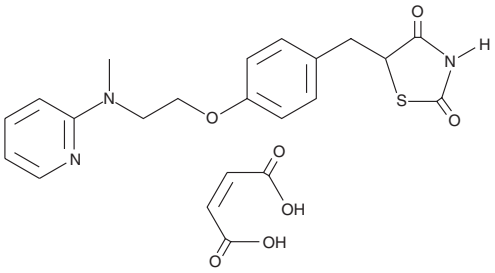
PRODUCT INFORMATION

Rosiglitazone (maleate)

Item No. 11884

CAS Registry No.: 155141-29-0
Formal Name: 5-[[4-[2-(methyl-2-pyridinylamino)ethoxy]phenyl] methyl]-2,4-thiazolidinedione, (2Z)-2-butenedioate (1:1)
Synonym: BRL 49653C
MF: $C_{18}H_{19}N_3O_3S \bullet C_4H_4O_4$
FW: 473.5
Purity: ≥98%
UV/Vis.: λ_{max}: 315 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 (maleate) is supplied as a crystalline solid. A stock solution may be made by dissolving the rosiglitazone (maleate) in the solvent of choice, which should be purged with an inert gas. Rosiglitazone (maleate) is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF). The solubility of rosiglitazone (maleate) in these solvents is approximately 0.25, 3, and 10 mg/ml, respectively.

Rosiglitazone (maleate) is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, rosiglitazone (maleate) should first be dissolved in DMF and then diluted with the aqueous buffer of choice. Rosiglitazone (maleate) has a solubility of approximately 0.5 mg/ml in a 1:1 solution of DMF: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), inhibits RSL3-induced ferroptosis in 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.[2,3] 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.* An antidiabetic thiazolidinedione is a high affinity ligand for peroxisome proliferator-activated receptor γ (PPARγ). *J. Biol. Chem.* **270(22)**, 12953-12956 (1995).
2. Kim, J.-H., Lewin, T.M., and Coleman, R.A. Expression and characterization of recombinant rat Acyl-CoA synthetases 1, 4, and 5. Selective inhibition by triacsin C and thiazolidinediones. *J. Biol. Chem.* **276(27)**, 24667-24673 (2001).
3. Doll, S., Proneth, B., Tyurina, Y.Y., *et al.* ACSL4 dictates ferroptosis sensitivity by shaping cellular lipid composition. *Nat. Chem. Biol.* **13(1)**, 91-98 (2017).
4. Zhou, J.Y., Zhou, S.W., Zhang, K.B., *et al.* Chronic effects of berberine on blood, liver glucolipid metabolism and liver PPARs expression in diabetic hyperlipidemic rats. *Biol. Pharm. Bull.* **31(6)**, 1169-1176 (2008).

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