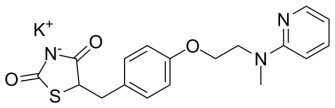
Rosiglitazone potassium

Cat. No.:	HY-17386B
CAS No.:	316371-84-3
Molecular Formula:	$C_{18}H_{18}KN_3O_3S$
Molecular Weight:	395.52
Target:	PPAR; TRP Channel; Autophagy; Apoptosis; 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 Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description

Rosiglitazone (BRL 49653) potassium is an orally active selective PPARγ agonist (EC_{50}: 60 nM, K_d: 40 nM). Rosiglitazone potassium is a TRPC5 activator (EC_{50}: 30 μM) and TRPM3 inhibitor. Rosiglitazone potassium can be used in the research of obesity and diabetes, senescence, ovarian cancer[1][2][4][7].

IC_{50} & Target

PPARγ 40 nM (Kd)	PPARγ 60 nM (EC50)	TRPC5 30 μM (EC50)	TRPM3

In Vitro

Rosiglitazone potassium (0.1-10 μM, 72 h) results in pluripotent C3H10T1/2 stem cell differentiation to adipocytes[1].
Rosiglitazone potassium (1 μM, 24 h) activates PPARγ, which binds to NF-α1 promoter to activate gene transcription in neurons[3].
Rosiglitazone potassium (1 μM, 24 h) protects Neuro2A cells and hippocampal neurons against oxidative stress, and up-regulates BCL-2 expression in an NF-α1-dependent manner[3].
Rosiglitazone potassium (0.01-100 μM, 15 min) inhibits TRPM3 with IC_{50} values of 9.5 and 4.6 μM against nifedipine- and PregS-evoked activity respectively[4].
Rosiglitazone potassium (0.5-50 μM, 7 days) inhibits ovarian cancer cell proliferation[7].
Rosiglitazone potassium (5 μM, 7 days) suppresses Olaparib (HY-10162)‐induced alterations of cellular senescence and promotes apoptosis in A2780 and SKOV3 cells[7].

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

Cell Proliferation Assay[7]

Cell Line:	A2780 and SKOV3 cells
Concentration:	0.5-50 μM
Incubation Time:	1-7 days
Result:	Inhibited cell proliferation in a time‐dependent and concentration‐dependent manner.

Western Blot Analysis[3]

Cell Line:	Hippocampal neurons
Concentration:	1 μM

Incubation Time:	24 h
Result:	Increased NF-α1 and BCL-2 protein level.

In Vivo

Rosiglitazone potassium (oral administration, 5 mg/kg, daily for 8 weeks) decreases the serum glucose in diabetic rats[5].
Rosiglitazone potassium (intraperitoneal injection, 3 mg/kg/day) ameliorates airway inflammation induced by cigarette smoke via inhibiting the M1 macrophage polarization by activating PPARγ and RXRα in male Wistar rats[6].
Rosiglitazone potassium (intraperitoneal injection, 10 mg/kg, once every 2 days) inhibits subcutaneous ovarian cancer growth in A2780 and SKOV3 mouse subcutaneous xenograft models[7].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Streptozotocin (STZ)-induced diabetic rats[5]
Dosage:	5 mg/kg
Administration:	Oral administration, daily for 8 weeks.
Result:	Decreased IL-6, TNF-α, 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.

Animal Model:	Male Wistar rats[6]
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-α and IL-1β) induced by cigarette smoke (CS). Inhibited CS-induced M1 macrophage polarization and decreased the ratio of M1/M2.

CUSTOMER VALIDATION

- Cell Metab. 2021 Mar 2;33(3):581-597.e9.
- J Exp Med. 2022 May 2;219(5):e20211906.
- Cancer Res. 2022 Apr 15;82(8):1503-1517.
- Theranostics. 2022 Jan 24;12(4):1904-1920.
- Br J Pharmacol. 2020 May;177(10):2286-2302.

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REFERENCES

[1]. 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.

[2]. 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.

[3]. Thouennon E, et al. Rosiglitazone-activated PPARγ induces neurotrophic factor-α1 transcription contributing to neuroprotection. J Neurochem. 2015 Aug;134(3):463-70.

[4]. 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.

[5]. Ateyya H, et al. Beneficial effects of rosiglitazone and losartan combination in diabetic rats. Can J Physiol Pharmacol. 2018 Mar;96(3):215-220.

[6]. Haoshen Feng, et al. Rosiglitazone ameliorated airway inflammation induced by cigarette smoke via inhibiting the M1 macrophage polarization by activating PPARγ and RXRα. Int Immunopharmacol. 2021 Aug;97:107809.

[7]. 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.

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