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: C₁₈H₁₉N₃O₃S
FW: 357.4
Purity: ≥98%
UV/Vis.: λmax: 248, 311 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 is supplied as a crystalline solid. A stock solution may be made by dissolving the rosiglitazone in an organic solvent 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 a thiazolidinedione agonist of peroxisome proliferator-activated receptor γ (PPARγ) that binds to the ligand binding domain (LBD) of PPARγ with a Kd value of 43 nM. It selectively activates chimeras containing the LBDs of PPARγ over PPARα and PPARδ in a cell-based reporter assay when used at a concentration of 10 mM. Rosiglitazone also activates full-length PPARγ1 and PPARγ2 in a reporter assay (EC50s = 30 and 100 nM, respectively). It induces differentiation of C3H10T1/2 stem cells to adipocytes when used at a concentration of 1 μM. 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. 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. Formulations containing rosiglitazone have been used to improve glycemic control in the treatment of type 2 diabetes.

References