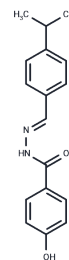


GSK-4716

Chemical Properties

CAS No. : 101574-65-6
 Formula: C₁₇H₁₈N₂O₂
 Molecular Weight: 282.34
 Storage: Powder: -20°C for 3 years | In solvent: -80°C for 1 year
Actual storage temperature shall be subject to the COA.



Biological Description

Description	GSK4716 is an ERRβ/γ agonist (IC ₅₀ = 2 μM) that can activate the receptor with similar potency as the protein ligand
Targets(IC ₅₀)	Estrogen Receptor/ERR
In vitro	GSK4716 was a selective ERRbeta/gamma agonist[1].?ERRbeta is transiently induced, and ERRgamma is dramatically induced (and accumulates) in a differentiation-dependent manner in skeletal muscle cells.?Treatment of differentiated skeletal muscle cells with the ERRbeta/gamma agonist (GSK4716) produced a significant increase in the expression of GRalpha (isoform D) .the mRNAs encoding the glucocorticoid receptor (GR), 11beta-hydroxysteroid dehydrogenase type 1 (11beta-HSD1), the enzyme that converts inactive cortisone to cortisol and hexose-6-phosphate dehydrogenase expression (H6PDH) that stimulates oxoreduction by 11beta-HSD1.the mRNAs encoding characterized GR target genes, including C/EBP, ApoD and Monoamine oxidase-A (MAO-A) are induced in GSK4716 treated cells[2].GSK4716 has been used to demonstrate the contribution of ERR receptor activity to the regulation of mitochondrial activity in skeletal muscle during exercise[3]
Cell Research	Mouse myoblasts were isolated as described previously.?Human ERRγ-IRES green fluorescent protein adenovirus was generated by Welgen.?Following a 48-h differentiation period, myotubes were transduced with green fluorescent protein or ERRγ adenovirus for 48 h. The titers to achieve low and high levels of ERRγ expression were 1* 10 ⁸ and 5*10 ⁸ virus particles/ml, respectively.?GSK4716 was synthesized as described previously and dissolved in DMSO for cell culture experiments.?Cells treated with a corresponding volume of DMSO were used as controls[3]

Solubility Information

Solubility	DMSO: 100 mg/mL (354.18 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)
In vivo Formulation	10% DMSO+40% PEG300+5% Tween 80+45% Saline: 4 mg/mL (14.17 mM),Sonication is recommended. <i>Please add the solvents sequentially, clarifying the solution as much as possible before adding the next one. Dissolve by heating and/or sonication if necessary. Working solution is recommended to be prepared and used immediately. The formulation provided above is for reference purposes only. In vivo formulations may</i>

A DRUG SCREENING EXPERT

In vivo Formulation	<i>vary and should be modified based on specific experimental conditions.</i>
---------------------	---

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	3.5418 mL	17.7091 mL	35.4183 mL
5 mM	0.7084 mL	3.5418 mL	7.0837 mL
10 mM	0.3542 mL	1.7709 mL	3.5418 mL
50 mM	0.0708 mL	0.3542 mL	0.7084 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

Wang L , Zuercher W J , Consler T G , et al. X-ray Crystal Structures of the Estrogen-related Receptor-? Ligand Binding Domain in Three Functional States Reveal the Molecular Basis of Small Molecule Regulation[J]. Journal of Biological Chemistry, 2006, 281(49):37773-37781.

Wang SC, et al. An ERRbeta/gamma agonist modulates GRalpha expression, and glucocorticoid responsive gene expression in skeletal muscle cells. Mol Cell Endocrinol. 2010 Feb 5;315(1-2):146-52.

Rangwala S M , Wang X , Calvo J A , et al. Estrogen-related Receptor ? Is a Key Regulator of Muscle Mitochondrial Activity and Oxidative Capacity[J]. Journal of Biological Chemistry, 2010, 285(29):22619-22629.

Inhibitor · Natural Compounds · Compound Libraries · Recombinant Proteins

This product is for Research Use Only· Not for Human or Veterinary or Therapeutic Use

Tel:781-999-4286 E_mail:info@targetmol.com Address:34 Washington Street,Wellesley Hills,MA 02481