Rosiglitazone (potassium salt)
Item No. 71742

CAS Registry No.: 316371-84-3
Formal Name: 5-[[4-[2-(methyl-2-pyridinylamino)ethoxy]phenyl]methyl]-2,4-thiazolidinedione, monopotassium salt
MF: C_{18}H_{18}N_{3}O_{3}S • K
FW: 395.5
Purity: ≥98%
UV/Vis.: \( \lambda_{\text{max}}: 247 \text{ nm} \)
Supplied as: A crystalline solid
Storage: -20°C
Stability: ≥2 years

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

Laboratory Procedures

Rosiglitazone (potassium salt) is supplied as a crystalline solid. A stock solution may be made by dissolving the rosiglitazone (potassium salt) in the solvent of choice. Rosiglitazone (potassium salt) is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF), which should be purged with an inert gas. The solubility of rosiglitazone (potassium salt) in ethanol is approximately 2 mg/ml and approximately 25 mg/ml in DMSO and DMF.

Rosiglitazone (potassium salt) is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, rosiglitazone (potassium salt) should first be dissolved in DMSO and then diluted with the aqueous buffer of choice. Rosiglitazone (potassium salt) has a solubility of approximately 0.5 mg/ml in a 1:3 solution of DMSO:PBS (pH 7.2) using this method. To obtain a higher aqueous concentration rosiglitazone (potassium salt) can be directly dissolved in water at a concentration of 1 mg/ml. We do not recommend storing the aqueous solution for more than one day.

Description

Rosiglitazone is a thiazolidinedione agonist of peroxisome proliferator-activated receptor \( \gamma \) (PPAR\( \gamma \)) that binds to the ligand binding domain (LBD) of PPAR\( \gamma \) with a \( K_d \) value of 43 nM.\(^1\) It selectively activates chimeras containing the LBDS of PPAR\( \gamma \) over PPAR\( \alpha \) and PPAR\( \delta \) in a cell-based reporter assay when used at a concentration of 10 nM. Rosiglitazone also activates full-length PPAR\( \gamma 1 \) and PPAR\( \gamma 2 \) in a reporter assay (\( E_{50} = 30 \) and 100 nM, respectively). It induces differentiation of C3H10T1/2 stem cells to adipocytes when used at a concentration of 1 \( \mu \)M. Rosiglitazone (4 mg/kg) 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/high-fat diet.\(^2\) It also inhibits increases in contusion volume, macrophage infiltration and activation of microglia, and expression of IL-6, MCP1, ICAM1, caspase-3, and Bax in mouse cerebral cortex in a model of traumatic brain injury induced by controlled cortical impact when administered at a dose of 6 mg/kg.\(^3\) Formulations containing rosiglitazone have been used to improve glycemic control in the treatment of type 2 diabetes.

References