70790 • Ciglitazone - Item No. 71730 • Rosiglitazone - Item No. 71740 • Rosiglitazone (potassium salt) - Item No. 71742 • PPAR $\delta$  Polyclonal Antibody - Item No. 101720

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