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### SZABO-SCANDIC HandelsgmbH

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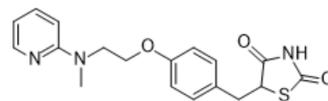
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## Rosiglitazone

<b>Cat. No.:</b>	HY-17386												
<b>CAS No.:</b>	122320-73-4												
<b>Molecular Formula:</b>	C <sub>18</sub> H <sub>19</sub> N <sub>3</sub> O <sub>3</sub> S												
<b>Molecular Weight:</b>	357.43												
<b>Target:</b>	PPAR; TRP Channel; Autophagy; Ferroptosis; Apoptosis												
<b>Pathway:</b>	Cell Cycle/DNA Damage; Metabolic Enzyme/Protease; Vitamin D Related/Nuclear Receptor; Membrane Transporter/Ion Channel; Neuronal Signaling; Autophagy; Apoptosis												
<b>Storage:</b>	<table border="0"> <tr> <td>Powder</td> <td>-20°C</td> <td>3 years</td> </tr> <tr> <td></td> <td>4°C</td> <td>2 years</td> </tr> <tr> <td>In solvent</td> <td>-80°C</td> <td>6 months</td> </tr> <tr> <td></td> <td>-20°C</td> <td>1 month</td> </tr> </table>	Powder	-20°C	3 years		4°C	2 years	In solvent	-80°C	6 months		-20°C	1 month
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### SOLVENT & SOLUBILITY

#### In Vitro

DMSO : 250 mg/mL (699.44 mM; Need ultrasonic)  
 Ethanol : 2 mg/mL (5.60 mM; ultrasonic and warming and heat to 60°C)  
 H<sub>2</sub>O : < 0.1 mg/mL (ultrasonic) (insoluble)

Preparing Stock Solutions	Solvent Concentration	Mass		
		1 mg	5 mg	10 mg
	1 mM	2.7978 mL	13.9888 mL	27.9775 mL
	5 mM	0.5596 mL	2.7978 mL	5.5955 mL
	10 mM	0.2798 mL	1.3989 mL	2.7978 mL

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

#### In Vivo

- Add each solvent one by one: 0.5% CMC-Na/saline water  
Solubility: 10 mg/mL (27.98 mM); Suspended solution; Need ultrasonic
- Add each solvent one by one: 10% DMSO >> 90% corn oil  
Solubility: 2.5 mg/mL (6.99 mM); Suspended solution; Need ultrasonic
- Add each solvent one by one: 5% DMSO >> 40% PEG300 >> 5% Tween-80 >> 50% saline  
Solubility: ≥ 2.5 mg/mL (6.99 mM); Clear solution
- Add each solvent one by one: 5% DMSO >> 95% (20% SBE-β-CD in saline)  
Solubility: ≥ 2.5 mg/mL (6.99 mM); Clear solution
- Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline  
Solubility: ≥ 2.08 mg/mL (5.82 mM); Clear solution
- Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)  
Solubility: ≥ 2.08 mg/mL (5.82 mM); Clear solution

## BIOLOGICAL ACTIVITY

<b>Description</b>	Rosiglitazone (BRL 49653) is an orally active selective PPAR $\gamma$ agonist (EC <sub>50</sub> : 60 nM, K <sub>d</sub> : 40 nM). Rosiglitazone is an TRPC5 activator (EC <sub>50</sub> : 30 $\mu$ M) and TRPM3 inhibitor. Rosiglitazone can be used in the research of obesity and diabetes, senescence, ovarian cancer <sup>[1][2][4][7]</sup> .																			
<b>IC<sub>50</sub> &amp; Target</b>	PPAR $\gamma$ 40 nM (Kd)	PPAR $\gamma$ 60 nM (EC50)	TRPC5 30 $\mu$ M (EC50)	TRPM3																
<b>In Vitro</b>	<p>Rosiglitazone (0.1-10 <math>\mu</math>M, 72 h) results in pluripotent C3H10T1/2 stem cell differentiation to adipocytes<sup>[1]</sup>.</p> <p>Rosiglitazone (1 <math>\mu</math>M, 24 h) activates PPAR<math>\gamma</math>, which binds to NF-<math>\alpha</math>1 promoter to activate gene transcription in neurons<sup>[3]</sup>.</p> <p>Rosiglitazone (1 <math>\mu</math>M, 24 h) protects Neuro2A cells and hippocampal neurons against oxidative stress, and up-regulates BCL-2 expression in an NF-<math>\alpha</math>1-dependent manner<sup>[3]</sup>.</p> <p>Rosiglitazone (0.01-100 <math>\mu</math>M, 15 min) inhibits TRPM3 with IC<sub>50</sub> values of 9.5 and 4.6 <math>\mu</math>M against nifedipine- and PregS-evoked activity respectively<sup>[4]</sup>.</p> <p>Rosiglitazone (0.5-50 <math>\mu</math>M, 7 days) inhibits ovarian cancer cell proliferation<sup>[7]</sup>.</p> <p>Rosiglitazone (5 <math>\mu</math>M, 7 days) suppresses Olaparib (HY-10162) induced alterations of cellular senescence and promotes apoptosis in A2780 and SKOV3 cells<sup>[7]</sup>.</p> <p>MCE has not independently confirmed the accuracy of these methods. They are for reference only.</p> <p>Cell Proliferation Assay<sup>[7]</sup></p> <table border="1" data-bbox="345 835 1515 1066"> <tr> <td>Cell Line:</td> <td>A2780 and SKOV3 cells</td> </tr> <tr> <td>Concentration:</td> <td>0.5-50 <math>\mu</math>M</td> </tr> <tr> <td>Incubation Time:</td> <td>1-7 days</td> </tr> <tr> <td>Result:</td> <td>Inhibited cell proliferation in a time<math>\times</math>dependent and concentration<math>\times</math>dependent manner.</td> </tr> </table> <p>Western Blot Analysis<sup>[3]</sup></p> <table border="1" data-bbox="345 1140 1515 1371"> <tr> <td>Cell Line:</td> <td>Hippocampal neurons</td> </tr> <tr> <td>Concentration:</td> <td>1 <math>\mu</math>M</td> </tr> <tr> <td>Incubation Time:</td> <td>24 h</td> </tr> <tr> <td>Result:</td> <td>Increased NF-<math>\alpha</math>1 and BCL-2 protein level.</td> </tr> </table>				Cell Line:	A2780 and SKOV3 cells	Concentration:	0.5-50 $\mu$ M	Incubation Time:	1-7 days	Result:	Inhibited cell proliferation in a time $\times$ dependent and concentration $\times$ dependent manner.	Cell Line:	Hippocampal neurons	Concentration:	1 $\mu$ M	Incubation Time:	24 h	Result:	Increased NF- $\alpha$ 1 and BCL-2 protein level.
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Result:	Increased NF- $\alpha$ 1 and BCL-2 protein level.																			
<b>In Vivo</b>	<p>Rosiglitazone (oral administration, 5 mg/kg, daily for 8 weeks) decreases the serum glucose in diabetic rats<sup>[5]</sup>.</p> <p>Rosiglitazone (intraperitoneal injection, 3 mg/kg/day) ameliorates airway inflammation induced by cigarette smoke via inhibiting the M1 macrophage polarization by activating PPAR<math>\gamma</math> and RXR<math>\alpha</math> in male Wistar rats<sup>[6]</sup>.</p> <p>Rosiglitazone (intraperitoneal injection, 10 mg/kg, once every 2 days) inhibits subcutaneous ovarian cancer growth in A2780 and SKOV3 mouse subcutaneous xenograft models<sup>[7]</sup>.</p> <p>MCE has not independently confirmed the accuracy of these methods. They are for reference only.</p> <table border="1" data-bbox="345 1644 1515 1948"> <tr> <td>Animal Model:</td> <td>Streptozotocin (STZ)-induced diabetic rats<sup>[5]</sup></td> </tr> <tr> <td>Dosage:</td> <td>5 mg/kg</td> </tr> <tr> <td>Administration:</td> <td>Oral administration, daily for 8 weeks.</td> </tr> <tr> <td>Result:</td> <td>Decreased IL-6, TNF-<math>\alpha</math>, and VCAM-1 levels in diabetic group. Displayed lower levels of lipid peroxidation and NOx with an increase in aortic GSH and SOD levels compared to diabetic groups.</td> </tr> </table>				Animal Model:	Streptozotocin (STZ)-induced diabetic rats <sup>[5]</sup>	Dosage:	5 mg/kg	Administration:	Oral administration, daily for 8 weeks.	Result:	Decreased IL-6, TNF- $\alpha$ , and VCAM-1 levels in diabetic group. Displayed lower levels of lipid peroxidation and NOx with an increase in aortic GSH and SOD levels compared to diabetic groups.								
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Animal Model:	Male Wistar rats <sup>[6]</sup>
Dosage:	3 mg/kg/day
Administration:	Intraperitoneal injection, twice a day, 6 days per week for 12 consecutive weeks
Result:	Ameliorated emphysema, elevated PEF, and higher level of total cells, neutrophils and cytokines (TNF- $\alpha$ and IL-1 $\beta$ ) induced by cigarette smoke (CS). Inhibited CS-induced M1 macrophage polarization and decreased the ratio of M1/M2.

## CUSTOMER VALIDATION

- Circulation. 2022 Nov 30.
- Cell Metab. 2023 Dec 5;35(12):2165-2182.e7.
- Cell Metab. 2023 Sep 7;S1550-4131(23)00304-2.
- Cell Metab. 2021 Mar 2;33(3):581-597.e9.
- Nat Commun. 2023 Jun 2;14(1):3208.

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## REFERENCES

- [1]. Haoshen Feng, et al. Rosiglitazone ameliorated airway inflammation induced by cigarette smoke via inhibiting the M1 macrophage polarization by activating PPAR $\gamma$  and RXR $\alpha$ . *Int Immunopharmacol.* 2021 Aug;97:107809.
- [2]. Zehua Wang, et al. Rosiglitazone ameliorates senescence and promotes apoptosis in ovarian cancer induced by olaparib. *Cancer Chemother Pharmacol.* 2020 Feb;85(2):273-284.
- [3]. Lehmann JM, et al. An antidiabetic thiazolidinedione is a high affinity ligand for peroxisome proliferator-activated receptor gamma (PPAR gamma). *J Biol Chem.* 1995 Jun 2;270(22):12953-6.
- [4]. Willson TM, et al. The structure-activity relationship between peroxisome proliferator-activated receptor gamma agonism and the antihyperglycemic activity of thiazolidinediones. *J Med Chem.* 1996 Feb 2;39(3):665-8.
- [5]. Thouennon E, et al. Rosiglitazone-activated PPAR $\gamma$  induces neurotrophic factor- $\alpha$ 1 transcription contributing to neuroprotection. *J Neurochem.* 2015 Aug;134(3):463-70.
- [6]. Majeed Y, et al. Rapid and contrasting effects of rosiglitazone on transient receptor potential TRPM3 and TRPC5 channels. *Mol Pharmacol.* 2011 Jun;79(6):1023-30.
- [7]. Ateyya H, et al. Beneficial effects of rosiglitazone and losartan combination in diabetic rats. *Can J Physiol Pharmacol.* 2018 Mar;96(3):215-220.

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**Caution: Product has not been fully validated for medical applications. For research use only.**

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