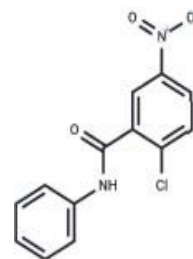


## GW9662 [22978-25-2]

#Cat: NB-64-11861-5mg	Size: 5mg
#Cat: NB-64-11861-10mg	Size: 10mg
#Cat: NB-64-11861-25mg	Size: 25mg
#Cat: NB-64-11861-50mg	Size: 25mg
#Cat: NB-64-11861-100mg	Size: 100mg
#Cat: NB-64-11861-500mg	Size: 500mg
#Cat: NB-64-11861-1mL	Size: 1mL

### Chemical Properties

CAS No. :	22978-25-2
Formula:	C <sub>13</sub> H <sub>9</sub> ClN <sub>2</sub> O <sub>3</sub>
Molecular Weight :	276.68
Appearance:	Solid
Storage:	Powder: -20°C for 3 years   In solvent: -80°C for 1 year



### Biological Description

Description	GW9662 (TIMTEC-BB SBB006523) is a PPAR $\gamma$ antagonist (IC <sub>50</sub> = 3.3 nM) with selectivity. GW9662 can be used to study the pathogenesis of metabolic diseases, such as obesity and diabetes, by inhibiting the activity of PPAR $\gamma$ . GW9662 can also be used to study the pathogenesis of inflammatory diseases, such as atherosclerosis and rheumatoid arthritis. GW9662 has anti-tumor effect.
Targets (IC50)	PPAR
In vitro	<b>METHODS:</b> Human breast cancer cell lines (MCF7, MDA-MB-468, and MDA-MB-231) were treated with GW9662 (100 nM–50 mM) for 72 hours, and MTT assay was used to detect the inhibition of cell growth. <b>RESULTS:</b> GW9662 significantly inhibited the proliferation of MCF7, MDA-MB-468, and MDA-MB-231 cells (IC <sub>50</sub> = 20-30 $\mu$ M). [1]
In vivo	<b>METHODS:</b> To study the blocking effect of GW9662 on the protective effect of lipopolysaccharide, first, rats were pretreated with lipopolysaccharide (1 mg/kg, i.p.), which could significantly weaken all ischemia/reperfusion injury characteristics caused by renal injury and dysfunction. Then, GW9662 (1 mg/kg) was intraperitoneally injected into the rats. <b>RESULTS:</b> GW9662 can block the protective effect of lipopolysaccharide.[2]
Kinase Assay	Binding assay: The human PPAR $\alpha$ , PPAR $\gamma$ , and PPAR $\delta$ ligand binding domains (LBDs) are expressed in <i>E. coli</i> as polyhistidine-tagged fusion proteins. Receptors are immobilized on SPA beads by addition of the desired receptor (15 nM) to a slurry of streptavidin-modified SPA beads (0.5 mg/mL) in assay buffer. The mixture is allowed to equilibrate for at least 1 hour at room temperature, and the beads are pelleted by centrifugation at 1 $\times$ 10 <sup>3</sup> g. The supernate is discarded, and the beads are resuspended in the original volume of fresh assay buffer with gentle mixing. The centrifugation/resuspension

	<p>procedure is repeated, and the resulting slurry of receptor-coated beads is used immediately or stored at 4 °C for up to 1 week before use. [<sup>3</sup>H]GW2443 are used as radioligands for determination of competition binding to PPAR<math>\alpha</math>, PPAR<math>\gamma</math>, and PPAR<math>\delta</math>, respectively. Unless otherwise indicated, the buffer used for all assays is 50 mM HEPES (pH 7), 50 mM NaCl, 5 mM CHAPS, 0.1 mg/mL BSA, and 10 mM DTT. For some experiments, the HEPES (pH 7) is replaced with 50 mM Tris (pH 8).</p>
Cell Research	<p>MDA-MB-231 cells are seeded at a density of <math>1 \times 10^5</math> cells per 25 cm<sup>3</sup> tissue culture flask. After 24 h (day 0), the growth medium is replaced with fresh medium containing rosiglitazone (50 <math>\mu</math>M), GW9662 (10 <math>\mu</math>M) or both together. Control flasks receives 0.1 % DMSO. Cells are harvested on days 0, 3, 5, 7, 10 for each treatment condition by trypsinisation, stained using trypan blue, and the total viable number of cells per flask calculates using a haemocytometer. 5only for Reference)</p>

### Solubility Information

Solubility	<p>DMSO: 255 mg/mL (921.64 mM), Sonication is recommended.          Ethanol: 6.9 mg/mL (25 mM), Heating is recommended.          (&lt; 1 mg/mL refers to the product slightly soluble or insoluble)</p>
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### Preparing stock Solutions

	1mg	5mg	10mg
1mM	3.6143 mL	18.0714 mL	36.1428 mL
5mM	0.7229 mL	3.6143 mL	7.2286 mL
10mM	0.3614 mL	1.8071 mL	3.6143 mL
50mM	0.0723 mL	0.3614 mL	0.7229 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

### Reference

- Seargent JM, et al. GW9662, a potent antagonist of PPAR $\gamma$ , inhibits growth of breast tumour cells and promotes the anticancer effects of the PPAR $\gamma$  agonist rosiglitazone, independently of PPAR $\gamma$  activation. *Br J Pharmacol*. 2004 Dec;143(8):933-7.
- Miao Y, Wu X, Xue X, et al. Morin, the PPAR $\gamma$  agonist, inhibits Th17 differentiation by limiting fatty acid synthesis in collagen-induced arthritis. *Cell Biology and Toxicology*. 2022: 1-20.
- Miao Y, Zhang Y, Qiao S, et al. Oral administration of curcumin ameliorates pulmonary fibrosis in mice through 15d-PGJ2-mediated induction of hepatocyte growth factor in the colon[J]. *Acta Pharmacologica Sinica*. 2020: 1-14.
- Collino M, et al. The selective PPAR $\gamma$  antagonist GW9662 reverses the product of LPS in a model of renal ischemia-reperfusion. *Kidney Int*. 2005 Aug;68(2):529-36.
- Yang L, Zheng Y, Miao Y, et al. Bergenin, a PPAR $\gamma$  agonist, inhibits Th17 differentiation and subsequent neutrophilic asthma by preventing GLS1-dependent glutaminolysis. *Acta Pharmacologica Sinica*. 2021: 1-14.
- Bendixen AC, et al. *Proc Natl Acad Sci U S A*, 2001, 98(5), 2443-2448.
- Miao Y, Zhang Y, Yang L, et al. The activation of PPAR $\gamma$  enhances Treg responses through up-regulating CD36/CPT1-mediated fatty acid oxidation and subsequent N-glycan branching of TBRII/IL-2R $\alpha$ . *Cell Communication and Signaling*. 2022, 20(1): 1-22
- Miao Y, Zhang Y, Qiao S, et al. Oral administration of curcumin ameliorates pulmonary fibrosis in mice through

15d-PGJ2-mediated induction of hepatocyte growth factor in the colon. *Acta Pharmacologica Sinica*. 2020: 1-14

Starkey K, et al. *J Clin Endocrinol Metab*, 2003, 88(1), 55-59.

Collino M, et al. *Kidney Int*, 2005, 68(2), 529-536.

Zong X, Wang H, Xiao X, et al. Cathelicidin-WA facilitated intestinal fatty acid absorption through enhancing PPAR $\gamma$  dependent barrier function. *Frontiers in immunology*. 2019, 10: 1674.

Qiao N, Lin Y, Wang Z, et al. Maresin1 Promotes M2 Macrophage Polarization Through peroxisome proliferator-activated receptor- $\gamma$  Activation to Expedite Resolution of Acute Lung Injury. *Journal of Surgical Research*. 2020, 256: 584-594.

Sato K, et al. PPAR $\gamma$  antagonist attenuates mouse immune-mediated bone marrow failure by inhibition of T cell function. *Haematologica*. 2016 Jan;101(1):57-67.

Qiao N, Lin Y, Wang Z, et al. Maresin1 Promotes M2 Macrophage Polarization Through peroxisome proliferator-activated receptor- $\gamma$  Activation to Expedite Resolution of Acute Lung Injury[J]. *Journal of Surgical Research*. 2020, 256: 584-594.

Lin X, Ma Y, Qian T, et al. Basic Fibroblast Growth Factor Promotes Prehierarchical Follicle Growth and Yolk Deposition in the Chicken. *Theriogenology*. 2019.

Zong X, Wang H, Xiao X, et al. Cathelicidin-WA facilitated intestinal fatty acid absorption through enhancing PPAR $\gamma$  dependent barrier function[J]. *Frontiers in immunology*. 2019, 10: 1674.

Qiao N, Lin Y, Wang Z, et al. Maresin1 Promotes M2 Macrophage Polarization Through peroxisome proliferator-activated receptor- $\gamma$  Activation to Expedite Resolution of Acute Lung Injury. *Journal of Surgical Research*. 2020, 256: 584-594.

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