

Rosiglitazone

货号: R2512

储存条件: 粉末-20°C 可保存 3 年; 液体-80°C 可保存 12 月。

产品描述

Peroxisome proliferator activated receptors (PPAR) are nuclear transcriptional factors involved in lipid metabolism with three subtypes: PPAR α , PPAR γ , and PPAR δ . Rosiglitazone is a potent PPAR γ agonist that binds to the putative ligand binding domain (LBD) of PPAR γ with a K_d value of 43 nM. Both isoforms of PPAR γ , PPAR γ 1 and PPAR γ 2, were activated by rosiglitazone in a dose-dependent manner with EC₅₀ values at 30 nM and 100 nM, respectively. In C3H10T1/2 stem cells treated with 10 μ M rosiglitazone for 7 days, the PPAR γ expression was up-regulated approximately 3-fold accompanied with significant adipocyte differentiation. In differentiated C3H10T1/2 and 3T3-L1 adipocytes, 1 μ M rosiglitazone induced the transcriptions of genes regulating mitochondrial energy metabolism 1 h post dose, and the number of up-regulated genes increased in a time-dependent manner. Uncoupling protein 2 and 3 were stimulated by 1 μ M rosiglitazone at 2 h in both adipocytes and remained induced through 28 hours, whereas the transcription of uncoupling protein 1 was not changed. In the examination of mitochondrial heat shock proteins, ribosomal proteins, and translocases of inner and outer mitochondrial membranes, the treatment of 1 μ M rosiglitazone for 7 hours induced 23% and 18% of the genes in C3H10T1/2 and 3T3-L1 adipocytes, respectively. In male obese and lean mice treated with 2.5 μ mol/kg/d rosiglitazone for one week, the plasma levels of triglycerides, insulin, and glucose of obese mice were reduced to the levels of lean mice. In the analysis of two-dimensional gel electrophoresis of liver samples, rosiglitazone-treated obese mice showed 9 out of 15 upregulated spots characterizing the peroxisome proliferator-like response.

作用机制

Rosiglitazone activates PPAR γ via binding to the putative ligand binding domain of PPAR γ to alter the expression of downstream genes.

产品信息

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| CAS 号 | 122320-73-4 | |
| 分子式 | C ₁₈ H ₁₉ N ₃ O ₃ S | |
| 分子量 | 357.43 | |
| 溶解度 | DMSO | 71.0 mg/mL (198.6 mM) |
| | Water | Insoluble |
| | Ethanol | Insoluble |

