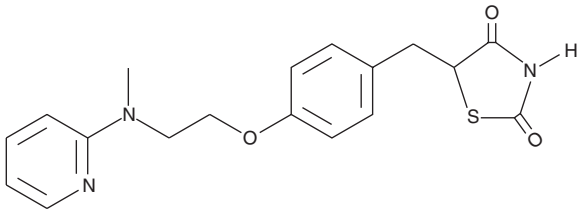
PRODUCT INFORMATION

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:	$C_{18}H_{19}N_3O_3S$
FW:	357.4
Purity:	≥98%
UV/Vis.:	λ_{max}: 248, 311 nm
Supplied as:	A crystalline solid
Storage:	-20°C
Stability:	≥4 years



Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.

Laboratory Procedures

Rosiglitazone is supplied as a crystalline solid. A stock solution may be made by dissolving the rosiglitazone in the solvent of choice, which should be 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 approximately 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 approximately 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.

Description

Rosiglitazone is an agonist of peroxisome proliferator-activated receptor γ (PPARγ).[1] It activates PPARγ1 and PPARγ2 in reporter assays (EC_{50}s = 30 and 100 nM, respectively). Rosiglitazone selectively activates chimeras containing the ligand-binding domains (LBDs) of PPARγ over PPARα and PPARδ in a cell-based reporter assay at 10 mM. It induces differentiation of C3H10T1/2 stem cells into adipocytes when used at a concentration of 1 µM. Rosiglitazone is also an inhibitor of long-chain acyl-CoA synthetase 4 (ACSL4; IC_{50} = 0.5 µM).[2,3] It inhibits RSL3-induced ferroptosis in *Gpx4* Cre-lox-expressing mouse embryonic fibroblasts (Pfa1) cells and *Pparg* knockout (KO) cells and increases survival in a *Gpx4* KO mouse model of ferroptosis when used at a concentration of 0.0125 mg/ml in the drinking water. It decreases hemoglobin A1c (HbA1c) and fasting blood glucose levels in a rat model of type 2 diabetes induced by streptozotocin (STZ; Item No. 13104) and a high-carbohydrate and high-fat diet when administered at a dose of 4 mg/kg.[4] Formulations containing rosiglitazone have been used to improve glycemic control in the treatment of type 2 diabetes.

References

1. Lehmann, J.M., Moore, L.B., Smith-Oliver, T.A., *et al. J. Biol. Chem.* **270(22)**, 12953-12956 (1995).
2. Kim, J.-H., Lewin, T.M., and Coleman, R.A. *J. Biol. Chem.* **276(27)**, 24667-24673 (2001).
3. Doll, S., Proneth, B., Tyurina, Y.Y., *et al. Nat. Chem. Biol.* **13(1)**, 91-98 (2017).
4. Zhou, J.Y., Zhou, S.W., Zhang, K.B., *et al. Biol. Pharm. Bull.* **31(6)**, 1169-1176 (2008).

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