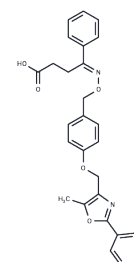


Imiglitazar

Chemical Properties

CAS No. :	250601-04-8
Formula:	C ₂₈ H ₂₆ N ₂ O ₅
Molecular Weight:	470.52
Storage:	Store at low temperature Powder: -20°C for 3 years In solvent: -80°C for 1 year <small>Actual storage temperature shall be subject to the COA.</small>



Biological Description

Description	Imiglitazar (TAK559) is a potent PPAR- β/δ receptor agonist with hypoglycemic effects.
Targets(IC50)	PPAR
In vitro	TAK-559, a partial agonist for hPPAR γ 1, achieves approximately 68% of the maximal activation obtained with rosiglitazone, a known PPAR γ agonist. Significant activation of PPAR γ is observed at a high concentration (10 μ M) of TAK-559. Competition-binding assays using radiolabeled ligand indicate that the transactivation of all hPPAR subtypes by TAK-559 is a result of direct binding of TAK-559 to each subtype. TAK-559 also recruits the coactivator SRC-1 to both hPPAR γ 1 and hPPAR α , and dissociates the corepressor NCoR from both hPPAR γ 1 and hPPAR α [1]. In the presence of 10 μ M TAK-559, TNF α - or IL-1 β -induced THP-1 cell attachment to cultured endothelial cells is significantly reduced. The secretion of monocyte chemoattractant protein-1 (MCP-1) from endothelial cells is reduced by 36%, accompanied by decreased mRNA expression in the cells. Furthermore, the proliferation and migration of cultured smooth muscle cells are significantly decreased in the presence of TAK-559[3].
In vivo	During TAK-559 treatment, significant elevation of circulating high-density lipoprotein (HDL) cholesterol levels is observed, characterized by an increase in large HDL particles and a decrease in small dense HDL particles. Concurrently, plasma triglyceride and apolipoprotein B-100 levels decrease, while apolipoprotein A-I increases. Hyperinsulinemia and insulin resistance are significantly corrected with the highest dose of 3.0 mg/kg per day in these prediabetic monkeys. Additionally, no adverse effects on representative liver function parameters are observed during the study period[2].

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.1253 mL	10.6265 mL	21.2531 mL
5 mM	0.4251 mL	2.1253 mL	4.2506 mL
10 mM	0.2125 mL	1.0627 mL	2.1253 mL
50 mM	0.0425 mL	0.2125 mL	0.4251 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Note: The dilution table applies only to solid products. For liquid products, please calculate the stock solution based on the stated concentration and/or density.

Reference

Sakamoto J, et al. A novel oximinoalkanoic acid derivative, TAK-559, activates human peroxisome proliferator-activated receptor subtypes. *Eur J Pharmacol.* 2004 Jul 8;495(1):17-26.

Ding SY, et al. A novel peroxisome proliferator--activated receptor alpha/gamma dual agonist ameliorates dyslipidemia and insulin resistance in prediabetic rhesus monkeys. *Metabolism.* 2007 Oct;56(10):1334-9.

Seki N, et al. A potent activator of PPARalpha and gamma reduces the vascular cell recruitment and inhibits the intimal thickening in hypercholesterolemic rabbits. *Atherosclerosis.* 2005 Jan;178(1):1-7.

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