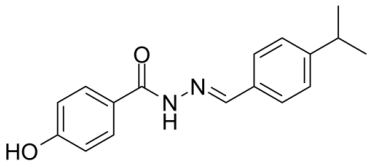
Data Sheet

Product Name:	GSK-4716
Cat. No.:	CS-D0397
CAS No.:	101574-65-6
Molecular Formula:	$C_{17}H_{18}N_2O_2$
Molecular Weight:	282.34
Target:	Estrogen Receptor/ERR
Pathway:	Others
Solubility:	DMSO : ≥ 100 mg/mL (354.18 mM)



BIOLOGICAL ACTIVITY:

GSK-4716 is a selective **ERRβ/γ** agonist. IC50 & Target: ERRβ/γ[1] **In Vitro:** Treatment of differentiated C2C12 cells with the ERRβ/γ agonist (relative to vehicle) over a 2 to 4 h time period reveals a reproducible and robust increase in the immunoreactivity of the GRα-D isoform. It is observed that MAO-A mRNA expression is significantly increased by treatment with the ERRβ/γ agonist, GSK4716. Furthermore, it is observed that GSK4716 induces the expression of the mRNAs encoding peroxisome proliferator-activated receptor-γcoactivator 1α (PGC-1α) and PGC-1β (key regulator of many metabolic genes) identified as direct coactivators for the ERR family. GSK4716 induces the expression of PGC-1 and genes involved in fatty acid oxidation in concordance with the characterized role of ERRγ in cardiac metabolism[1]. Treatment of primary mouse myotubes with GSK4716, an ERRβ/γ agonist, results in a concerted increase in the expression levels of Ppargc1a, Ppargc1b, and the Esrr genes. Furthermore,Cpt1b, Atp5b, and Idh3, genes in key mitochondrial pathways, are also induced by GSK4716. Additionally, GSK4716 increases citrate synthase activity and cytochrome c protein levels[2].

PROTOCOL (Extracted from published papers and Only for reference)

Cell Assay: [1]Proliferating mouse C2C12 myoblast are cultured and maintained in DMEM supplemented with 10% heat-inactivated serum supreme. Differentiation of myoblasts into post-mitotic, multi-nucleated myotubes are induced by mitogen withdrawal (DMEM supplemented with 2% horse serum) for 4 days. C2C12 myotubes are treated with either vehicle (DMSO) or ERRβ/γ agonist GSK4716 for 1 day and RNA collected and processed[1].

References:

[1]. 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.

[2]. Rangwala SM, et al. Estrogen-related receptor gamma is a key regulator of muscle mitochondrial activity and oxidative capacity. J Biol Chem. 2010 Jul 16;285(29):22619-29.

CAIndexNames:

Benzoic acid, 4-hydroxy-, 2-[[4-(1-methylethyl)phenyl]methylene]hydrazide

SMILES:

O=C(N/N=C/C1=CC=C(C(C)C)C=C1)C2=CC=C(O)C=C2

Caution: Product has not been fully validated for medical applications. For research use only.

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